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                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
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                 Fifty-one pharmaceutical ingredients added to PS
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                 The retention policy for unread STNmail messages
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                 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
                 Classification Data
NEWS 11 FEB 02
                 Simultaneous left and right truncation (SLART) added
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11
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NEWS 16 FEB 19
                 New patent-examiner citations in 300,000 CA/CAplus
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NEWS 17
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                 precise author group fields and 2009 MeSH terms
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                 Three million new patent records blast AEROSPACE into
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                 applications and grants
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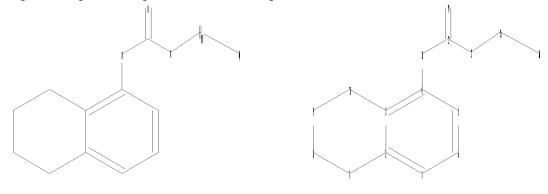
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chain nodes :
11 12 13 15 16
ring nodes :

1 2 3 4 5 6 7 8 9 10

ring/chain nodes :

14

chain bonds :

4-11 11-12 12-13 12-14 13-15 15-16

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10

exact/norm bonds :

4-11 11-12 12-13 12-14 13-15 15-16

exact bonds :

2-7 3-10 7-8 8-9 9-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom

## L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:46:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 999 TO ITERATE

100.0% PROCESSED 999 ITERATIONS

23 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 18084 TO 21876
PROJECTED ANSWERS: 173 TO 747

L2 23 SEA SSS SAM L1

=> d scan

23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN Urea, N-[[1-[(2-methylphenyl)methyl]-4-piperidinyl]methyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-C25 H33 N3 O

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PAGE 2-A

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN Urea, N-(3'-chloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)MF C23 H21 C1 N2 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Urea, N-[4'-(1-methylethyl)[1,1'-biphenyl]-4-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)MF C27 H30 N2 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN Urea, N-[3-methoxy-5-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- c19 H19 F3 N2 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Urea,
N-[[4-(dimethylamino)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy1-naphthalenyl)MF C20 H25 N3 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN Urea, N-cyclohexyl-N'-[6,7,8,9-tetrahydro-2-propyl-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-3H-naphth[1,2-d]imidazol-5-yl]-C35 H40 N8 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN Urea, N-[(2-fluorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- C18 H19 F N2 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN Usea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[1,2,3,4-tetrahydro-1-methyl-7-(trifluoromethyl)-2-quinolinyl]methyl]-C23 H26 F3 N3 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 11 full

FULL SEARCH INITIATED 13:46:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 19833 TO ITERATE

100.0% PROCESSED 19833 ITERATIONS SEARCH TIME: 00.00.01

477 ANSWERS

L3 477 SEA SSS FUL L1

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L4 ANSWER 1 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2008:833123 Document No. 149:1531010 Preparation of substituted N-(4-cyano-1H-pyrazol-3-yl)methylamine derivatives as CBl cannabinoid receptor antagonists. Martinez, Serge; Rinaldi, Carmona Murielle; Congy, Christian; Barth, Francis; Vernhet, Martine (Sanofi Aventis, Fr.) Fr. Demande FR 291136 Al 20080711, 71 pp. (French). CODEN: FRXXBL. APPLICATION: FR 2007-95 20070105.

Title compds. I [X = CO, CONR5, CSNH, SO2NR5; R2, R5 = independently H, alkyl; R1 = (un)substituted alkyl, Ph, tetrahydronaphthalenyl, aromatic heterocyclyl, etc.; R3, R4 = independently (un)substituted phenyl; and their acid addition salts, and their hydrates and solvates] were AB prepared as

ared as antagonists of CBl cannabinoid receptors (no data) and for treatment of the diseases it implies (no data). Thus, a multi-step synthesis starting from Et 2-chloro-2-[(2,4-dichlorophenyl)hydrazono]acetate was given for pyrazole II. I exhibited an excellent affinity in vitro ((C50 ≤ 1.1•10-7 M) for the CBl cannabinoid receptors. The antagonist nature of compds. I was demonstrated by adenylate-cyclase inhibition models, and toxicity was compatible with therapeutic use (no data). The interaction of I with the brain CBl receptors was determined using a test of ex vivo binding of [3H]-CP55940 after i.v. injection to mice (no data). The interaction of I with the peripheral CBl receptors was determined using

of reversion of the inhibiting effect of CP55940 on gastrointestinal transit after oral administration to mice (no data). Thus, I are usfor treating psychiatric, metabolic, and gastrointestinal disorders, smoking cessation, etc. (no data).

1038436-01-99 1038438-66-2P

10J3018-01-9F 10J843W-66-2F RK: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

ANSWER 1 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

ANSWER 1 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) (drug candidate; prepn. of N-(cyanopyrazolyl)methylamines as CB1

(uting canimater) preprint of N=(cyanopytazofyl)methylamines as CB1 antagonists)
1038436-01-9 CRPLUS
Ursea, N=[5-(4-chlorophenyl)-4-cyano-1-(2,4-dichlorophenyl)-1H-pyrazol-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

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1038438-66-2 CAPLUS
Usea, N-[[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-cyano-1H-pyrazol-3yl]methyl]-N'-(5, 6, 7, 8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 2 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN:
805597 Document No. 149:1044970 Preparation of
N-(2-aminophenyl) benzamide derivative having an usea structure for
lowering intraocular pressure. Mogi, Hiroyuki; Tajima, Hisashi; Mishina,
Noriko; Yamazaki, Yusuke; Yoneda, Shinji; Watanabe, Katsuhiko; Fujikawa,
Junko; Yamazaki, Yusuke; Yoneda, Shinji; Watanabe, Katsuhiko; Fujikawa,
Junko; Yamamoto, Minoru (Santen Pharmaceutical Co., Ltd., Japan). PCT
Int. Appl. WO 2008078762 Al 20080703, 190pp. DESIGNATED STATES: W: AE,
AG, AL, AM, AM, AT, AU, AZ, BA, BB, BG, BB, BR, BW, BY, BZ, CA, CH, CN, CO,
CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, CM,
GT, HN, HR, HU, ID, IL, IN, 1S, JP, FE, KG, FM, KN, KN, KP, KR, KZ, LA, LC,
LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MM, MX, MY, MZ, NA, NG,
NI, NO, NZ, CM, FG, PH, PL, FT, FO, ES, RU, SC, SD, SE, SC, SK, SL, SM,
SV, SY, IJ, IM, TN, TR, TT, TZ; RW: AT, BE, BF, BJ, CP, CG, CH, CI, CM,
CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, MT, NE,
LN, FT, SE, SN, TD, TG, TR. (Japanese). CODEN: PIXXD2. APPLICATION: WO
2007-JP74912 20071226. PRIORITY: JP 2006-350263 20061226.

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Urea-linked N-(2-aminophenyl)benzamide compds. represented by the general formula [1; R1, R2 = H, (un)substituted lower alkyl, Q, Q1; R3 = HO, each (un)substituted lower alkoxy, cycloalkyloxy, aryloxy, or NH2; R4, R5 = halo, (un)substituted lower alkyl, lower alkoxy, Nydroxy-lower alkoxy; R6 = halo, HO, CHO, CO2H, cyano, NO2, each (un)substituted lower alkyl,

cycloalkyl, aryl, heterocyclyl, lower alkoxy, cycloalkyloxy, or aryloxy, etc.; R7 = H0, each (un)substituted lower alkyl, lower cycloalkyl, aryl, lower alkoxy, cycloalkyloxy, or aryloxy; ring A = carbocyclic or heterocyclic ring; ring B = heterocyclic ring containing 1 or a plural number

heteroatom(s) selected from N, O, and S in the ring; X=(un) substituted lower alkylene; Y, Z=a single bond or (un) substituted lower alkylene;

 $m_1n_1$ , o=2 or 3] or salts thereof are prepared. These compds, have an activity of changing the morphol, of a trabecular cell and promote the outflow of aqueous humor by reducing the resistance of the outflow of

or. They therefore are effective as intraocular pressure lowing drugs the prevention and/or treatment of a disease associated with an  $\,$ 

ocular
tension, e.g. glaucoma. Thus,
N-(2-[(tert-butoxycarbonyl)amino]phenyl)-4[[[3-(4-methylpiperazin-1-yl)propyl]amino]methyl]benzamide was stirred
with 2,3-dihydrobenzo[1,4]dioxin-6-yl isocyanate in CH2Cl2 at room
temperature
for 40 min to give 94% N-[2-[(tert-Butoxycarbonyl)amino]phenyl]-4-[[N'(2,3-dihydrobenzo[1,4]dioxin-6-yl)-N-[3-(4-methylpiperazin-1yl)propyl]ureido]methyl]benzamide which was stirred with HCl in
MeOH/ELOGA

yl)propyl)ureidojmetnyijbentamia ...

MeOH/EtOhc
at room temperature for 2.5 h to give 82%
at room temperature for 2.5 h to give 82%
N-(2-Aminophenyl)-4-[[N'-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-N-[3-(4-methylpiperazin-1-yl)propyl)ureidojmethyl]benzamide (II).
N-(2-Aminophenyl)-4-[[N'-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-N-(2-dimethylaminoethyl)lureidojmethyl]benzamide (III) in vitro showed cell shape index (CSI) of 0.543 in trabecular cell and in vivo lowered intraocular pressure by 18% in male Japanese white rabbit.

ANSWER 2 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1034494-32-0P, N-[2-[(tert-Butoxycarbonyl)amino]phenyl]-4-[[N-(2dimethylaminoethyl)-N'-(5,6,7,8-tetrahydronaphthalen-1yl)ureido]methyl]benzamide
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (intermediate; preparation of urea-linked N-(2-aminophenyl)benzamide
vative

having urea structure as drugs for lowering intraocular pressure) 1034494-32-0 CAPLUS

RN 1034494-32-0 CAPLUS
CN Carbamic acid,
N-[2-[[4-[[[2-(dimethylamino)ethyl][[(5,6,7,8-tetrahydro-1naphthalenyl)amino]carbonyl]amino]methyl]benzoyl]amino]phenyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)

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1034492-02-8P, N-(2-Aminophenyl)-4-[[N-(2-dimethylaminoethyl)-N'-(5,6,7,8-tetrahydronaphthalen-1-yl)ureido]methyl]benzamien RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L4 ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2008:772813 Document No. 149:1046110 Preparation of N,N'-disubstituted 2008:1/2613 Document No. --- ureas

as antagonists of transient receptor potential vanilloid 1. Bayburt,

K.; Daanen, Jerome F.; Gomtsyan, Arthur R.; Latshaw, Steven P.; Lee, Chih-Hung; Schmidt, Robert G. (Abbott Laboratories, USA). U.S. Pat.

The title N,N'-disubstituted ureas I [wherein L = a bond, alkylene, or cycloalkyl; Yl = (un)substituted NH or CH2; Y2 = O, S, or NCN; Y3 = (un)substituted NH; Ar = (un)substituted (hetero)aryl or fused heterocyclyl; Rl = B, OH, or alkoxy; R2-R5 = independently H, alkenyl, alkoxy, alkoxyalkoxy, etc.], pharmaceutically acceptable salts, or prodrugs thereof were prepared as antagonists of transient receptor potential vanilloid 1 (TRFV1) for treatment of pain. For example, II w prepared in a multi-step synthesis. The compds. showed antagonistic activity with IC50 < 12 µM against human TRFV1. 1034770-09-6P 1034770-10-9P 1034770-11-OP 1034770-12-1P 1034770-13-2P 1034770-13-P 1034770-13-P 1034770-13-P 1034770-13-P 1034770-13-P 1034770-13-P 1034770-23-4P 1034770-24-5P 1034770-23-4P 1034770-23-4P 1034770-24-5P 1034770-32-5P 1034770-23-6-P 1034770-3-9-D 1034770-3-9-D 1034770-3-9-D 1034770-3-9-P 1034770-3-3-P 1034770-3-9-P 1034770-3-3-P P 1034770-3-9-P 1034770-3-9-AB

(drug candidate; preparation of urea derivs. as TRPV1 antagonists) 1034770-09-6 CAPLUS Urea, N-(3,4-dihydro-2H-1-benzopyran-3-y1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

ANSWER 2 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) (Therapeutic use); BIOL (Biological study); FREP (Preparation); USES (Uses)

(Uses)
(prepn. of urea-linked N-(2-aminophenyl) benzamide deriv. having urea structure as drugs for lowering intraocular pressure)
1034492-02-8 CAPLUS
Benzamide, N-(2-aminophenyl)-4-[[[2-(dimethylamino)ethyl][[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)

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ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-10-9 CAPLUS Uzea, N-[8-(1,1-dimethylethyl)-3,4-dihydro-2H-1-benzopyran-3-yl]-N'-(5,6,7,8-terahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

1034770-11-0 CAPLUS
Usea, N-3,4-dihydro-7-methoxy-2H-1-benzopyran-3-y1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 1034770-12-1 CAPLUS CN Urea, N-(6-chloro-3,4-dihydro-2H-1-benzopyran-3-y1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-13-2 CAPLUS
Urea, N-[8-(1,1-dimethylethyl)-3,4-dihydro-2H-1-benzopyran-4-yl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

1034770-14-3 CAPLUS Urea, N-(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-4-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

1034770-15-4 CAPLUS

CN Urea, N-(3,4-dihydro-6-methyl-2H-1-benzopyran-4-yl)-N'-(5,6,7,8-tetrahydro-

L4 ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continue CN Urea, N-[(3,4-dihydro-2H-1-benzopyran-2-y1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

1034770-19-8 CAPLUS
Uzea, N-[(7-ethoxy-3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

 $\label{local-equation} $$1034770-20-1$ $$CAPLUS$ $$Urea, $N-[(3,4-dihydro-6-methyl-2H-1-benzopyran-2-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-$$$(CA INDEX NAME)$$$ 

1034770-21-2 CAPLUS
Urea, N-[[3,4-dihydro-8-(1-methylethyl)-2H-1-benzopyran-2-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 7-hydroxy-1-naphthalenyl)- (CA INDEX NAME) (Continued)

1034770-16-5 CAPLUS
Urea, N-[(4R)-3,4-dihydro-2H-1-benzopyran-4-y1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

1034770-17-6 CAPLUS Urea, N=[(48)-3,4-dihydro-2H-1-benzopyran-4-y1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

RN 1034770-18-7 CAPLUS

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-22-3 CAPLUS Usea, N-[8-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-methyl-4-quinolinyl]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

1034770-23-4 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[1,2,3,4-tetrahydro-1-(phenylmethyl)-4-quinolinyl]- (CA INDEX NAME)

1034770-24-5 CAPLUS

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[1,2,3,4tetrahydro-1-(phenylmethyl)-7-(trifluoromethyl)-4-quinolinyl]- (CA INDEX

1034770-25-6 CAPLUS
Usea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-(1,2,3,4-tetrahydro-1-methyl-4-quinolinyl)- (CA INDEX NAME)

1034770-26-7 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[1,2,3,4-tetrahydro-1-methyl-7-(trifluoromethyl)-4-quinolinyl]- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-27-8 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[1,2,3,4-tetrahydro-1-(phenylmethyl)-3-quinolinyl]- (CA INDEX NAME)

1034770-28-9 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[1,2,3,4-tetrahydro-1-(phenylmethyl)-7-(trifluoromethyl)-3-quinolinyl]- (CA INDEX

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-29-0 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-(1,2,3,4-tetrahydro-1-methyl-3-quinolinyl)- (CA INDEX NAME)

1034770-30-3 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[1,2,3,4-tetrahydro-1-methyl-7-(trifluoromethyl)-3-quinolinyl]- (CA INDEX NAME)

1034770-32-5 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[1,2,3,4-tetrahydro-1-(phenylmethyl)-2-quinolinyl]methyl)- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN CN

(CA INDEX NAME)

1034770-34-7 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[1,2,3,4-tetrahydro-1-methyl-7-(trifluoromethyl)-2-quinolinyl]methyl]- (CA INDEX NAME)

1034770-35-8 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[[1,2,3,4-tetrahydro-7-(trifluoromethy1)-2-quinoliny1]methy1]- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-36-9 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[(1,2,3,4-tetrahydro-1-methyl-2-quinolinyl)methyl]- (CA INDEX NAME)

1034770-37-0 CAPLUS
Uzea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[(3R)-3-[4-(trifluoromethy1)pheny1]cyclohexy1]- (CA INDEX NAME)

Absolute stereochemistry.

1034770-38-1 CAPLUS
Urea, N-[(3S)-3-[4-(dimethylamino)phenyl]cyclopentyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-47-2 CAPLUS INDEX NAME NOT YET ASSIGNED

1034770-49-4 CAPLUS INDEX NAME NOT YET ASSIGNED

1034770-53-0 CAPLUS Usea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-(3,4,7,8,9,10-hexahydro-2H-naphtho[1,2-b]pyran-4-yl)-(CA INDEX NAME)

L4 ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-40-5F 1034770-45-0F 1034770-47-2F
1034770-49-4F 1034770-55-0F 1034770-54-1F
1034770-50-2F 1034770-56-3F 1034770-58-5F
1034770-62-1F 1034770-64-3F 1034770-70-1F
1034770-77-8F 1034770-79-0F 1034770-70-1F
1034770-70-8F 1034770-79-3F 1034770-16-0F
1034770-96-1F 1034770-98-3F 1034770-102-2F
1034771-06-0F 1034771-16-8F
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant); as TRPV1 antagonists)
1034770-40-5 CAPLUS
INDEX NAME NOT YET ASSIGNED

RN

1034770-45-0 CAPLUS INDEX NAME NOT YET ASSIGNED

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

 $\label{local_continuous} 1034770-54-1 \quad \text{CAPLUS} \\ \text{Urea, N-(3,4-dihydro-6-methyl-2H-1-benzopyran-4-yl)-N'-[7-[[(1,1-dimethyl-thyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]- (CAINDEX NAME)$ 

 $\label{local_continuous} \begin{array}{lll} 1034770-55-2 & \text{CAPLUS} \\ \text{Urea, N-[(4R)-3,4-dihydro-2H-1-benzopyran-4-yl]-N'-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]- & (CAINDEX NAME) \\ \end{array}$ 

1034770-56-3 CAPLUS
Urea, N-[(4S)-3,4-dihydro-2H-1-benzopyran-4-yl]-N'-[7-[[(1,1-dimethyl)thyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

 $\label{local_continuous} $$1034770-58-5$$ $$CAPLUS$$ Urea, $N-[(3,4-dihydro-2H-1-benzopyran-2-y1)methyl]-N'-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]- $$(CA_INDEX_NAME)$$$ 

 $\label{local_continuous} 1034770-62-1 \quad CAPLUS \\ Urea, & N-[7-[(1,1-dimethylethyl)dimethylsilyl]oxy]-5, 6, 7, 8-tetrahydro-1-aphthalenyl]-N'-[(7-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl)methyl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl)methyl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl)methyl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]methyl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzopyran-2-yl]-N'-[N-ethoxy-3, 4-dihydro-2H-1-benzo$ RN CN

(CA INDEX NAME)

 $\begin{array}{lll} 1034770-64-3 & CAPLUS \\ Urea, & N=[(3,4-dihydro-6-methy1-2H-1-benzopyran-2-y1)methy1]-N'-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]- & (CAINDEX NAME) \\ \end{array}$ 

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 1034770-79-0 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-[1,2,3,4-tetrahydro-1-(phenylmethyl)-4-quinolinyl]- (CA INDEX NAME)

1034770-84-7 CAPLUS
Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-

naphthalenyl]-N'-[1,2,3,4-tetrahydro-1-(phenylmethyl)-7-(trifluoromethyl)4-quinolinyl]- (CA INDEX NAME)

1034770-86-9 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsiiyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-(1,2,3,4-tetrahydro-1-methyl-4-quinolinyl)- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

$$\begin{array}{c} \text{Me} \\ \text{t-Bu-Si-O} \\ \text{Me} \\ \text{NH} \\ \text{O=-C-NH-CH}_2 \\ \end{array}$$

RN 1034770-70-1 CAPLUS
CN Urea,
N-[[3,4-dihydro-8-(1-methylethyl)-2H-1-benzopyran-2-yl]methyl]-N'-[7-

[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{t-Bu-Si-O} \\ \text{Me} \\ \text{O=C-NH-CH}_2 \\ \text{i-Pr} \end{array}$$

1034770-77-8 CAPLUS INDEX NAME NOT YET ASSIGNED

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1034770-88-1 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-[1,2,3,4-tetrahydro-1-methyl-7-(trifluoromethyl)-4-quinolinyl]- (CA INDEX NAME)

1034770-91-6 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-[1,2,3,4-tetrahydro-1-(phenylmethyl)-3-quinolinyl]- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 1034770-96-1 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-

naphthalenyl]-N'-[1,2,3,4-tetrahydro-1-(phenylmethyl)-7-(trifluoromethyl)-3-quinolinyl]- (CA INDEX NAME)

1034770-98-3 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-(1,2,3,4-tetrahydro-1-methyl-3-quinolinyl)- (CA INDEX NAME)

1034771-02-2 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-[1,2,3,4-tetrahydro-1-methyl-7-(trifluoromethyl)-3-quinolinyl]- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of urea derivs. as TRPV1 antagonists)
1034770-48-3 CAPLUS
Urea, N-(6-chloro-3,4-dihydro-2H-1-benzopyran-3-y1)-N'-[7-[[(1,1-dimethyl-thyl)dimethylsily1]oxy]-5,6,7,8-tetrahydro-1-naphthaleny1]- (CA
INDEX NAME)

 $\begin{array}{ll} 1034771-12-4 & \texttt{CAPLUS} \\ \textbf{Urea, } \mathbb{N}-[7-[[(1,1-\texttt{dimethylethyl})\texttt{dimethylsilyl}]\texttt{oxy}]-5,6,7,8-\texttt{tetrahydro-1-} \end{array}$ 

naphthalenyl]-N'-[[1,2,3,4-tetrahydro-1-(phenylmethyl)-7-(trifluoromethyl)2-quinolinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{t-Bu-Si-O} \\ \text{Me} \\ \text{O=C-NH-CH}_2 \\ \text{Ph-CH}_2 \\ \end{array}$$

1034771-17-9 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-[[1,2,3,4-tetrahydro-7-(trifluoromethyl)-2-quinolinyl]methyl]- (CA INDEX NAME)

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

 $\label{local-problem} 1034771-06-6 \quad CAPLUS \\ \text{Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-nphthalenyl]-N'-[[1,2,3,4-tetrahydro-1-(phenylmethyl)-2-quinolinyl]methyl]- \quad (CA INDEX NAME)$ 

$$\begin{array}{c} \text{Me} \\ \text{t-Bu-Si-O} \\ \text{Me} \\ \text{O=-C-NH-CH}_2 \\ \text{Ph-CH}_2 \end{array}$$

1034771-16-8 CAPLUS Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-[[1,2,3,4-tetrahydro-1-methyl-7-(trifluoromethyl)-2-quinolinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{t-Bu-Si-O} \\ \text{Me} \\ \text{O=C-NH-CH}_2 \\ \text{Me} \\ \end{array}$$

1034770-48-3P 1034771-12-4P 1034771-17-9P 1034771-19-1P 1034771-22-6P 1034771-26-0P

ANSWER 3 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) Urea, N-[7-[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-[(1,2,3,4-tetrahydro-1-methyl-2-quinolinyl)methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{t-Bu-Si-O} \\ \text{Me} \\ \text{O=C-NH-CH}_2 \\ \end{array}$$

 $\label{local_condition} 1034771-22-6 \quad {\tt CAPLUS} \\ {\tt Urea, N-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-N'-[(3R)-3-[4-(trifluoromethyl)phenyl]cyclohexyl]- \\ {\tt INDEX NAME}) \\ \\$ 

Absolute stereochemistry.

 $\label{local_continuous} $$1034771-26-0$ CAPLUS $$Urea, N-[(3S)-3-[4-(dimethylamino)phenyl]cyclopentyl]-N'-[7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,6,7,8-tetrahydro-1-naphthalenyl]-$$$ (CA INDEX NAME)$ 

Absolute stereochemistry.

1034771-19-1 CAPLUS

This invention is directed to methods of using spiro-oxindole compds. I [j, k = 0-3; Q = CRIIH, C(O), O, SOO-2, CP2, etc.; RII = H or OR5; RI = substituted aralkyl, (un) substituted heterocycloalkylor heteroarylalkyl, etc.; R2I-R24 = H, alkyl, alkoxy, etc.; R3I-R34 = H, alkyl, etc.; R3I-R34 = H, alkyl, etc.; R3I-R34 = H, al AB benign

benign

prostatic hyperplasia, pruritis and cancer. A few hundred compds. I were
prepared Thus,

1'-(2-cyclopropylethyl)spiro[furo[2,3-f][1,3]benzodioxole7,3'-indol]-2'(1'H)-one (II), was prepared in a multi-step synthesis,
starting from isatin and (2-bromoethyl)cyclopropane. Compds. I were
tested in various biol. tests. For example, II showed IC50 in the range
from 1 nM to 10 nM when tested in quanidine influx assay (in vitro) used
for testing and profiling agents against sodium channels.

IT 912667-46-0P

PIL DEC (Pharmacological activity), SDN (Surtheric preparation), TMU

File PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of spiro-oxindole compds. as sodium channel blockers for treating and preventing hypercholesterolemia, benign prostatic hyperplasia, pruritis and cancer)
912667-46-0 CAPLUS
Urea, N-[2-(2'-oxospiro[furo[2,3-f]-1,3-benzodioxole-7(6H),3'-[3H]indol]-1'(2'H)-y1)ethy1]-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)- (CA INDEX

14 ANSWER 5 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
2008:70887 Document No. 148:1447690 Imidazole derivatives as AMPK
activators, their preparation, pharmaceutical compositions, and use in
therapy. Moinet, Gerard; Marais, Dominique, Hallakou-Bozec, Sophie;
Charon, Christine (Merck Patent GmbH, Germany). PCT Int. Appl. WO
2008006432 A1 20080117, 82pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT
AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE,
DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GB, GE, GH, GM, GT, HN, HR, HU,
ID, II, IN, IS, JP, KE, KG, FM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT,
LU, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, CM, PG,
PH, PT, RO, RS, RU, SC, SD, SE, SG, SK, LS, MS, SY, SY, TJ, TM, TN,
TR, TT, TZ, UA; RN: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES,
FI, FG, GA, GB, GR, IE, 15, TI, LU, MC, ML, MR, MT, MR, ML, PM, FL, FR, CA, CB, GR, KE, LS, IT, CM, CY, DE, DK, ES,
TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2007-EP5164
20070612. PRIORITY: FR 2006-6415 20060713.

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT '

The invention relates to imidazole derivs. of formula I, which are activators of NMP-activated protein kinase (AMMR). In compds. I, one of the dotted bonds is a double bond and the other one is a single bond; Rl is (un)substituted C1-8 alkyl, (un)substituted C6-14 aryl,

substituted

C6-14 aryl-C1-8 alkyl, (un)substituted C6-14 aryloxy-C1-8 alkyl,

(un)substituted C6-14 heteroaryl, (un)substituted C6-14 heteroaryl-C1-8

alkyl, or (un)substituted C6-14 heteroaryloxy-C1-8 alkyl; R2 is H,

(un)substituted C1-8 alkyl, (un)substituted C3-10 cycloalkyl,

(un)substituted C3-10 aryl-C1-8 alkyl, or (un)substituted C2-14 acyl; A

-NH- or -O-; and R3 is H or (un) substituted C1-8 alkyl; including isomers

repimers, tautomers, N-oxides, hydrates, salts, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compos. comprising a pharmaceutically effective amount of at least one compound

I in combination with one or more pharmaceutically acceptable vehicles, as well.

as to the use of the compns. for the treatment of obesity, insulin resistance, and diabetes and related pathologies. O-Silylation of hydroxyimidazole II followed by hydrogenation, addition to 4-(methylthio)phenyl isocyan

compds. of the invention are activators of AMPK, e.g., compound III expressed 311% activation compared with basal activity in the absence of

expressed 311% activation compared with basal activity in the absence MMP.

1001165-90-7P, 5-Hydroxy-3-[4-[N'-(5,6,7,8-tetrahydronaphthalen-1-y1)ureido]benzyl]-3H-imidazole-4-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of imidazole derivs. as AMPK activators) 1001165-90-7 CAPLUS HR-Imidazole-5-carboxamide, 4-hydroxy-1-[[4-[[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]amino]phenyl]methyl]- (CA INDEX NAME)

ANSWER 4 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 5 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 6 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2007:761332 Document No. 147:1663430 Substituted urea derivatives,

for preparing them, pharmaceutical compositions containing them, and

use as modulators of the cardiac sarcomere. Morgan, Bradley P.;

Nack,
Erica; Lu, Pu-Ping; Muci, Alex; Morgans, David J., Jr. (Cytokinetics,
Inc., USA). PcT Int. Appl. WO 2007078815 A2 20070712, 90pp. DESIGNATEI
STATES: W: AE, AG, Al, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, GT, HN, HR, HU, ID, IL, IR, IS, JP, KR, KG, FM, NN, KP, KR,
KZ, LA, LC, LK, LS, LS, IT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MM, MY, MZ,
NA, NG, NI, NO, NZ, GM, FG, FH, FL, FT, KO, KS, RU, SC, SD, SZ, SG,
SL, SM, SV, SY, TJ, TM, TN, TR, TT, ZZ, UA, UG; RW, AT, BE, BF, BJ, CF,
CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC,
ML, MR, NR, NL, FT, SS, SN, TD, TG, TR. (English). CODENT PIXMD2.
APPLICATION: WO 2006-US47680 20061213. PRIORITY: US 2005-751118P DESIGNATED

GT

AB The invention relates to substituted urea derivs. I, processes for preparing them, pharmaceutical prepns. comprising them, and their pharmaceutical use. I are modulators of the cardiac sarcomere, for example by

L4 ANSWER 6 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 2-A

ANSWER 6 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) potentiating cardiac myosin, useful in the treatment of systolic heart failure including congestive heart failure (no data). In compd. I, A is chosen from (hetero)cycloalkyl, and (hetero)aryl groups having from 5 to

chosen from (hetero)cycloalkyl, and (hetero)aryl groups having from 5 to atoms including the atoms shared with the 6 membered arom. ring contg. W; is N or C; p is 0 or 1; R1 and R2 independently represent B, CN, halo, etc.; R3 is (un)substituted NBE2, alkyl, aryl, etc.; R4 is (un)substituted alkyl and halo; L is a bond, (un)substituted lower alkylene, O, S, SO2, etc.; one of Z1 and Z2 is (un)substituted NBE(O)NBRS and the other of Z1 and Z2 is R6 [wherein R5 is (un)substituted NBE(O)NBRS and the other of Z1 and Z2 is R6 [wherein R5 is (un)substituted NBE(O)NBRS and the other of Z1 and Z2 is R6 [wherein R5 is (un)substituted nBecon representation of Z1 and Z2 is R6 [wherein R5 is (un)substituted nBecon representation of Z1 and Z2 is R6 [wherein R5 is (un)substituted nBecon representation of Z1 and Z2 is R6 [wherein R5 is (un)substitution representation of Z1 and Z2 is R6 [wherein R5 is (un)substitution with methylpiperazine carboxylate hydrochloride (78%) gave the intermediate II as a brown oil. N-redn. (92%) of II followed by reaction with 2-methyl-5-isocyanatopyridine (51%) gave the invention compd. III as a light brown solid. N-redn. (92%) of II followed by R37301-48-5p
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of urea derivs. as modulators of cardiac sarcomere)

sarcomere)
873701-48-5 CAPLUS
1-Piperazinecarboxylic acid, 4-[1,2,3,4-tetrahydro-5-[[[(6-methyl-3-pyridinyl)amino]carbonyl]amino]-1-naphthalenyl]-, methyl ester (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 7 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2006:1224966 Document No. 146:77040 Preparation of pentafluorosulphanyl-substituted compounds and for use in producing medicaments. Frank, Robert; Sundermann, Bernd; Schick, Hans (Gruenenthal G.m.b.H., Germany). PCT Int. Appl. No 2006:12273 Al 2006:1123, 77pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY.

BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NG, NI, NO, NZ, CM, PG, PH, PL, FT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM; RN: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (German). CODEN:

$$\begin{array}{c|c} F_5S & & NHSO_2Me \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

he present invention relates to pentafluorosulphanyl-substituted compds. [R1 = H, F, C1, Br, I, -CN, -NC, NO2, SO3H, SO2NH2, NH2, OH, SH, Me, AB

CH2Et, CHMe2, Bu, CHMeEt, CH2CHMe2, CMe3, pnethyl, sec-pentyl, hexyl,

OEt, OCHMe2, OCMe3, SMe, SEt, SCHMe2, SCMe3, NMe2, NEt2, NHMe, NHEt, NHCOMe, NHCOEt, CONH2, COMe, COEt, CO2H, CO2Me, CO2Et; R2 = ABD, EF, NHG, QBD (at the 2-, 3-, 4-, 5-, 6-position of the Ph ring); Q = oxazolyl, thiazolyl, isoxazolyl, isoxazolyl aidazolyl or condensed with the Ph ring = benzoxazolyl, benzothiazolyl, benzisothiazolyl, benzimidazolyl; A

NR3, CONR4, NR3C(:S)NR4, NR5CO, NR5CS, NR6-(aromatic azaheterocycly1); B

azaheterocyclyl; D = Ph, 1,3,5-triazinyl, pyridinyl, pyridazinyl,
pyrimidinyl, quinolinyl, isoquinolinyl, pyrazinyl; E = CH2CH2NR7CONR8,
CH2CH2NR7CSNR8, CH2NR9CONR10, CH2NR9CSNR10, CH:CHCONR11, CH:CHCONR11,
CH2CH2NR12CONR13CH2CH2, CH2CH2NRICSNR1CH2CH2, CH2NR14CONR15CH2,
CH2NR14CSNR15CH2, etc.; F = Ph, naphthyl, quinolinyl,

ANSWER 7 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) isoquinolinyl,quinoxalinyl, quinaxolinyl, benzodioxanyl, benzodioxolyl, etc.; G = tetrazaindoles, triazines, pyrimidines, etc.; R3 = R25 = H, MEt, Pr-n, Pr-i, Bu-n, Bu-s, Bu-i, Bu-t, pentyl, hexyl; n = 0, 1, 2, 3, L4

processes for prepg. them, medicaments comprising these compds., and the use of these compds. for producing medicaments. Thus,  $N-\{4-[3-(4-[{\rm pentafluorosulfanyl}){\rm benzyl}){\rm thioureidomethyl}]-2-fluorophenyl]methanesulfonamide (II) was prepd. from <math>N-(2-{\rm fluoro-}4-{\rm iodophenyl}){\rm methanesulfonamide}$  via cyanolysis with  ${\rm Zn}({\rm CN})2$ 

DMF contg. catalytic Pd(PFh3)4, hydrogenation over Raney Ni in MeOH, and thiocarbamoylation with 4-(P5S)C6H4CH2NCS in DMF contg. EtN. The inhibitory activity and binding ability of II towards VRI receptors was detd. [IC50 = 0.36  $\mu M$  (rat); IC50 = 0.8  $\mu M$  (human); Ki = 0.084

detd. [ICSU = 0.30 m. ..

MM].

915217-54-8P

RL: SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pentafluorosulphanyl-substituted compds. and for use

as

 $\label{eq:medicaments} $915217-54-8$$ CAPLUS $Sulfur, pentafluoro[4-[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]phenyl]-, (CC-6-21)- (CA INDEX NAME) $$ $(CA INDEX NAME) $$ $(CA INDEX NAME)$$ $(CA$ 

ANSWER 8 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) Q is CH2, CHOH and derivs., CO, O, S, SO, SO2, CF3, CONH2 and derivs.,

NHCO and derivs.; R1 is H, (halo)alkyl, alkenyl, alkynyl, (hetero)aryl, cycloalkyl(alkyl), heterocyclyl, etc.; R2a, R2b, R2c, R2c', R3a, R3b,

and R3c' are independently H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, (halo)alkoxy, halo, cycloalkyl(alkyl), (hetero)aryl(alkyl), aralkenyl, etc.; and their stereoisomers, enantiomers, tautomers, mixts. thereof,

pharmaceutically acceptable salts, solvates and prodrugs thereof are claimed. Example compd. II was prepd. by spirocyclization of 1-(2-cyclopropylethyl)-3-(6-hydroxy-1,3-benzodioxol-5-yl)-3-(hydroxymethyl)-1,3-dihydro-2n-2-indol-2-one. All the invention compds. were evaluated for their sodium channel blocking ability. 912667-46-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of spiro-oxindole compds. as sodium

blockers useful in treatment of diseases)
912667-46-0 CAPLUS
Urea, N-[2-(2'-oxospiro[furo[2,3-f]-1,3-benzodioxole-7(6H),3'-[3H]indol]1'(2'H)-y1)ethy1]-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)- (CA INDEX NAME

2006:1095378

ANSWER 8 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN :1095378 Document No. 145:4385960 Spiro-oxindole compounds and their preparation, pharmaceutical compositions and use as sodium channel blockers. Chafeev, Mikhail, Chowdhury, Sultan, Fraser, Robert; Fu, Jianmin; Kamboj, Rajender; Hou, Duanjie; Liu, Shifeng; Bagherzadeh, an

an
Seid; Sviridov, Serguei; Sun, Shaoyi; Sun, Jianyu; Chakka, Nagasree;
Hsieh, Ton; Raina, Vandna (Xenon Pharmaceuticals Inc., Can.). PCT II
Appl. WO 2006110917 A2 20061019, 496pp. DESIGNATED STATES: W: AE, .
AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, cZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GB, GE, GH, GM, HR, HU, IL, NN, IS, JP, KE, KG, FM, KN, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LY, MA, MD, MG, MK, MM, MW, MX, MZ, NA, NG, NI, NO, NZ, CM, PG, PH, FT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UG, US, UZ, VC, VN, YU, ZB, RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, ED, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, SE, SN, TD, TG, TR. (Emglish). CODEN: PIXND2. APPLICATION: WO 2006-US14352 20060411. PRIORITY: US 2005-670896P 20050411. PCT Int.
AE, AG,
CR, CU,
HU, ID,

Q-(CH2)m (CH2)n/ °0 R3? R3?

II

This invention is directed to spiro-oxindole compds. of formula I: as a stereoisomer, enantiomer, tautomer thereof or mixts. thereof; or a pharmaceutically acceptable salt, solvate or prodrug thereof, which are useful for the treatment and/or prevention of sodium channel-mediated diseases or conditions, such as pain. Pharmaceutical compms. comprising the compds. and methods of preparing and using the compds are also disclosed. Compds. of formula I m and n are independently 0, 1, 2 and

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2006;945846 Document No. 145:3424250 Use of hydroxy tetrahydro-naphthalene derivatives for prophylaxis and treatment of diseases assocd. with VR1 activity. Alonso-Alija, Cristina; Gupta, Jang, Hinzen, Berthold (Bayer Healtheare AG, Germany). PCT Int. Appl. Wo 2006094627 A2 20060914, 16pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY.

DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BK, BW, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NG, NI, NO, NZ, CM, FG, PH, FL, FT, FO, RU, SC, SD, SE, SG, SK, SS, SJ, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, FW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, FF, IS, TI, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2006-EP1575 20060222. PRIORITY: EP 2005-4878 20050305.

This invention relates to new uses of hydroxy-tetrahydro-naphthalenylurea derivs. Which are described in WO 03/095420 as an active ingredient of pharmaceutical prepns. for the treatment of diseases associated with vanilloid receptor VR1 activity. The new uses of the present invention are the prophylaxis and treatment of diseases associated with VR1 wity.

activity,

rity,
in particular for the treatment of respiratory diseases or disorders such
as the common cold, cough, sneeze, bronchitis including acute and chronic
bronchitis, bronchiolitis, rhinitis, allergic rhinitis, vasomotor
rhinitis, mucositis, sinusitis, allergy, disorders associated with

irritants such as tobacco smoke, smog, high levels of atmospheric SO2

irritants such as tobacco smoke, smog, high levels of atmospheric SO2 noxious
gases in the workplace, and airways hyperreactivity, milk product intolerance, Lofflet's pneumonia, emphysema, cystic fibrosis, bronchiectasis, pulmonary fibrosis, pneumoconiosis, collagen vascular disease, granulomatous disease, laryngitis, pharyngitis, pneumonia, pleuritis, persistent asthma and chronic asthmatic bronchitis.
624728-45-6 624728-46-7 624728-47-8
624728-49-6 624728-55-8 624728-31-4
624728-54-7 624728-55-8 624728-51-4
624728-64-6 624728-95-8 624728-7-6
624728-62-6 624728-91-9 624728-97-6
624728-62-6 624728-11-9 624728-91-7
624729-0-6 624728-23-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxytetrahydronaphthalene derivs. for prophylaxis and treatment of diseases associated with VRI activity)
G24728-65-6 CAPLUS
Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624728-46-7 CAPLUS
CN Urea,
N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[(7R)-5,6,7,8-tetrahydro-7hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 624728-47-8 CAPLUS
CN Urea,
N-[4-chloro-3-(trifluoromethy1)pheny1]-N'-[(7S)-5,6,7,8-tetrahydro-7hydroxy-1-naphthaleny1]- (CA INDEX NAME)

Absolute stereochemistry.

624728-48-9 CAPLUS Urea, N-phenyl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-50-3 CAPLUS

CN Urea, phonyl) -N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624728-51-4 CAPLUS CN Urea, N-(4-chlorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

624728-54-7 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-55-8 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

624728-56-9 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-64-9 CAPLUS
Urea, N-(3,4-dichlorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-86-5 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[[4-(trifluoromethoxy)pheny1]methy1]- (CA INDEX NAME)

ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-89-8 CAPLUS Urea, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

624728-90-1 CAPLUS
Urea, N-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

624729-06-2 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[(2,4,6-trimethoxypheny1)methy1]- (CA INDEX NAME)

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-87-6 CAPLUS
Urea, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

624728-88-7 CAPLUS
Urea, N-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

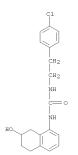
Absolute stereochemistry.

ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

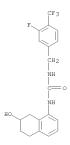
624729-11-9 CAPLUS Urea, N-[(2,6-difluoropheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

624729-19-7 CAPLUS
Urea, N-[2-(4-chlorophenyl)ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



624729-20-0 CAPLUS
Usea, N-[[3-fluoro-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



624729-23-3 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

14 ANSWER 10 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
2006:117875 Document No. 144:2126610 Preparation of piperidine derivatives as histamine H3 receptor ligands for treatment of depression. Folmer, James, Hunt, Simon Fraser; Hamley, Peter; Wesolowski, Steven (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2006014136 Al 20060209, 53 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, INN, DZ, EC, EE, EG, ES, FT, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NA, NG, NI, NO, NZ, CM, PG, PH, PT, PT, RO, RU, SC, SD, SE, SG, SK, SI, SM, SY, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FT, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NI, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXDE. APPLICATION: WO 2005-SE1189 20050727. PRIORITY: SE 2004-1971 20040802.

AB

The title piperidine derivs. I [wherein Q = -N(CH2CH2)2N-, -N(CH2CH2)2CH-0-, -N(CH2CH2)2CH-NH-CO-, etc.; Ar = (un) substituted (hetero)aryl], or pharmaceutically acceptable salts, diastereomers, enantiomers, or mixts. thereof were prepared as histamine H3 receptor ligands for treatment of depression. For example,

ligands for treatment of depression. It is a second of the presence of disopropherlylamine was reacted with 4-nitrophenyl chloroformate in THF in the presence of disopropylethylamine, followed by the addition of 4-amino-1-methylpiperidine to give II (22%). The biol. activity of the title compds. as histamine

receptor ligands binding towards human recombinant H4 receptor was tested (no data). The compds. are useful in therapy, in particular in the treatment of depression (no data). 875586-95-1P

IT

875586-95-1P RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (drug candidate; preparation of piperidine and piperazine derivs. as histamine H3 receptor ligands for treatment of depression) 875586-95-1 CAPLUS Urea, N-(1-methyl-4-piperidinyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)

ANSWER 10 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)



2006:117041

ANSWER 11 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 117041 Document No. 144:2128000 Preparation of piperidine and piperazine derivatives as histamine H3 receptor ligands for treatment of depression. Folmer, James; Hunt, Simon Fraser; Hamley, Peter;

Wesolowski, Steven (Astrazeneca AB, Swed.). PCT Int. Appl. Wo 2006014135 Al 20060209, DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG,

BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, CM, FG, PH, PL, FT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, EW; AT, BE, BF, BJ, CF, GG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-SE1188 20050727. PRIORITY: SE 2004-1970 20040802.

The title piperidine and piperazine derivs. with general formula of I and II [wherein R = alkyl; Q = -N(CH2CH2)2CH-, -N(CH2CH2)2CH-, -N(CH2CH2)2CH-, -N(CH2CH2)2CH-) = (et., Ar = (un) substituted (hetero)aryl], or pharmaceutically acceptable salts, diastereomers, enantiomers, or mixts. thereof were prepared as histamine H3 receptor ligands for treatment of depression. For example,

3,4-dichlorobenzylamine was reacted with 4-nitrophenyl chloroformate in THF in the presence of disopropylethylamine, followed by the addition of N-methylpiperazine to

N-(3,4-dichlorobenzy1)-4-methylpiperazine-1-carboxamide (73%). The bio activity of the title compds. as histamine H3 receptor ligands binding towards human recombinant H4 receptor was tested (no data). The compds are useful in therapy, in particular in the treatment of depression (no 875547-16-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of piperidine and piperazine derivs. as histamine H3 receptor ligands for treatment of depression) 875547-16-3 CAPLUS

ON Urea, N-[3-(1-piperidinyl)propyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)

L4 ANSWER 12 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2006:53665 Document No. 144:1503930 Preparation of heterocyclic phenyl

ureas

as selective modifiers of cardiac sarcomere for treating heart disease.

Morgan, Bradley Faul, Mucl, Alex, Lu, Fu-Ping; Krayanack, Erica Anne;
Tochimoto, Todd; Morgans, David J. (USA). U.S. Pat. Appl. Publ. US
20060014761 Al 20060119, 70 pp. (English). CODEN: USXXCO. APPLICATION:
US 2005-155940 20050616. PRIORITY: US 2004-581197P 20040617.

Certain substituted urea derivs. of general formula I (wherein W, X, Y, and Z = C or N, provided that no more than 2 = NI n = 1-3; RI = (un) substituted amino or heterocycloalkyl, R2 = (un) substituted aryl, aralkyl, cycloalkyl, heteroaryl, etc.; R3 = H, halo, CN, (un) substituted alkyl, heterocycloalkyl, or heteroaryl when W = C, but absent when W = N, R4 = H, halo, CN, (un) substituted alkyl, heterocycloalkyl, or heteroaryl when Y = C, but absent when Y = N, and R5 = H, halo, CN, (un) substituted alkyl, heterocycloalkyl, or heteroaryl when X is C, but absent when X = R5 = H, halo, CN, (un) substituted alkyl, heterocycloalkyl, or heteroaryl when X is C, but absent when X = R5 = H.

R13 is H, halo, CN, OH, (un)substituted alkyl, heterocycloalkyl, or heteroaxyl when Z=C, but absent when Z=N; and R6 and R7 = hydrogen, aminocarbonyl, alkoxycarbonyl, (un)substituted alkyl or alkoxy, or together form part of an (un)substituted 3-7-membered ring) selectively modulate the cardiac sarcomere, for example by potentiating cardiac myosin, and are useful in the treatment of systolic heart failure including congestive heart failure. Preparation of the compds. is slified. exemplified.

plified.

For example, Me 4-[4-fluoro-3-[3-(5-methylisoxazol-3-yl) ureido]benzyl]piperazine-1-carboxylate was prepared from 5-methylisoxazol-3-amine and the appropriate piperazinyl aminophenyl compound No biol. data for specific I are given.
873701-48-5P, Methyl 4-[5-[[[[6-methyl-3-pyridyl)]amino]carboxylate Pyridyl)]amino]carboxylate Ri-FAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Interspection 60.7, ---- (Unses) (Uses) (drug candidate; preparation of heterocyclic Ph ureas as selective

ANSWER 11 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 12 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

ANSWER 13 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN ::1103773 Document No. 143:3870290 Preparation of tricyclic pyrazole kinase inhibitors. Makoto, Aoyama; Arnold, Lee D.; Dinges, Juergen; Dixon, Richard W.; Djuric, Stevan W.; Ericsson, Anna M.; Fischer, Kimba; Gasiecki, Alan F.; Gracias, Vijaya J.; Holms, James H.; Michaelides, Michael R.; Muckey, Melanie A.; Rafferty, Paul; Steinman, Douglas H.; Wada, Carol K.; Xia, Zhiren; Akritopoulou-zanze, Irini; Zhang, Henry Q. (Abbott Laboratories, USA; et al.). PCT Int. Appl. Wo 2005095387 Al 20051013, 397 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NM, NM, MX, MX, NX, NX, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, JJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW; AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, NR, NL, PT, SE, SN, TD, TG, TR. (English). COEDN: PITKM22. APPLICATION: WO 2005-US9900 20050324. PRIORITY: US 2004-356005F 20040324.

of

The title compds. I [XI = C, N; X2 = CH2, CO, O; R1-R3 = H, alkoxyalkoxy, halo, heteroaryl, etc.; R4 is absent or is selected from H, alkoxyalkoxy, halo, heteroaryl, etc.; R5 = heteroaryl, substituted C.tplbond.CH, etc.], useful for inhibiting protein tyrosine kinases, were prepared Thus, reacting G-[(4-methyl-1-piperazinyl)methyl]-1-indanone with Hb 2-thiophenecarboxylate (prepns. of the reactants given) in the presence AB

NaH in benzene followed by treating the crude intermediate with hydrazine monohydrate and acetic acid afforded 79% 
7-[(4-methyl-1-piperazinyl)methyl]-3-(2-thienyl)-1,4-dihydroindeno[1,2-c]pyrazole. The compds. I inhibited KDR at IC50's between about 50,000

nM to about 1 nM. Also disclosed are methods of making the compds. I, compns. containing the compds. I, and methods of treatment using the

IT 866853-18-1P RL: FAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indenopyrazole kinase inhibitors) 866853-18-1 CAPLUS

L4 ANSMER 14 OF 66 CAPLUS COPYRIGHT 2009 ACS ON STN

2005:641873 Document No. 143:1532990 Preparation of substituted urea derivatives for use in treating heart failure. Morgan, Bradley Paul; Elias, Kathleen A.; Kraynack, Erica Anne; Lu, Pu-Ping; Malik, Fady; Muci, Alex; Qian, Xiangping; Smith, Whitney Waiter; Tochimoto, Todd; Tomasi, Adam Lewis; Morgans, David J. (USA). U.S. Pat. Appl. Publ. US

20050159416

A1 20050721, 64 pp., Cont.-in-part of Appl. No. PCT/US04/001069. (English). CODEN: USXXCO. APPLICATION: US 2004-490829 20040714. PRIORITY: US 2003-4401339 20030114; US 2003-4401839 20030114; US 2003-4401839 20030114. BRIORITY: US 2003-4401339 20030114; US 2003-401839 20030116

WO 2004-US1069 20040114.

AB The present invention provides substituted urea derivs., pharmaceutical compns. containing the derivs., and methods for the treatment of heart failure including congestive heart failure, particularly systolic heart failure. The compns. are selective modulators of the cardiac sarcomere, for example, potentiating cardiac myosin. The ureas of the invention are represented by the formula RINNE(O)NHR2 wherein: R1 is optionally substituted aryl or heteroaryl, heteroarallyl or heterocyplyl, including single stereoisomers, mixts. of stereoisomers, and the pharmaceutically acceptable salts, solvates, and solvates of pharmaceutically acceptable salts, solvates, and solvates of pharmaceutically acceptable salts, solvates, and solvates of pharmaceutically acceptable salts.

salts thereof. 1055940-96-9 IT

RL: PRPH (Prophetic) (Preparation of substituted urea derivatives for use in treating heart

failure) 1055940-96-9 CAPLUS

Urea, N-(3-hydroxyphenyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 13 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) Urea, N-[[5-[1,4-dihydro-6-[(4-methyl-1-piperazinyl)methyl]indeno[1,2-

c]pyrazol-3-yl]-2-thienyl]methyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)

L4 ANSMER 15 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2005:527397 Document No. 143:780960 Preparation of quinolines useful in treating LKR (liver X receptor)-mediated diseases. Collini, Michael D.; Singhaus, Robert R.; Hu, Baihus; Jetter, James W.; Morris, Robert L.; Kaufman, David H.; Miller, Christopher P.; Ullrich, John W.; Unwalla, Rayomand J.; Wrobel, Jay E.; Quinet, Elaine; Nambi, Ponnal; Bernotas, Ronald C.; Elloso, Merle (Wyeth, John, and Brother Ltd., USA). U.S. Pat. Appl. Publ. US 2005131014 Ai 20050616, 169 pp. (English). CODEN: USXXCO. APPLICATION: US 2004-10236 20041210. PRIORITY: US 2003-529009P 20031212; US 2004-600296P 20040810.

This invention provides quinolines of formula I (R1 = H or C1-C3 alkyl;

= a bond or an appropriate group to link R2 which is an optionally substituted heterocycle; X2 = a bond or CH2; R3 = optionally substituted Ph, naphthyl, or heterocycle; R4, R5, and R6 = H or F, R7 = H, C1-C4 alkyl, C1-C4 perfluoralkyl, halogen, NO2, CN, optionally substituted phenyl) that are useful in the treatment or inhibition of LXR mediated diseases (no data). The LXR mediated diseases specifically claimed are, for example, atherosclerosis, Alzheimer's disease, dementia, diabetes, multiple sclerosis, and thyroiditis. Pharmaceutical compns. containing

compds. of the invention and synthetic procedures for preparing them are also

claimed.

claimed.
854767-81-0P, N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4yl]Phenyl]-N'-(5,6,7,8-Tetrahydronaphthalen-1-yl)urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of quinolines useful in treating LXR

(liver X receptor)-mediated diseases)
RN 854767-81-0 CAPLUS
CN Urea,
N-[3-[3-benzoyl-8-(trifluoromethyl)-4-quinolinyl]phenyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 15 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the lst assay had data). Il showed an ICSO in the range of 0.1 to 0.6 µM in the lst assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve Fy.

derivs. as

VR1 antagonists)

--- 20-3 CAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-6-oxo-1-naphthalenyl)- (CA INDEX NAME)

851266-32-5P 851266-33-6P 851266-34-7P 851266-35-8P 851266-36-9P 851266-37-0P, 1-(4-Chloro-3-(trifluoromethyl)phenyl)-3-(6-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)urea 851266-42-7P, 1-(4-Chloro-3-(trifluoromethyl)phenyl)-3-(7-methyl-5,6,7,8-tetrahydronaphthalen-1-yl)urea 851266-47-2P, 1-(4-Chloro-3-(trifluoromethyl)phenyl)-3-(7-(hydroxymethyl)-5,6,7,8-tetrahydronaphthalen-1-yl)urea 851266-48-3P, 1-(1,3-Benzodioxol-5-yl)-3-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)urea 851266-48-3P, 851266-51-8P 851266-51-8P 851266-51-8P 851266-51-8P

L4 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2005;395257 Document No. 142:4470180 Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases. Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Belinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura, Takeshi; Fujishima, Hiroshi; Seki, Seki.

Masaomi; Koriyama, Yuji; Yasoshima, Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus; Hayashi, Funihiko; Taukimi, Yasuhiro; Gupta, Jang (Bayer Healthcare Ag, Germany). PCT Int. Appl. WO 2005040100 Al 20050506, 149 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NN, NI, NO, NZ, CM, PCP, PH, PL, PT, RO, BU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; EW; AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, MI, MR, NE, NI, FT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-EPI1008 20041002. PRIGRITY: EP 2003-25572 20031108.

This invention relates to title compds. of formula A-NH-CO-E (I) [wherein  $\lambda = 7$ -hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl, 5,8-dihydrotetranaphthalen-1-yl; indan-4-yl, inden-4-yl, etc.; E=cycloalkyl optionally fused by aryl, (un)substituted Ph, hetero/aryl, NH-(CR2)n-R4, etc.; n = 0-6, R4 = (un)substituted aryl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients AB

pharmaceutical prepns. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated  ${\cal R}$ with VR1

VRI activity, in particular for the treatment of urol. disorders or diseases, pain and inflammatory disorders or diseases. Thus, reacting (6-Ethoxy-5,8-dihydronaphthalen-1-yl)amine (preparation given) with 4-Chloro-3-trifluoromethylbenzene isocyanate gave II. The effects of the compds. were examined in the following several assays and pharmacol. tests

measurement of capsaicin-induced Ca2+ influx in a human VR1-transfected

ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 851266-55-2P 851266-56-3P 851266-56-3P 851266-59-4P 851266-59-5P 851266-59-6P 851266-60-9P 851266-61-0P, 1-[(2,2-Difluoro-1,3-benzodioxol-5-y1)methyl]-3-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-y1)urea 851266-62-1P, 1-[[3-Chloro-5-(trifluoromethyl)pyridin-2-y1]methyl]-3-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-y1)urea RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses) (dug candidate; prepn. of tetrahydronaphthalene and urea derivs. as

VR1 antagonists) 851266-32-5 CAPLUS Urea, N-(5,6,7,8-tetrahydro-6-oxo-1-naphthalenyl)-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

851266-33-6 CAPLUS

891206-30-0 CHPLUS Urea, N-(5,6,7,8-tetrahydro-6-hydroxy-1-naphthalenyl)-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

### L4 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

851266-34-7 CAPLUS
Uzea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-6,7-dihydroxy-1-naphthalenyl)- (CA INDEX NAME)

 $851266-35-8 \quad CAPLUS \\ Urea, \; N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-6-methoxy-1-naphthalenyl)- \; (CA INDEX NAME)$ 

851266-36-9 CAPLUS
Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-6-hydroxy-7-methoxy-1-naphthalenyl)- (CA INDEX NAME)

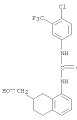
851266-37-0 CAPLUS
Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-6-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

### ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

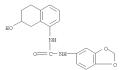
 $851266-42-7 \quad CAPLUS \\ Urea, \\ N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-methyl-1-naphthalenyl)- \\ (CA INDEX NAME)$ 

 $851266-47-2 \quad CAPLUS \\ Urea, \; N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[5,6,7,8-tetrahydro-7-(hydroxymethyl)-1-naphthalenyl]- \quad (CA \; INDEX \; NAME)$ 

L4 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



851266-48-3 CAPLUS Urea, N-1,3-benzodioxol-5-yl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



851266-49-4 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN

ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 851266-50-7 CAPLUS Urea, N-(2,3-dihydro-1H-inden-1-y1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

851266-51-8 CAPLUS
Urea, N-[4-(4-pyridinyloxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN CN

851266-52-9 CAPLUS Urea, N-(3-pyridinylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

(Continued)

ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

851266-56-3 CAPLUS Urea, N-(2-pyridinylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

851266-53-0 CAPLUS
Urea, N-(4-pyridinylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN

 $851266-54-1 \quad CAPLUS \\ Urea, \quad N^-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl) - N^+-(1,2,3,4-tetrahydro-1-naphthalenyl) - \quad (CA INDEX NAME)$ 

L4 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

 $851266-57-4 \quad CAPLUS \\ Urea, \; N-(1,3-benzodioxol-5-ylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- \quad (CA \; INDEX \; NAME)$ 

851266-58-5 CAPLUS Urea, N-[3-(4-pyridinyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

851266-59-6 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[6-

ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME) (Continued)

851266-60-9 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[6-(trifluoromethyl)-3-pyridinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

Urea, N-[(2,2-difluoro-1,3-benzodioxol-5-yl)methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

2005:304661 Document No. 142:3737090 Preparation of benzazepines and
benzonaphthazepines as selective dopamine DI/D5 receptor antagonists for
the treatment of metabolic and CNS disorders. Burnett, Duane A.;
Greenlee, William J.; McKirtrick, Brian; Su, Jing; Zhu, Zhaoning;
Sasikumar, Thavalakulamgara K.; Mazzola, Robert; Qiang, Li; Ye, Yvanzan
(Schering Corporation, USA). U.S. Pat. Appl. Publ. US 20050075325 A1
200540570, 170 pp. (English). CODEN: USXXCO. APPLICATION: US
2004-850530
20040520. PRIORITY: US 2003-472534P 20030522.

STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT  $\star$ 

Benzazepines I [G = H, halo, alkyl, alkylthio, O2N, NC, HO, alkoxy, alkylsulfinyl, alkylsulfonyl, F3G, F3GO; R1 = H, alkyl, H2C:CHGH2, cycloalkyl, cycloalkylalkyl; R2 = F3GO, O2N, NC, (un)substituted aryl, heteroaxyl, amino, aminomethyl, acyl, carboxy, aminocarbonyl, aminosulfonyl, alkoxymthyl, alkoxymthoformyl; R11 = H, alkyl; R12 = H, R2, halo, HO, alkyl, alkylthio, alkylsulfonyl, alkoxy; V = H2, (un)substituted CH2, CH2CH2] such as II and III are prepared as selective dopamine D1/D5 receptor antagonists for the treatment of metabolic disorders such as diabetes and obesity, eating disorders such as obsessive-compulsive disorder and autism. and CNS disorders such as obsessive-compulsive disorder and autism. Ecopipam IV is O-acylated with p-nitrobenzoyl chloride, the ester nitrated with hirronium tetrafluoroborate and hydrolyzed with potassium hydroxide to give a mixture of regioisomeric nitrobenzo(d)naphth[2,1-b]azepines which are separated by HFLC; ction of the reduction of the

tion of the nitro group, attachment of the free phenol to a resin, sulfonylation of the free amine with methanesulfonyl chloride, and cleavage of the product from the resin with trifluoroacetic acid yields II. 9-Data on the

the free finance and the first trifluoroacetic acid yields II. 9-Data on the binding of some of the title compds. to the dopamine DI receptor and their selectivities for the dopamine DI receptor over the dopamine D2 receptor are given; for example, compound II has a Ki value of 0.45 mM at the dopamine DI receptor and >6000-fold selectivity for the dopamine D1 receptor to the dopamine D2 receptor.

IT 849511-72-4P 849511-74-6P 849511-76-8P 849511-78-0P 849511-89-0P 849511-89-0P 849511-89-0P 849511-89-0P 849511-94-0P 849512-00-2P 849511-98-0P 849512-06-7P 849512-02-3P 849512-09-9P 849512-06-PP 849512-06-PP 849512-01-09-P 849512-01-09-P 849512-01-09-P 849512-06-PP 849512-01-09-P 849512-01-0

selective dopamine  $\rm D1/D5$  receptor antagonists for treatment of metabolic and CNS disorders such as obesity, diabetes, anorexia, and

autism)
849511-72-4 CAPLUS
Uzea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-

ANSWER 16 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

851266-62-1 CAPLUS Urea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(3-chlorophenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

849511-74-6 CAPLUS

Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(phenylmethyl)-, rel- (CA INDEX

Relative stereochemistry.

849511-76-8 CAPLUS Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(4-chlorophenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

849511-78-0 CAPLUS
Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-lH-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(2-chlorophenyl)-, rel- (CA RN CN INDEX NAME)

Relative stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 849511-88-2 CAPLUS
CN Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-y1]-N'-cyclohexyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

849511-90-6 CAPLUS Urea, N-[(3RR,9kR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1B-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(3-methoxyphenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

849511-92-8 CAPLUS

NN 049311-92-0 CAPLOS
CN Urea,
N-[(6aR, 13bR)-11-chloro-6,6a,7,8,9,13b-hexahydro-12-hydroxy-7-methyl5H-benzo[d]naphth[2,1-b]azepin-4-yl]-N'-(4-methoxyphenyl)-, rel- (CA
INDEX NAME)

Relative stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

849511-94-0 CAPLUS
Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(3-cyanophenyl)-, rel- (CA INDEX NAME) NAME)

Relative stereochemistry.

RN 849511-96-2 CAPLUS
CN Urea,
N-[(6aR, 13bR)-11-chloro-6,6a,7,8,9,13b-hexahydro-12-hydroxy-7-methyl-

ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 5H-benzo[d]naphth[2,1-b]azepin-4-yl]-N'-(4-cyanophenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

849511-98-4 CAPLUS
Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-lH-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(3-fluorophenyl)-, rel- (CA) RN CN INDEX NAME)

Relative stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

849512-02-3 CAPLUS Urea, N={(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(4-fluorophenyl)-, rel- (CA RN CN INDEX NAME)

Relative stereochemistry.

RN 849512-04-5 CAPLUS
CN Urea,
N-(4-acetylphenyl)-N'-[(6aR,13bR)-11-chloro-6,6a,7,8,9,13b-hexahydro-

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

849512-00-1 CAPLUS
Urea, N=[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(2-fluorophenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 12-hydroxy-7-methyl-5H-benzo[d]naphth[2,1-b]azepin-4-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

849512-06-7 CAPLUS

NO 09512-00-7 CAFBOS

OF Urea,
N-[(6aR, 13bR)-11-chloro-6,6a,7,8,9,13b-hexahydro-12-hydroxy-7-methyl5H-benzo[d] naphth[2,1-b]azepin-4-yl]-N'-(2,5-dichlorophenyl)-, rel(CA
INDEX NAME)

Relative stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

849512-08-9 CAPLUS Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-lH-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(2,6-dichlorophenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 849512-12-5 CAPLUS
CN Urea,
N-[(6aR,13bR)-11-chloro-6,6a,7,8,9,13b-hexahydro-12-hydroxy-7-methyl5H-benzo[d]naphth[2,1-b]azepin-4-yl]-N'-[(3,4-dichlorophenyl)methyl]-,
rel- (CA INDEX NAME)

849512-14-7 CAPLUS Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-lh-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-1-naphthalenyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

849512-10-3 CAPLUS Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(2,3-dichlorophenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 849512-16-9 CAPLUS Urea, N-[(3Rs,9kb)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-[3-(trifluoromethyl)phenyl]-,

(CA INDEX NAME)

Relative stereochemistry.

 $\begin{array}{lll} 849512-18-1 & \texttt{CAPLUS} \\ \texttt{Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-[4-(trifluoromethyl)phenyl]-, } \end{array}$ 

rel-(CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

849512-20-5 CAPLUS Urea, N-[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-phenyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

849512-22-7 CAPLUS

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (CA INDEX NAME)

(Continued)

Relative stereochemistry.

849512-26-1 CAPLUS

CN Urea,
N-[(6aR,13bR)-11-chloro-6,6a,7,8,9,13b-hexahydro-12-hydroxy-7-methyl5H-benzo[d]naphth[2,1-b]azepin-4-yl]-N'-cyclopentyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

849512-28-3 CAPLUS

No. 19532250 CATHON
OF CON Urea,
N-[(6aR,13bR)-11-chloro-6,6a,7,8,9,13b-hexahydro-12-hydroxy-7-methyl-5H-benzo[d]naphth[2,1-b]azepin-4-yl]-N'-(2-methoxyphenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

849512-30-7 CAPLUS
Urea, N=[(3aR,9bR)-12-chloro-2,3,3a,4,5,9b-hexahydro-11-hydroxy-3-methyl-1H-benzo[d]naphth[2,1-b]azepin-6-yl]-N'-(diphenylmethyl)-, rel- (CA)

ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN NAME) (Continued)

Relative stereochemistry

849512-32-9 CAPLUS

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Relative stereochemistry.

RN 849512-35-2 CAPLUS
CN Urea,
N-[(6aR, 13bR)-11-chloro-6,6a,7,8,9,13b-hexahydro-12-hydroxy-7-methyl5H-benzo[d]naphth[2,1-b]azepin-4-yl]-N'-(2,6-dichloro-4-pyridinyl)-,

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN Relative stereochemistry. (Continued)

L4 ANSWER 18 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) ANSWER 18 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 19235 Document No. 142:86675 Vanilloid receptor 1 inhibitors for treatment of human immunodeficiency virus (HIV)-mediated neuropathies and pain states. Bouchon, Axel; Misawa, Keiko (Bayer Healthcare AG,

Germany).

Germany).

Eur. Pat. Appl. EP 1493438 A1 20050105, 42 pp. DESIGNATED STATES: R: AT, BE, CB, DE, DK, ES, FR, GB, GR, IT, LI, LU, NIL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK. (English). CODEN: EPXXDM. APPLICATION: EP 2003-15052 20030703.

AB The invention relates to the application of Vanilloid receptor (VR) 1 inhibitors for drug development and for the treatment of HIV-mediated neuropathies and neuropathic pain states. Further, the inventor identified a novel signaling cascade connecting the HIV receptor CXCR4 to VRI. Thus, the invention provides mol. evidence that HIV-mediated pain states - initiated upon binding of the virus to CXCR4 - can be inhibited by VRI antagonists blocking the final execution of the CXCR4NRI pathway. In addition, the invention demonstrates that present standard therapies for

In addition, the invention demonstrates that present standard therapies HIV-mediated pain (which do not include VRI inhibitors) can not interfere with the CXCR4/VRI pathway thus explaining inefficient patient treatment in the clinics. N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-y1)-N'-(4-trifluoromethoxy-benzy1)urea (preparation given) completely inhibited gpl20-mediated calcitonin gene-related peptide release from dorsal root ganglion meurons. 624728-66-5P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (vanilloid receptor 1 inhibitors for treatment of human immunodeficiency virus (HIV)-mediated neuropathies and pain states) 624728-86-5 CAPLUS (Broother CAPLUS

ANSWER 19 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 1878168 Document No. 141:3606650 Synergistic methods and compositions using insulin-like growth factor 1 receptor (IGFIR) inhibitors with additional kinase inhibitors for treating cancer. Carboni, Joan M., Hurlburt, Warren W.; Gottardis, Marco M.; Lee, Francis Y. (USA). U.S. Pat. Appl. Publ. US 20040209930 Al 20041021, 66 pp., Cont.-in-part of

Ser. No. 676,214. (English). CODEN: USXXCO. APPLICATION: US

2004-814199 20040331. PRIORITY: US 2002-415416P 20021002; US 2003-676214 20031001;

US

20040331. PRIORITY: US 2002-415416P 20021002; US 2003-6/6214 20031001;

AB Combination therapies using IGF1R inhibitors in combination with addn1. kinase inhibitors are described for the synergistic treatment of cancer. IT 30296-0-34-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(IGF1 receptor inhibitors with addn1. kinase inhibitors for synergistic treatment of cancer)

RN 30296-0-34-5 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-2-[[[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)- (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 19 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 2-A

14 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
2004:515474 Document No. 141:713590 Preparation of tetrahydronaphthalene derivatives as vaniloid receptor antagonists. Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Taukimi, Yasuhiro; Yura, Takeshi; Uzbahna, Klaus; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Naqahiro; Moriwaki, Toshiya (Bayer Healthcare Ag, Germany). PCT Int. Appl. WO 2004052946 A1 20040624, 81 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KE, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SC, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UC, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, MI, MR, NE, NL, FT, SE, SN, TD, TG, TR, (English). CODEN: PIXXD2 APPLICATION: WO 2003-EP13453 20031128. PRIORITY: EP 2002-27523 20021206.

AB

The title compds. I [R1 = H, alkyl; X = biphenyl, etc.] are prepared tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of I was demonstrated.
711015-38-2P 711015-39-3P 711015-40-6P 711015-41-7P 711015-43-3P 711015-44-0P 711015-41-7P 711015-43-3P 711015-44-0P 711015-45-1P 711015-46-2P 711015-53-PP 711015-51-9P 711015-61-1P 711015-62-2P 711015-63-3P 711015-63-3P 711015-63-3P 711015-64-4P 711015-63-9P 711015-63-3P 711015-70-2P 711015-70-PP 711

L4 ANSWER 20 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2004:633440 Document No. 141:1677840 Compositions and methods to treat 2004:633440 Document No. 141:1677840 Compositions and methods to treat heart

failure. Morgan, Bradley Paul; Elias, Kathleen A.; Kraynack, Erica Anne;
Lu, Pu-ping; Malik, Fady; Muci, Alex; Qian, Xiangping; Smith, Whitney
Walter; Tochimoto, Todd; Tomasi, Adam Lewis; Morgans, David J., Jz.
(Cytokinetics, Inc., USA). PCT Int. Appl. WO 2004064730 A2 20040801, 132
pp. DESIGNATED STATES: W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU,
AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, EZ, CA, CH, CN, CN, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG,
ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS,
JF, JP, YP, KE, KE, KG, KG, KP, KP, KP, KR, KZ, KZ, KZ, LC, LK, LR, LS,
LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI.
(English). CODEN: PIXMIZ APPLICATION: WO 2004-US1069 20040114.
PRIORITY: US 2003-440133P 20030114; US 2003-440183P 20030114; US 2003-496066P 20030605; US 2003-501376P
20030908.

AB Certain substituted urea derive. selectively modulate the cardiac sarcomere, for example by potentiating cardiac myosin, and are useful in the treatment of systolic heart failure including congestive heart failure.

IT 1053940-96-9
RL: PREP (Prophetic)
(Compositions and methods to treat heart failure)

NI 1055940-96-9 CAPLUS

NU rea, N-(3-hydroxyphenyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
711016-08-9P 711016-09-0P 711016-10-3P
711016-11-4P 711016-12-5P 711016-13-6P
711016-14-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(prepn. of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)
711015-38-2 CAPLUS
Urea,
"methyl[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-39-3 CAPLUS

[1,1'-Biphenyl]-4-carboxylic acid,

3'-[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-,
 ethyl ester (CA INDEX NAME)

711015-40-6 CAPLUS
Urea,
-chloro-3'-fluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-41-7 CAPLUS [1,1'-Biphenyl]-4-carboxylic acid,

3'-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-(CA INDEX NAME)

711015-43-9 CAPLUS
Urea, N-(2',4'-difluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-44-0 CAPLUS [1,1'-Biphenyl]-3-carboxylic acid,

3'-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)amino]carbony1]amino]-, ethyl ester (CA INDEX NAME)

711015-45-1 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 711015-46-2 CAPLUS
CN Urea,
N-(2'-chloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-47-3 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[2'-(trifluoromethy1)[1,1'-bipheny1]-3-y1]- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-48-4 CAPLUS Uzea, N-[4'-(methylthio)[1,1'-biphenyl]-3-yl]-N'-(5,6,7,8-tetrahydro-7-hydroxyl-naphthalenyl)- (CA INDEX NAME)

711015-49-5 CAPLUS Urea, N-(3',5'-difluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-50-8 CAPLUS Urea, N-(3',5'-dimethyl[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 711015-51-9 CAPLUS
CN Urea,
N-(3'-methoxy[1,1'-bipheny1]-3-y1)-N'-(5,6,7,8-tetrahydro-7-hydroxy1-naphthaleny1)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 711015-52-0 CAPLUS
CN Urea,
N-(4'-methoxy[1,1'-bipheny1]-3-y1)-N'-(5,6,7,8-tetrahydro-7-hydroxy1-naphthaleny1)- (CA INDEX NAME)

711015-53-1 CAPLUS
Usea, N-(2',5'-dimethoxy[1,1'-bipheny1]-3-y1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 711015-54-2 CAPLUS
CN Urea,
N-(4'-fluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1naphthalenyl)- (CA INDEX NAME)

711015-55-3 CAPLUS Urea, N-(3',4'-difluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 711015-56-4 CAPLUS
CN Urea,
N-(3'-chloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-57-5 CAPLUS Urea, N-(3',5'-dichloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-58-6 CAPLUS
Urea, N-(2',3'-dichloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-59-7 CAPLUS Urea, N-(2',4'-dichloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

711015-60-0 CAPLUS
Urea, N-(2',5'-dichloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

(Continued)

RN

711015-61-1 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[3'-(trifluoromethy1)[1,1'-bipheny1]-3-y1]- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-62-2 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)

711015-63-3 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[4'-(trifluoromethoxy)[1,1'-bipheny1]-3-y1]- (CA INDEX NAME)

(Continued)

711015-65-5 CAPLUS

CN Urea, N-(4'-acetyl[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 711015-66-6 CAPLUS
CN Urea,
N-(3'-nitro[1,1'-biphenyl]-3-y1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-67-7 CAPLUS
Urea, N-[4'-[2-(4-morpholinyl)ethoxy][1,1'-biphenyl]-3-yl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

 $\label{eq:capprox} \begin{array}{lll} 711015-68-8 & \text{CAPLUS} \\ \text{Urea, N-[[4'-(dimethylamino)[1,1'-biphenyl]-4-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- & (CA_INDEX_NAME) \\ \end{array}$ 

RN 711015-69-9 CAPLUS
CN Urea,
N-[(4'-methoxy[1,1'-bipheny1]-4-y1)methy1]-N'-(5,6,7,8-tetrahydro-7hydroxy-1-naphthaleny1)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 711015-70-2 CAPLUS Urea, N-[(4'-methyl[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-71-3 CAPLUS Urea, N-[(3'-chloro[1,1'-biphenyl]-4-y1)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-72-4 CAPLUS Urea, N-[(2',5'-dichloro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-73-5 CAPLUS

NT / 100-05 CATHOO CON Urea, N- (2'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-74-6 CAPLUS
Urea, N-[(2',5'-dimethoxy[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-75-7 CAPLUS
Usea, N-[(2',5'-dimethyl[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-76-8 CAPLUS Urea, N-[(3'-fluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-79-1 CAPLUS Urea, N-[(3',4'-difluoro[1,1'-bipheny1]-4-y1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

711015-80-4 CAPLUS
Uzea, N-[(3'-chloro-4'-fluoro[1,1'-biphenyl]-4-y1)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-77-9 CAPLUS Usea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)

711015-78-0 CAPLUS Urea, N=[(2',4'-dichloro[1,1'-bipheny1]-4-y1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-81-5 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)

711015-82-6 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-83-7 CAPLUS
Urea, N-[(4'-fluoro[1,1'-biphenyl]-4-y1)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-84-8 CAPLUS
Urea, N-[[4'-(methylthio)[1,1'-biphenyl]-4-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-85-9 CAPLUS Urea, N=[(3',4'-dimethoxy[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 711015-86-0 CAPLUS Urea, N-[(2"-fluoro[1,1"-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-87-1 CAPLUS Urea, N-[(2',4'-difluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-88-2 CAPLUS
Urea, N-[(2',6'-difluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-89-3 CAPLUS
Urea, N-[(3',5'-dimethyl[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-90-6 CAPLUS
Uzea, N-[[4'-(1-methylethyl)[1,1'-biphenyl]-4-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-91-7 CAPLUS Uzea, N-[(3',5'-dichloro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-92-8 CAPLUS Urea, N-[(3'-nitro[1,1'-biphenyl]-4-y1)methyl]-N'-(5,6,7,8-tetrahydro-7-

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN hydroxy-1-naphthalenyl)- (CA INDEX NAME) (Continued)

711015-93-9 CAPLUS Urea, N-[(4'-fluoro[1,1'-biphenyl]-3-y1)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy1-naphthalenyl)- (CA INDEX NAME)

711015-94-0 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]methyl]- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-95-1 CAPLUS
Urea, N-[[4'-(dimethylamino)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-96-2 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]methyl]- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711015-97-3 CAPLUS
Urea, N-[[3',5'-bis(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711015-98-4 CAPLUS
Urea, N-[(2',5'-dimethoxy[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 711015-99-5 CAPLUS
CN Urea,
N-[(2'-methoxy[1,1'-bipheny1]-3-y1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

711016-00-1 CAPLUS
Urea, N-[(3',4'-dimethoxy[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711016-01-2 CAPLUS
Uzea, N-[(3'-nitro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711016-02-3 CAPLUS Urea, N-[(2',4'-difluoro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711016-03-4 CAPLUS Uzea, N-[(3',4'-difluoro[1,1'-bipheny1]-3-y1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

711016-04-5 CAPLUS Urea, N=[(2'-fluoro[1,1'-biphenyl]-3-y1)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711016-05-6 CAPLUS Urea, N-[(3',5'-dimethyl[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

711016-06-7 CAPLUS
Urea, N-[[4'-(methylthio)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

711016-07-8 CAPLUS
Uzea, N-[(2',6'-difluoro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711016-08-9 CAPLUS
Urea, N-[[4'-(1-methylethyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

(Continued)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

RN 711016-11-4 CAPLUS
CN Urea,
N-[(4'-methoxy[1,1'-biphenyl]-3-y1)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

711016-12-5 CAPLUS
Urea, N-[[4'-(methylsulfinyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

711016-09-0 CAPLUS
Urea, N-[(3'-chloro-4'-fluoro[1,1'-biphenyl]-3-y1)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

(Continued)

711016-10-3 CAPLUS Urea, N=[(2',4'-dichloro[1,1'-bipheny1]-3-y1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



711016-13-6 CAPLUS Urea, N-[3-(1-aphthalenyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-aphthalenyl)- (CA INDEX NAME)

711016-14-7 CAPLUS

NN /11010-14-/ GIBBS
CN Urea,
N-[[4'-(methylthio)-6-(1-piperidinyl)[1,1'-biphenyl]-3-yl]methyl]-N'(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 21 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-51-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of tetrahydronaphthalene derivs. as vaniloid receptor

antagonists)
624729-51-7 CAPLUS
Urea, N-(3-iodophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) (prepn. of tetrahydronaphthalene derivs. as vanilloid receptor antagonists)
710954-91-9 CAPLUS

Urea, -(1-piperidiny1)-4-(trifluoromethy1)pheny1]methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

710954-94-2 CAPLUS Urea, N-[4-(1-piperidiny1)pheny1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

710954-97-5 CAPLUS
Urea, N-[4-(4-morpholiny1)pheny1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS ON STN
:515473 Document No. 141:713580 Preparation of tetrahydronaphthalene derivatives as vanilloid receptor antagonists. Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya (Bayer Healthcare Ag, Germany; Urbahns, Klaus). PCT Int. Appl. Wo 200405245 Al 20040624, 63 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, Az, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NI, NO, NZ, CM, FG, PH, FL, FT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, EW; AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GG, RI, II, IL, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-EP13452 20031128. PRIORITY: EP 2002-27528 20021209.

The title compds. I [n = 0 - 6; Rl = H, alkyl; R2 = alkenyl, alkynyl, alkyl substituted by amino, etc.; R3 = H, alkenyl, alkynyl, alkyl optionally substituted by amino, etc.; or NR2R3 = heterocyclic ring (further details on said heterocyclic ring are given); R4 = H, halo, alkylthio, alkyl optionally substituted by mono-, di-, tri-halogen, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of compds. of this invention was demonstrated. The bioactivity of compds. of this invention was demonstrated. The bioactivity of compds. of this invention was demonstrated. The bioactivity of compds. of this invention was demonstrated. The bioactivity of compds. 191955-02-59 710955-04-97 P10955-108-P1 P10955-08-P1 P10955-08-P1 P10955-108-P1 P10955-108-P10955-108-P10955-108-P10955-108-P10955-108-P10955-108-P10955-108 AB

ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-00-3 CAPLUS
Urea, N-[3-chloro-4-(4-morpholiny1)pheny1]-N'-(5,6,7,8-tetrahydro-7-budroxy-1-naphthaleny1)- (CA INDEX NAME)

/10930-02-3 Games Urea, 4-(1-piperidiny1)pheny1]methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 710955-04-7 CAPLUS
CN Urea,
N-[[4-(4-morpholiny1)pheny1]methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy1-naphthaleny1)- (CA INDEX NAME)

710955-06-9 CAPLUS Urea, N-[[4-(1-pyrrolidiny1)pheny1]methy1]-N'-(5,6,7,8-tetrahydro-7-

ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

710955-12-7 CAPLUS
Urea, N-[[4-(hexahydro-1H-azepin-1-yl)-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

710955-14-9 CAPLUS
Uzea, N-[[3-(hexahydro-1H-azepin-1-y1)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN hydroxy-1-naphthalenyl) - (CA INDEX NAME) (Continued)

RN 710955-08-1 CAPLUS
CN Urea,
N-[[4-(1-pyrrolidiny1)-3-(trifluoromethy1)pheny1]methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

RN 710955-10-5 CAPLUS CN Urea, N-[[3-(1-pyrrolidiny1)-4-(trifluoromethy1)pheny1]methy1]-N'-(5,6,7,8-

L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-16-1 CAPLUS
Urea, N-[[3-[(2-(dimethylamino)ethyl]amino]-4(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-lnaphthalenyl)- (CA INDEX NAME)

710955-18-3 CAPLUS
Uzea, N-[[4-(1-methylethoxy)-3-(2-oxo-1-pyrrolidinyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-20-7 CAPLUS

CN Urea,
N-[[4-(1-methylethoxy)-3-(1-pyrrolidinyl)phenyl]methyl]-N'-(5,6,7,8tetrahydro-7-hydroxy-1-maphthalenyl)- (CA INDEX NAME)

RN 710955-22-9 CAPLUS CN Urea, N-[[3-bromo-4-(1-piperidiny1)pheny1]methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-24-1 CAPLUS Uzea, N-[[3-(1-pyrrolidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

 $\label{eq:capacity} $$710955-26-3$ $$CAPLUS$ $$Urea, $N-[(3-(1-pyrrolidinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-$$$ $$(CA INDEX NAME)$$$ 

Absolute stereochemistry.

ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-28-5 CAPLUS Usea, N-[[4-[4-(phenylmethyl)-1-piperazinyl]phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

PAGE 2-A

RN 710955-30-9 CAPLUS
CN Urea,
N-[[4-(1-piperidiny1)-3-(trifluoromethy1)pheny1]methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-32-1 CAPLUS
4-Piperidinecarboxylic acid, 1-[5-[[[[5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]amino]carbonyl]amino]methyl]-2-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)

710955-35-4 CAPLUS
4-Piperidinecarboxylic acid, 1-[5-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-2-(trifluoromethyl)phenyl]-

#### L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-37-6 CAPLUS
Usea, N-[[3-[4-(hydroxymethyl)-1-piperidinyl]-4(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 710955-39-8 CAPLUS

RN /1999-9-0 GREAT CON Urea (CA INDEX NAME) (5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl) (CA INDEX NAME)

ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-45-6 CAPLUS

N-[[3-(4-morpholinyl)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

710955-47-8 CAPLUS
Usea, N-[[3-[(2-hydroxyethyl)methylamino]-4(trifluoromethyl)phenyl]methyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-41-2 CAPLUS
4-Piperidinecarboxamide, 1-[5-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-2-(trifluoromethyl)phenyl]-

710955-43-4 CAPLUS

L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

710955-49-0 CAPLUS Uzea, N-[[3-(4-morpholiny1)-4-(trifluoromethy1)pheny1]methy1]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 23 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2004:220082 Document No. 140:2535560 Preparation of 5-thiazolecarboxamides as protein tyrosine kinase inhibitors. Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Doweyko, Arthur M. P.; Barrish,

C.; Wityak, John; Lombardo, Louis J.; Lee, Francis Y. F. (Bristol-Myers Squibb Company, USA). U.S. Pat. Appl. Publ. US 20040054186 Al 20040318, 184 pp., Cont.-in-part of U.S. 6,596,746. (English). CODEN: USXXCO. APPLICATION: US 2003-395503 20030324. PRIORITY: US 2000-548929 20000413; US 1999-1295109 19990415.

$$R^2$$
 $N$ 
 $Q$ 
 $Z$ 
 $R^4$ 
 $R^3$ 
 $X^1$ 
 $X^2$ 
 $X^2$ 
 $X^5$ 
 $X^5$ 

The title compds. [I; Q = (un) substituted 5-6 membered heteroaryl, aryl;

= a single bond, R15C:CH, (CH2)m (m = 1-2); X1, X2 = H; X1 and X2

ther

One of the Head of the H disorders

cders (
no data), were prepared E.g., a multi-step synthesis of thiazole II was
given. Compds. I are effective at 0.1-100 mg/kg/day. The pharmaceutical
composition comprising the title compds. is claimed.

SUCPOUT-04-07 RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of 5-thiazolecarboxamides as protein tyrosine kinase inhibitors)
302960-34-5 CAPLUS
5-Thiazolecarboxamide, 4-methyl-2-[[[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)- (CA INDEX

14 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS ON STN

2003:913140 Document No. 139:3812590 Preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1 antagonists. Yura, Takeshi; Mogi, Muneto; Uzbahns, Klaus; Fujishima, Hiroshi; Masuda, Tsutomu; Moriwaki, Toshiya; Yoshida, Nagahiro; Kokubo, Toshio; Shiroo, Masahiro; Tajimi, Masaomi; Tsukimi, Yasuhiro; Yamamoto, Noriyuki (Bayer Aktiengesellschaft, Germany; et al.). PCT Int. Appl. WO 2003095420 Al 2003120, 100 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, CP, EC, EE, FI, GB, GD, GE, GB, GM, HR, HU, DI, LL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MK, MZ, NI, NO, NZ, CM, PB, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, EW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GG, GB, GB, IE, IT, UM, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-EP4395 20030428. PRICRITY: GB 2002-10512 20020508; GB 2002-27262 20021212.

20021121.

AB Title compds. I [R1, R2 = H, alkyl; X = alkyl, YR3; Y = bond, (un)substituted CH2, CH2CH2; R3 = (un)substituted Ph, naphthyl] were prepared for use as YR1 antagonists useful in treating urgent urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence, inflammatory disorders such as asthma and COPD. Thus, 7-ethoxy-5,8-dihydronaphthalen-1-ylamine, prepared from 8-amino-2-naphthol
by N-protection, ethylation, deprotection, and reduction, was treated with

by N-protection, ethylation, deprotection, and reduction, was treated
4,3-C1(F3C)C6H3NCO to give I [R1, R2 = H, X = 4,3C1(F3C)C6H3] which had
ICSO for inhibition of capsaicin-induced Ca influx in the human
VR1-transfected CHO cell line ≤ 0.1 µM.
624728-45-6P 624728-48-9P 624728-25-5P
624728-50-3P 624728-51-4P 624728-55-8P
624728-56-6P 624728-54-7P 624728-55-8P
624728-56-9P 624728-57-0P 624728-58-1P
624728-62-PP 624728-60-SP 624728-61-6P
624728-62-PP 624728-68-8P 624728-67-2P
624728-68-3P 624728-66-1P 624728-67-2P
624728-71-8P 624728-75-2P 624728-70-7P
624728-71-8P 624728-75-2P 624728-76-3P
624728-77-4P 624728-78-5P 624728-80-9P

L4 ANSWER 23 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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PAGE 2-A

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ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS ON STN 624728-81-0P 624728-82-1P 624728-83-2P 624728-83-8P 624728-85-4P 624728-86-5P 624728-83-6P 624728-85-6P 624728-89-6P 624728-89-6P 624728-90-1P 624728-91-91-2P 624728-93-3P 624728-93-9P 624728-93-9P 624728-90-1P 624728-91-78 624728-99-9P 624728-99-0P 624728-90-0P 624728-00-6P 624729-01-7P 624729-02-8P 624729-03-9P 624729-01-7P 624729-03-P 624729-01-8P 624729-11-9P 624729-12-1P 624729-13-1P 624729-01-6P 624729-13-1P 624729-11-8P 624729
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   (Continued)
                                                          624/29-61-9F 624/29-62-0F
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
                                                                                               (prepn. of hydroxytetrahydronaphthalenylureas as vanilloid receptor
VR1
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624728-48-9 CAPLUS Usea, N-phenyl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

antagonists)
624728-45-6 CAPLUS
Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624728-49-0 CAPLUS CN Urea, N-(2-chlorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

624728-50-3 CAPLUS

CN Urea, phonyl) -N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

RN 624728-51-4 CAPLUS CN Urea, N-(4-chlorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

RN 624728-52-5 CAPLUS

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624728-53-6 CAPLUS
CN Urea,
N-(4-methoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

624728-54-7 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

624728-55-8 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

624728-56-9 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-57-0 CAPLUS
Benzoic acid, 2-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

624728-58-1 CAPLUS
Benzoic acid, 3-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

(Continued)

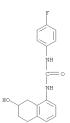
624728-59-2 CAPLUS
Benzoic acid, 4-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

624728-60-5 CAPLUS Urea, N-1-naphthalenyl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

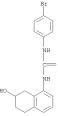
624728-61-6 CAPLUS Uzea, N-2-naphthalenyl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

RN 624728-62-7 CAPLUS CN Urea, N-(4-Eluorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)



RN 624728-63-8 CAPLUS
CN Urea,
N-(4-bromophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN



624728-64-9 CAPLUS
Urea, N-(3,4-dichlorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624728-65-0 CAPLUS
CN Urea,
N-(3-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-66-1 CAPLUS
Urea, N-[4-(1-methylethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624728-67-2 CAPLUS

NN 024/20-0/-2 CREDG CN Urea, N-(4-phenoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-70-7 CAPLUS
Uzea, N-[(2-methylphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-71-8 CAPLUS
Urea, N-[(3-methylphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-72-9 CAPLUS

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-68-3 CAPLUS
Urea, N-[4-(dimethylamino)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

624728-69-4 CAPLUS

v24/20-09-4 CAPLUS Uzea, N-(phenylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME) RN CN

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) Urea, N=((4-methylphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-73-0 CAPLUS
Urea, N-[(2-fluoropheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

624728-74-1 CAPLUS
Uzea, N-[(3-fluorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-75-2 CAPLUS
Urea, N-[(4-fluorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-76-3 CAPLUS
Urea, N-[(2-chlorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-77-4 CAPLUS
Uzea, N-[(4-methoxyphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

624728-78-5 CAPLUS

RN 644/60-70 GALLET CN Urea, N-(2-phenylethy1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-80-9 CAPLUS
Urea, N-(4-chloro-3-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-81-0 CAPLUS
Urea, N-(3,5-dimethoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

RN 624728-82-1 CAPLUS CN Uzea, N-(4-bzomo-5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[4-chloro-3-(trifluoromethy1)pheny1]- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-83-2 CAPLUS Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-4-methyl-1-naphthalenyl)- (CA INDEX NAME)

624728-84-3 CAPLUS
Uzea, N'-[4-chloro-3-(trifluoromethy1)pheny1]-N-methy1-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-85-4 CAPLUS
Urea, N-[4-chloro-3-(trifluoromethy1)pheny1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-7-methy1-1-naphthaleny1)- (CA INDEX NAME)

624728-86-5 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[[4-(trifluoromethoxy)pheny1]methy1]- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

624728-87-6 CAPLUS
Urea, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

624728-88-7 CAPLUS
Urea, N-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-89-8 CAPLUS Urea, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

624728-90-1 CAPLUS Urea, N-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

624728-91-2 CAPLUS
Urea, N-[(4-bromophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-92-3 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

624728-93-4 CAPLUS
Uzea, N-[(4-chlorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-94-5 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

624728-95-6 CAPLUS Urea,  $N=\{(2-methoxypheny1)methy1\}-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)$ 

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

624728-96-7 CAPLUS
Uzea, N-[(3,4-difluorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

(Continued)

(Continued)

624728-97-8 CAPLUS Urea, N-[(3-methoxyphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-lnaphthalenyl)- (CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-98-9 CAPLUS
Urea, N-[(3-chloropheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

624728-99-0 CAPLUS Urea, N-[(2,4-dichlorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-00-6 CAPLUS Urea, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-01-7 CAPLUS
Uzea, N-[(3,4-dichloropheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-02-8 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[[2-(trifluoromethy1)pheny1]methy1]- (CA INDEX NAME)

624729-03-9 CAPLUS Urea,  $\mathbb{N}=\{(2,4-\text{dimethoxyphenyl})\text{methyl}\}-\mathbb{N}'-(5,6,7,8-\text{tetrahydro-}7-\text{hydroxy-l-naphthalenyl})-$  (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-04-0 CAPLUS
Urea, N-[(2-bromophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-05-1 CAPLUS
Benzoic acid, 4-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-, methyl ester (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-06-2 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[(2,4,6-trimethoxyphenyl)methyl]- (CA INDEX NAME)

624729-07-3 CAPLUS
Urea, N-[(3-bromophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-08-4 CAPLUS
Urea, N=[(4-nitrophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624729-09-5 CAPLUS
CN Urea,
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-10-8 CAPLUS
Urea, N-[(3,4-dimethoxyphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

624729-11-9 CAPLUS Urea, N-[(2,6-difluoropheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

(Continued)

624729-12-0 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

RN CN

624729-13-1 CAPLUS
Benzoic acid, 4-[[[[5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)

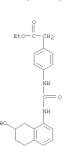
L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-14-2 CAPLUS
Urea, N-[(4-aminophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-15-3 CAPLUS Uzea, N-[(2-aminophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-16-4 CAPLUS
Benzeneacetic acid, 4-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 624729-17-5 CAPLUS
CN Urea,
N-[[4-(dimethylamino)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-18-6 CAPLUS
Urea, N-[[4-chloro-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-19-7 CAPLUS
Urea, N-[2-(4-chlorophenyl)ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-20-0 CAPLUS
Uzea, N-[[3-fluoro-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-21-1 CAPLUS Urea, N-[4-(4-methylphenoxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-lnaphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

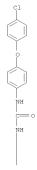
PAGE 1-A

PAGE 2-A

624729-22-2 CAPLUS
Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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624729-23-3 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-24-4 CAPLUS
Urea, N-[1-(4-fluorophenyl)ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-25-5 CAPLUS
Urea, N-[1-(4-bromophenyl)ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

RN 624729-26-6 CAPLUS CN Urea, N-(diphenylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

624729-27-7 CAPLUS
Urea, N-[1-(4-chloropheny1)-1-methylethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME) RN CN

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-28-8 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4-[(trifluoromethyl)thio]phenyl]methyl]- (CA INDEX NAME)

624729-29-9 CAPLUS
Urea, N-(1-naphthalenylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-30-2 CAPLUS
Uzea, N-[4-(cyanomethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624729-31-3 CAPLUS CN Urea, N-(1-phenylethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

624729-32-4 CAPLUS
Uzea, N-[1-(1-naphthalenyl)ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-33-5 CAPLUS
Benzenesulfonamide, 4-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)

624729-34-6 CAPLUS
Urea, N-[[3-(cyclopentylamino)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME) RN CN

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

624729-35-7 CAPLUS Urea, N-[[3-(cyclopentylamino)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, hydrochloride (1:1) (CAINDEX NAME)

HC1

RN 624729-36-8 CAPLUS CN Urea, N-[2-(4-chloropheny1)ethy1]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Absolute stereochemistry.

RN 624729-37-9 CAPLUS
CN Urea,
N-[2-(4-chloropheny1)ethy1]-N'-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1]- (CA INDEX NAME)

RN 624729-40-4 CAPLUS CN Urea, N-(2-fluoropheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-41-5 CAPLUS

EN 624729-41-5 CAPLUS
CN Urea,
N-(3-£luorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

RN 624729-42-6 CAPLUS CN Urea, N-(2-bromopheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-43-7 CAPLUS CN Urea, N-(3-bromopheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)



RN 624729-44-8 CAPLUS CN Urea, N-(2-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

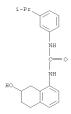
L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-45-9 CAPLUS
CN Urea,
N-(4-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

624729-46-0 CAPLUS Urea, N-[3-(1-methylethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME) RN CN

(Continued)

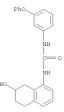
ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



624729-47-1 CAPLUS Urea, N-[4-(1,1-dimethylethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624729-48-2 CAPLUS
CN Urea,
N-(3-phenoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN



624729-49-3 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

L4 RN CN

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 624729-51-7 CAPLUS Urea, N-(3-iodophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

RN 624729-52-8 CAPLUS
CN Urea,
N-(4-ethoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

RN CN

624729-53-9 CAPLUS Urea, N-(3-hydroxy-4-methoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-54-0 CAPLUS
Urea, N-[4-(methylthio)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-55-1 CAPLUS Urea, N-(3-fiuoro-4-methoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME) RN CN

(Continued)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-56-2 CAPLUS Urea, N-(3,4-dimethoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-57-3 CAPLUS Urea, N-(3-chloro-4-methoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624729-58-4 CAPLUS
CN Urea,
N-(4-hydroxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN



624729-59-5 CAPLUS
Urea, N-(3,5-dimethylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-60-8 CAPLUS
Urea, N-(3,4-dimethylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624729-61-9 CAPLUS

CN Urea. N-(3-ethylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-47-8 CAPLUS

Absolute stereochemistry.

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

TO 624729-71-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1
antagonists)
RN 624729-71-1 CAPLUS
CN Urea,
N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-oxo-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-62-0 CAPLUS
Urea, N-(4-fluoro-3-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

IT 624728-46-7P 624728-47-8P

RE: FUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1
antagonists)
RN 64728-46-7 CAPLUS
CN Urea,
N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

IT 624729-38-0P 624729-39-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1

ptor VR1
 antagonists)
624729-38-0 CAPLUS
Urea, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[2-[4(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

624729-39-1 CAPLUS Urea, N=[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[2-[4-(trifluoromethoxy)phenyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 25 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN CMF C30 H40 F N3 O (Continued)

Absolute stereochemistry

CM CRN 76-05-1 CMF C2 H F3 O2

ANSWER 25 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
1622568 Document No. 139:1647100 Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity. Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III, Wacker, Dean A. (Bristol-Myers Squibb Pharma Company, USA). U.S. US 6605623 B1 20030812, 145 pp., Cont.-in-part of U.S. Ser. No. 465,286, abandoned. (English). CODEN: USXXAM. APPLICATION: US 2000-598821 20000621. PRIORITY: US 1998-112717P 19981218; US 1999-161243P 19991022; US 1999-465286 19991217.

AB [Title compds. I; M = CH2, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, L = CH2, CHR5, CHR6, CR6R6, CR5R6; Z = O, S; M = CH2, CHR5, CHR13, CR13R13, CR5R13; K = CHR5, CR5R6; Z = O, S; E = (CHR7)(CHR9)v(CR11R12); R1, R2 = H, alky1, alkey1, alkyny1, (substituted)

alkylcycloalky1; R2R3 = atoms to form a (substituted) 5-7 membered ring; R3, R5 = (substituted) (alky1)cycloalky1, (alky1)heterccycly1; R4 = null, O, alky1, alkeny1, alkyny1, etc.; R4 with R7, R9, or R11 = atoms to form a

5-7 membered ring, R6 = alkyl, alkenyl, alkynyl, etc.; R7, R9 = H; R4R7, R4R9 = (substituted) spirocyclyl; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R11R12 = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepared as modulators of chemokine activity (no data) for preventing asthma and other allergic diseases. Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(plenylmethyl)-1-piperidinyl)propyl]urea. A pharmaceutical composition comprising the compound I was claimed. [This ract

abstract

eact record is one of 15 records for this document necessitated by the large number of index entries required to fully index the document and publication

system constraints.] 275814-33-0P

2/08/4-35-UF RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

es) (preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)

(preparation of ureigoalsyspipersquases as mountators of uncommission receptor activity) 275814-33-0 CAPLUS Usea, N-[(1R, 2S)-2-[([3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]gyclohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 275814-32-9

L4 ANSWER 26 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

2003:434303 Document No. 139:364450 Preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-IR) antagonists. Devita, Robert J.; Chang, Lehua; Chaung, Danny; Hoang, Myle; Jiang, Jinlong; Lir Peter; Sailer, Andreas W.; Young, Jonathan R. (Merck & Co., Inc., USA).
PCT Int. Appl. No 2003045313 A2 20030605, 178 pp. DESIGNATED STATES: W. AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DM, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MAD, MM, KM, NM, MX, MZ, NO, NZ, CM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM; RW; AT, BE, BF, BT, CF, CG, CH, CI, CM, CT, DE, DK, ES, FI, FR, GA, GB, GR, IE, TT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXDZ. APPLICATION: WO 2002-US37556 20021122. PRIORITY: US 2001-333581P 20011127.

Title compds. [I; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, etc.; R1R2N = 4-11 membered (bridged) (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, alkenyl, alkynyl, perfluoroalkyl, cycloalkylalkyl, cyrloalkylalkyl, aryl, aralkyl, heteroaralkyl, OR7, N(R7)2, cyano, etc.; R3R4 = atoms to form AB

membered (substituted) ring; R5 = H, halo, alkyl, perfluoroalkyl, OR7, N(R7)2; R6 = (CH2)nR7, (CH2)nCN, (CH2)nCO2R7, (CH2)nCR7, (CH2)nN(R7)2, etc.; R7 = H, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, aralkenyl, cycloalkylalkenyl, etc.; n = 0-5], were prepared for the treatment or prevention of obesity, eating disorders, osteoarthritis, cancer, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive cders,

disorders, attention, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders

dyskinesias, Buntington's disease, epilepsy, memory function, and spinal muscular atrophy. Thus, 2-piperidin-1-ylquinolin-6-amine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 3 h in HOAc to give (2E)-3-(4-chlorophenyl)-N-(2-piperidin-1-ylquinolin-6-yl)prop-2-enamide hydrochloride. I bound to MCH-IR receptors with IC50 = 0.1-10000 nM. 538854-60-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (claimed compound; preparation of 2-aminominolines of the study); claimed compound; preparation of 2-aminominolines of the study.

(Uses)
(claimed compound; preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-IR) antagonists)
RN 539854-60-9 CAPLUS
CN Usea, N-[2-(2-azabicyclo[2.2.2]oct-2-y1)-6-quinoliny1]-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)- (CA INDEX NAME)

L4 ANSWER 26 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 27 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) binding assays, II-maleate showed good selectivity for binding to the alA adrenoceptor subtype vs. the alB and alD subtypes with Ki values of 176 mM, 4620 mM and 1590 mM, resp. In addn., II-maleate was efficacious in constricting the urethra with an IUP ED5 (the mean dose causing a max. increase in intraurethral pressure of 5 r Hg) of 10.7 mmol/kg in anesthetized dogs.
355133-23-2P
KL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); FREP (Preparation); USES (Uses)

(Uses)
(preparation of imidazole derivs. of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as αlA adrenoceptor agonists)
355133-23-2 CAPLUS
Urea, N-cyclohexyl-N-ethyl-N'-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)

ANSWER 27 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN :300646 Document No. 138:3042860 Preparation of 4-imidazole derivatives of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as all adrenoceptor agonists. Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F.; Khilevich, Albert;

Kolaza,
Teodozyj; Rohde, Jeffrey; Carroll, William A.; Searle, Xenia; Yang, Fan
(USA). U.S. Pat. Appl. Publ. US 20030073850 Al 20030417, 85 pp.,
Cont.-in-part of U.S. 6,503,935. (English). CODEN: USXXCO.

CORT.-In-part of U.S. 6,503,933. (English). COURN: USXXCO.
APPLICATION:
US 2000-506750 20000217. PRIORITY: US 1998-130799 19980807; US
1999-364901 19990729.

The title compds. (I) [wherein Rl = SO2R9 or COR10; R2 = H, (halo)alkyl, aryl(alkyl), or cycloalkyl(alkyl); R3-R6 = independently H, alkoxy, alkenyl, (halo)alkyl, cycloalkyl, halo, or OH; or R6 and R7 together with the C to which they are attached form a 5-7 membered carbocycle or 5-6 membered (un)substituted heterocycle; or R7 and R8 together = :CR12R13;

= absent or H; R9 = (aryl)alkenyl, (aryl)alkyl, (aryl)alkynyl, cycloalkyl(alkyl), haloalkyl, heterocycle, or (un)substituted amine; R10

(aryl)alkyl, alkenyl, (halo)alkoxy, aryl(oxy), cycloalkyl(alkyl),
cycloalkyloxy, haloalkyl, or (un)substituted amine, azetidinyl,
piperazinyl, piperidinyl, pyrrolidinyl, morpholinyl, etc.; R12 and R13 =
independently H, (aryl)alkyl, alkoxy, aryl, or cycloalkyl(alkyl); or R12
and R13 together with the C to which they are attached form a 3-7
ored membered

red
carbocycle; R14 = H or alkyl] were prepared as @1A adrenoceptor
agonists for the treatment of urinary incontinence or retrograde
ejaculation. For example, 4-iodo-1-trityl-IH-minidazole was treated
sequentially with EtMgBr, 5-nitrotetralone, and NH4Cl in CHZCl2 to give
4-(5-nitro-3, 4-dihydro-1-naphthalenyl)-IH-minidazole. N-BCC protection,
reduction using Pd/C in AcOEt, treatment with EtSOZCl in the presence of

and conversion to the salt afforded II-maleate. In radioligand

L4 ANSWER 28 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
2003:150534 Document No. 138:2049460 Preparation of
N-ureidoalkylpiperidines
as modulators of CCR3 chemokine receptor activity for the prevention of
asthma and other allergic diseases. No. Soo S.; Delucca, George V.;
Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng
(Bristol-Myers Squibb Pharma Company, USA). U.S. US 6525069 Bi 20030225,
126 pp., Cont.-in-part of U.S. Ser. No. 466,442. (English). CODEN:
USXNAM. APPLICATION: US 2000-597400 20000621. PRIORITY: US 1999-466442
19991217; US 1999-161221P 19991022; US 1998-112717P 19981218.

.NR2R3

Title compds. [I; M, Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, K, L =

CHR5, CHR6, CR6R6, CR5R6;  $\geq 1$  of J, K, L contains R5; Z = O, S, NR1a, CHCN, CHNO2, C(CN)2; R1a = H, alkyl, cycloalkyl, CN, NO2, etc.; E = (substituted) C3-6 carbocyclyl, methylenecarbocyclyl,

NRIA, CRCN, CHNO2, C(CN)2; Rla = H, alkyl, cycloalkyl, CN, NO2, etc.; E = (substituted) C3-6 carbocyclyl, methylenecarbocyclyl, etc.; Rl, R2 = H, alkyl, alkenyl, alkynyl; R3 = (substituted) alkyl, alkenyl, alkynyl, R4 = null, N-oxide, alkyl, alkenyl, alkynyl, cycloalkylalkyl, etc.; R5 = (substituted) alkylenecarbocyclyl, alkylenecheterocyclyl, R6 = alkyl, alkenyl, alkylenecarbocyclyl, alkylenecheterocyclyl; R6 = alkyl, alkenyl, alkynyl, alkylcycloalkyl, perfluoroalkyl, hydroxyalkyl, mercapteoalkyl, aminoalkyl, CN, etc.; R13 = alkyl, alkenyl, alkenyl, alkynyl, cycloalkyl, perfluoroalkyl, aminoalkyl, aminoalkyl, hydroxyalkyl, mercapteoalkyl, acylaminoalkyl, (substituted) phenylalkyl, etc.], were prepared as CCR3 modulators (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) and 3-cyanophenyl isocyanate were stirred 30 min. in THF to give
N-3-cyanophenyl-N'-[3-[4-(phenylmethyl)-1-piperidinyl)propyl)urea. [This abstract record is one of 8 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

T7 278914-33-OP
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of N-ureidoalkylpiperidines as modulators of chemokine receptor

ptor
 activity)
275814-33-0 CAPLUS
Urea, N-[(1R,28)-2-[[(3S)-3-[(4-fluorophenyl)methyl]-1piperidinyl]methyl]cyclohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CRN 275814-32-9 CMF C30 H40 F N3 O

L4 ANSWER 28 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) Absolute stereochemistry.

CM CRN 76-05-1 CMF C2 H F3 O2

L4 ANSWER 29 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) PAGE 1-A

PAGE 2-A

L4 ANSWER 29 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2003:150529 Document No. 138:2050520 Preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory agents. Cirillo,

llo, Pier Francesco; Dinallo, Roger; Regan, John Robinson; Riska, Faul S.; Swinamer, Alan David; Tan, Zhulin; Walter, Brian Andrew (Boehringer Ingelheim Pharmaceuticals, Inc., USA). US. US 6525046 Bl 20030225, 44 pp., Cont.-in-part of U.S. Ser. No. 879,776, abandoned. (English). CODEN: USXAMA. APPLICATION: US 2002-165372 20020607. PRIORITY: US 2000-484638 20000118; US 2001-879776 20010612. GT

The title compds. AriNHC(:X)NHAr2LQ [Ar1 = pyrazolyl, pyrrolyl, imidazolyl, etc.; Ar2 = Ph, naphthyl, quinolyl, etc.; L = alkylene AB wherein

one or more methylene groups are optionally replaced by O, N or S; Q = naphthyl, pyridyl, etc.; X = 0, S], useful for treating diseases

involvir lving
inflammation such as chronic inflammatory diseases, were prepared E.g.,

multi-step synthesis of I, starting from Me 2,2-dimethyl-3-hydroxypropionate, was given. Representative title ureas showed IC50 of < 10  $\mu$ M against TNF production in THF cells. 255933-80-2p

285983-88-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory agents)

RN 285993-88-2 CAPLUS
CN Usea, N-[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]-N'-[5,6,7,8-tetrahydro-4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]- (CA INDEX NAME)

L4 ANSWER 30 OF 66 CAPLUS COPYRIGHT 2009 ACS ON STN
2003:57886 Document No. 138:1226410 Method of treating cytokine mediated diseases using pyraxolylureas. Moss, Nell; Regan, John R. (Boehringer Ingelheim Pharmaceuticals, Inc., USA). PCT Int. Appl. NO 200300599 A2 20030123, 84 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, EZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LK, LU, LV, MA, MD, MG, MK, MN, MM, MK, MC, NO, NZ, CM, PH, PI, PT, NO, RU, SD, SE, SG, SI, SK, SL, TU, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NS, NL, FT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2: APPLICATION: WO 2002-US20649 20020701. PRIORITY: US 2001-304511P 20010711.

A method of treating lung inflammation, endometriosis, behcet's disease, uveitis, ankylosing spondylitis, pancreatitis, cancer, percutaneous transluminal coronary angioplasty, altheimer's disease, traumatic arthritis, sepsis, chronic obstructive pulmonary disease, and congestive heart failure comprises administration of AriNHC(:(NNHAPZLQ [ArI = (substituted) pyrnolyl, pyrnolyl, pyracolyl, imidazolyl, oxazolyl, thiazolyl, furyl, thienyl; Ar2 = (substituted) Ph, naphthyl, quinolinyl, benzinidazolyl, benzofuryl, indanyl, indolyl, etc.; L = (O-, sr. N-interrupted) (unatd.) (substituted) alkylene; Q = (substituted) Ph, naphthyl, pyridyl, pyrimidinyl, indazolyl, tetrahydropyranyl, tetrahydrofuryl, dioxanyl, alkoxy, amino, etc.; X = O, S]. Thus, 5-amino-3-tert-butyl-1-(4-methylphenyl)pyrazole was stirred with COC12

NaHCO3 in PhMe/CH2Cl2 at  $0-5^{\circ}$  for 15 min. The organic residue was stirred overnight with 1-amino-4-(4-pyridinylmethoxy)naphthalene dihydrochloride (preparation given) and diisopropylethylamine in THF to

give

title compound (I). Representative title compds. inhibited TNF
production in

THP cells with IC50<10 µM.

THO 285983-88-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(method of treating cytokine mediated diseases using pyrazolylureas)

RN

ANSWER 30 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 285983-88-2 CAPLUS Urea, N-[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]-N'-[5,6,7,8-tetrahydro-4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

ANSWER 31 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Comethylethyl)phenoxy]-3,5-dimethylphenyl]- (CA INDEX NAME)

PAGE 2-A

ANSWER 31 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 122837 Document No. 138:730890 Freparation of N-phenyloxyphenyloarboxamides as anticholesteremic agents. Schmeck, Carsten; Mueller, Ulrich; Schmidt, Gunter; Pernerstorfer, Josef;

N-pheny.org.no.g.,

Bischoff,

Hilmar; Kretschmer, Axel; Voehringer, Verena; Faeste, Christiane; Haning,

Helmut; Woltering, Michael (Bayer Aktiengesellschaft, Germany). FCT Int.

Appl. Wo 2003002519 Al 20030109, 111 pp. DESIGNATED STATES: W: AR, AG,

AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ,

DE, DK, DM, DZ, EC, EE, ES, FT, GB, GD, GE, GB, GM, BR, HU, TD, IL, IN,

IS, JP, KB, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,

MN, MM, MX, MZ, NO, NZ, CM, PH, PL, PT, RO, RU, SD, SE, SC, SI, SK, SL,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, VU, ZA, ZM, ZM, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, RW; AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE,

DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN,

TD, TG, TR. (German). CODEN: PIXXI2. APPLICATION: WO 2002-EP6638

GI

Title compds. [I; X = O, S, SO, SO2, CH2, CHF, CF2, etc.; R1, R2 = H, alkyl; R3, R4 = H, halo, cyano, alkyl, CF3, CHF2, CH2F, vinyl, AB

cycloalkyl, alkyl, halo; R6 = alkyl, Br, C1, etc.; R7 = H, alkyl, alkanoyl; Z = NHSO2R36, NHCO2R37, NHCONR38R39, NHCOR40; R36-R40 = (substituted)

d., alkenyl, cycloalkyl, aryl, heterocyclyl, heteroaryl], were prepared as

anticholesteremic agents (no data). Thus:

4-(4-[tert-buty](dimethyl)silyloxy]-3-isopropylphenoxy)-3,5dimethylaniline (preparation given) in THF was stirred with hexanoyl chloride

chloride
and dimethylaminopyridine for 16 h at room temperature followed by
further addition
of hexanoyl chloride and stirring to give 73%
N=[4-(4-hydroxy-3-isopropylphenoxy)-3,5-dimethylphenyl]hexanamide.
\*\*The temperature of the standard of the standar

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of phenyloxyphenylcarboxamides as anticholesteremic

482333-34-6 CAPLUS

RN 48233-34-6 CALLOC CN Urea, N-(2-ethoxy-5,6,7,8-tetrahydro-1-naphthalenyl)-N'-[4-[4-hydroxy-3-(1-

L4 ANSWER 32 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
2002:942809 Document No. 138:247090 Preparation of pyrazole compounds and
bis pyrazole-lH-pyrazole intermediates as antiinflammatory agents.
Kapadia, Suresh R.; Song, Jinhua J.; Yee, Nathan K. (Boehringer Ingelheim
Pharmaceuticals, Inc., USA). U.S. US 6492529 Bl 20021210, 37 pp.,
Cont.-in-part of U.S. 6,372,773. (English). CODEN: USXXAM.
APPLICATION:
US 2002-67492 20020205. PRIORITY: US 2000-484638 20000118; US
2001-920899
20010802.
GI

GI

 $^\star$  structure diagram too large for display - available via offline print  $^\star$ 

Pyrazole compds., e.g. I, as well as bis pyrazole-1H-pyrazole

rmediate compds. e.g. II, were prepared The compds. are useful in pharmaceutic compns. for treating diseases or pathol. conditions involving inflammation

such as chronic inflammatory diseases. All prepared compds. had IC50 < 10

mM for inhibition of  $TNF\alpha$  in lipopolysaccharide stimulated THP

cells. 285983-88-2P

20390-00-2# RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyrazole compds. and bis pyrazole-1H-pyrazole intermediates

rmediates
 as antinflammatory agents)
285983-88-2 CAPLUS
Urea, N-[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]-N'[5,6,7,8-tetrahydro-4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]- (CA INDEX NAME)

L4 ANSWER 32 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

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L4 ANSWER 33 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 33 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

1:935575 Document No. 136:697390 Preparation of piperidinoalkylureas as chemokine receptor modulators. Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng (Dupont Pharmaceuticals Company, USA). FCT Int. Appl. WO 2001098270 A2 20011227, 333 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KE, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, FT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, VU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, TT, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US19752 20010620. PRIORITY: US 2000-PV213208 20000621; US 2000-597400 20000621.

Thus PUBLES (CH) 3NHE (Z = nineridine A Ledivl) U. F = H\() (preparation Thus, PRCBEZ(UHZ)3NNR (2 - PAPELLALL)
given)
was amidated by 3-(NC)C6H4NCO to give I [R = CONHC6H4(CN)-3].

IT 275814-33-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of piperidinoalkylureas as chemokine receptor modulated) (Uses) (preparation of piperidinoalkylureas as chemokine receptor modulators) 275814-33-0 CAPLUS Urea, N-[(1R,2S)-2-[[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]goclohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME) CRN 275814-32-9 CMF C30 H40 F N3 O Absolute stereochemistry. CM 2 CRN 76-05-1 CMF C2 H F3 O2 L4 ANSMER 34 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2001:935574 Document No. 136:697380 Preparation of ureidoalkylpiperidines modulators of chemokine CCR3 receptor activity.. Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing (Dupont Pharmaceuticals Company, USA; Bristol-Myers Squibb Pharmaceutical Co.). PCT Int. Appl. Wo 2001098269 A2 20011227, 446 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ,

CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MM, MX, NZ, NC, NZ, PL, PT, RO, RU, SD, SE, SI, SK, SL, TJ, MT, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, KWI AT, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, LU, MC, ML, MR, NR, NL, PT, SE, SN, TD, TG, TR. (English) CODEN: PIXXB2. APPLICATION: WO 2001-US19745 20010620. PRIORITY: US 2000-213051P 20000621; US 2000-598821 20000621. [Title compds. I; M = CH2, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, L = CH2, CHR5, CHR6, CR6R6, CR5R6; Z = O, S; M = CH2, CH85, CH13R13, CR5R13; K = CHR5, CR5R6; Z = O, S; E = (CHR7)(CHR9)v(CR11R12); R1, R2 = H, alkyl, alkenyl, alkynyl, AB (substituted) stituted)
alkylcycloalkyl; R2R3 = atoms to form a (substituted) 5-7 membered ring;
R3, R5 = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R4 = null,
O, alkyl, alkenyl, alkynyl, etc.; R4 with R7, R9, or R11 = atoms to form 5-7 membered ring; R7, R9 = H; R4R7, R4R9 = (substituted) spirocyclyl; R13 R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R11R12 = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepared as modulators of chemokine activity (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) in THF was 4-benzyl-1-(3-aminophopy...treated
with 3-cyanophenyl isocyanate to give
N-(3-cyanophenyl)-N'=[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea.
IT 275814-33-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses) (Uses)
(preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)
275814-33-0 CAPLUS
Usea, N-[(18,28)-2-[[(38)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]gozlohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

L4 ANSWER 34 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 275814-32-9 CMF C30 H40 F N3 O

Absolute stereochemistry

CM

L4 ANSWER 35 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
use as antibiotics)
RN 365277-89-0 CAPLUS
CN 2-Naphthacenecarboxamide,
4-(dimethylamino)-1,44a,5,5a,6,11,12a-octahydro3,5,10,12,12a-pentahydroxy-6-methyl-7-[[(1naphthalenylamino)carbonyl]amino]-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)(CA INDEX NAME)

Absolute stereochemistry.

RN 365277-91-4 CAPLUS
CN 2-Naphthacenecarboxamide,
4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-7[[[phenylamino]carbonyl]amino]-, (4S,4aR,5S,5aR,6R,12aS)- (CA INDEX NAME) NAME.)

Absolute stereochemistry.

365277-94-7 CAPLUS

3652/1-94-1 CAPLUS
2-Naphthacenecarboxamide, 7-[[[4-chloro-2-(trifluoromethyl)phenyl]amino]carbonyl]amino]-4-(dimethylamino)1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 35 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2001:747739 Document No. 135:2886370 Preparation of 7-and 9-carbamate,

urea, thiourea, thiocarbamate, and heteroaryl-amino substituted tetracycline derivatives for pharmaceutical use as antibiotics. Nelson, Mark L.;

Levy,
Stuart B.; Prechette, Roger; Bowser, Todd E.; Ismail, Mohamed Y.

(Trustees of Tufts College, USA). PCT Int. Appl. WO 2001074761 A1 20011011, 88 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY,

CA, CH, CN, CO, CR, CU, CZ, DE, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, VN, YU, ZA, ZW, RW, AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001—VS10342 20010331. PRIORITY: US 2000—PV193972 20000331; US 2000—PV193879 20000331.

GT

AB Tetracycline derivs., such as I [R5 = H, OH, acyloxy, etc.; R6 = H, Me, alkyl, etc.; R7, R9 = arylamino, urea, thiourea, carbamate, thiocarbamate, etc.; R8 = H, alkyl, alkenyl, alkynyl, aryl, alkoxy, alkylthio, etc.], were prepared for pharmaceutical use as antibiotics. Thus, doxycycline derivative I (R5 = OH, R6 = Me, R7 = R8 = H, R9 = 1-naphthylaminocarbonylamino) was prepared by nitration of doxycycline with

with potassium nitrate, Pd/C catalyzed hydrogenation of the nitrate to form 9-aminodoxycycline I (R5 = OH, R6 = Me, R7 = R8 = H, R9 = NH2) followed

by

formation of the desired urea by reaction of 9-aminodoxycycline with
l-naphthylisocyanate. The prepared tetracycline derivs. were tested for
efficacy against common bacterial strains, such as E. coli, S. aureus, E.
hirae, and E. faecalis.

IT 365277-93-0P 365277-91-4P 365277-94-7P
365277-95-8P 365277-96-9P 365278-14-4P
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

ogical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Use) (preparation of 7-and 9-carbamate, urea, thiourea, thiocarbamate, and heteroaryl-amino substituted tetracycline derivs. for pharmaceutical

ANSWER 35 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

365277-95-8 CAPLUS

2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[[[(4-fluorophenyl)amino]carbonyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-,

(4S, 4aR, 5S, 5aR, 6R, 12aS) -(CA INDEX NAME)

Absolute stereochemistry.

365277-96-9 CAPLUS

RN 3652//-96-9 CAPLUS
CN 2-Naphthacenecarboxamide,
4-(dimethylamino)-1, 4, 4a, 5, 5a, 6, 11, 12a-octahydro3,5,10,12,12a-pentahydroxy-7-[[[(4-methoxyphenyl)amino]carbonyl]amino]-6-methyl-1,11-dioxo-, (4S, 4aR, 5S, 5aR, 6R, 12aS)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 35 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 365278-14-4 CAPLUS
CN 2-Naphthacenecarboxamide,
4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-

3,10,12,12a-tetrahydroxy-6-methyl-7-[((1-naphthalenylamino)carbonyl]amino]-1,11-dioxo-5-(1-oxopropoxy)-, (48,4aR,58,5aR,6R,12a8)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 36 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
all adrenoceptor subtype vs. the αlB and all subtypes
with Ki values of 176 nM, 4620 nM and 1590 nM, resp. In addn.,
II-maleate was efficacious in constricting the urethra with an IUP EDS
(the mean dose causing a max. increase in intraurethral pressure of 5 r
Hg) of 10.7 nmol/kg in anesthetized dogs.
IT 355133-23-2P
RI: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use

logical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole derivs. of benzyl and restricted benzyl
sulfonamides, sulfamides, ureas, carbamates, and amides as @1A
adrenoceptor agonists)
355133-23-2 CAPLUS
Urea, N-cyclohexyl-N-ethyl-N'-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1naphthalenyl]- (CA INDEX NAME)

ANSWER 36 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN :617982 Document No. 135:1807670 Preparation of 4-imidazole derivatives of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as all adrenoceptor agonists. Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F.; Khilevich, Albert;

Kolasa,
Teodozyj; Rohde, Jeffrey J.; Carroll, William A.; Searle, Xenia B.; Yang,
Fan (Abbott Laboratories, USA). PCT Int. Appl. Wo 2001060802 A1

Fan (ALBOEL BALOTTE STATES: W: CA, JP, MX; RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US3466 20010201. PRIORITY: US 2000-506750

GT

R8

The title compds. (I) [wherein Rl = SO2R9 or COR10; R2 = H, (halo)alkyl, aryl(alkyl), or cycloalkyl(alkyl); R3-R6 = independently H, alkoxy, alkenyl, (halo)alkyl, cycloalkyl, halo, or OH; or R6 and R7 together with the C to which they are attached form a 5-7 membered carbocycle or 5-6 membered (un)substituted heterocycle; or R7 and R8 together = :CR12R13; AB

= absent or H; R9 = (aryl)alkenyl, (aryl)alkyl, (aryl)alkynyl, cycloalkyl(alkyl), haloalkyl, heterocycle, or (un)substituted amine; R10

(aryl)alkyl, alkenyl, (halo)alkoxy, aryl(oxy), cycloalkyl(alkyl), cycloalkyloxy, haloalkyl, or (un)substituted amine, azetidinyl, piperazinyl, piperidinyl, pyrrolidinyl, morpholinyl, etc.; R12 and R13 = independently H, (aryl)alkyl, alkoxy, aryl, or cycloalkyl(alkyl); or R12 and R13 together with the C to which they are attached form a 3-7 ered

membered red
carbocycle; R14 = H or alkyl] were prepared as α1A adrenoceptor
agonists for the treatment of urinary incontinence or retrograde
ejaculation. For example, 4-iodoo-l-trityl-HH-imidazole was treated
sequentially with EtMgBr, 5-nitrotetralone, and NH4Cl in CH2Cl2 to give
4-(5-nitro-3, 4-dihydro-1-naphthalenyl)-HH-imidazole. N-BOC protection,
reduction using Pd/C in AcOEt, treatment with EtSO2Cl in the presence of

and conversion to the salt afforded II-maleate. In radioligand binding assays, II-maleate showed good selectivity for binding to the

L4 ANSWER 37 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2001:50635 Document No. 134:1158450 Preparation of α, β-annelated butyrolactones as modulators of metabotropic glutamate receptors.. Stolle, Andreas; Antonicek, Horst-Peter; Lensky, Stephan; Voerste, Arnd; Muller, Thomas; Baumgarten, Jorg; Von Dem Bruch, Karsten; Muller, Gerhard.

Muller, Thomas; Baumgarten, Jorg; Von Dem Bruch, Karsten; Muller,
Gerhard;
Stropp, Udo; Horvath, Ervin; De Vry, Jean-Marie-Victor; Schreiber, Rudy
(Bayer Aktiengesellschaft, Germany). PCT Int. Appl. WO 2001004107 A1
20010118, 215 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA,
BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KF, KR, KE, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MN, MX, MZ, NO, NZ, PL, FT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; EW: AT, BE, BF, BJ, CF,
CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML,
MR, NE, NL, FT, SE, SN, TD, TG. (German). CODEN: PIXXD2. APPLICATION:
WO 2000-EP6105 20000630. PRIORITY: DE 1999-19932621 19990713.

AB (substituted) pain.

pain,
and CNS-induced cramps (no data). Thus,
N-[(3a''S\*,6a''S\*)-4-(5-methylenehexahydrocylopenta[c]furan-1-on6ylmethyl)phenyl]bromoacetamide (preparation given), Et3N, and
morpholine were
refluxed 20 h in PrOH to give 87% N-[(3a''S\*,6a''S\*)-4-(5methylenehexahydrocylopenta[c]furan-1-on-6ylmethyl)-phenyl]-Nmorpholineacetamide.

IT 321128-65-8P

Relative stereochemistry.

ANSWER 37 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 38 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 302960-34-5 CAPLUS 5-Thiazolecarboxamide, 4-methyl-2-[[[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

14 ANSWER 38 OF 66 CAPLUS COPYRIGHT 2009 ACS ON STN
2000:756524 Document No. 133:3218780 Preparation of cyclic protein tyrosine kinase inhibitors. Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Doweyko, Arthur M. P.; Barrish, Joel C.; Wityak, John (Bristol-Myers Squibb Co., USA). PCT Int. Appl. WO 2000062778 AI 20001026, 300 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, RA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, LM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JF, RE, RG, RP, RR, RZ, LC, LK, LK, LS, LI, LU, LV, MA, MD, MG, MK, MN, MN, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, RG, RZ, MD, RU, TJ, TM; RM; AT, EE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, TT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (Emglish). CODEN. PIXNDZ. APPLICATION: WO 2000-US9753 20000412.

PRICKITY: US 1999-129510P 19990415. PRIORITY: US 1999-129510P 19990415.

The title compds. [I; Q = (un)substituted 5-6 membered heteroaryl, aryl;

= a single bond, R15C:CH, (CH2)m (m = 1-2); X1, X2 = H; X1 and X2 together

her = O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4, R5 = H, alkyl, alkenyl, etc.], useful in the treatment of protein tyrosine kinase-associated disorders such as immunol. and oncol. R4. R5 disorders

cders ( no data), were prepared E.g., a multi-step synthesis of thiazole II was given. Compds. I are effective at 0.1-100 mg/kg/day. 302960-34-5P

RL: BAC (Biological activity or effector, except adverse); BSU

L4 ANSWER 39 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2000:573791 Document No. 133:1640090 Preparation of phenyl ureas and thioureas as oresin receptor antagonists. Coulton, Steven; Johns, Amanda;
Porter, Roderick Alan (Smithkline Beecham Plc, UK). PCT Int. Appl. WO 2000047577 A1 20000817, 45 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU.

AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HE, HU, ID, IL, IN, IS, JP, KE, KG, KP, KK, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MS, MK, MN, MW, MK, NO, NZ, FL, FT, FO, RU, SD, SS, SG, SI, SK, SI, IJ, TM, TR, TT, TZ, UA, UG, US, UY, NY, VY, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, EW; AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FF, GA, GB, GR, IE, IT, LU, MC, ML, MK, NE, NL, FT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-EPI150 20000210. PRIORITY: GB 1999-3266 19990212; GB 1999-26430 19991108.

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; Z = O, S; R1 = alkyl, alkenyl, alkoxy, etc.; R2-R6

alkyl, alkenyl, alkoxy, etc.; adjacent pair of R2-R6 together with the carbon atoms to which they are attached form (un)substituted carbocyclyl, heterocyclyl; R7 = alkyl, alkenyl, alkoxy, etc.; n = 0-3] and their pharmaceutically acceptable salts which are non-peptide antagonists of human orexin receptors, in particular orexin-l receptors, were prepared E.g., treatment of 4-amino-2-methylquinoline with carbonyl dimidazole in CH2C12 followed by addition of 6-amino-2-methylpenzoxazole afforded II which

showed pKb > 6.0 against orexin-1 receptor. In particular, compds. I are of potential use in the treatment of obesity including obesity observed in

Type 2(non-insulin-dependent) diabetes patients and/or sleep disorders.

IT 144331-79-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of Ph ureas and thioureas as orexin receptor antagonists) 144331-79-3 CAPLUS Urea, N-(2-methyl-4-quinolinyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)

L4 ANSWER 39 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 40 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 285983-88-2 CAPLUS Urea, N.[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1B-pyrazol-5-yl]-N'-[5,6,7,8-tetrahydro-4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]- (CA

PAGE 2-A

L4 ANSWER 40 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
2000;513688 Document No. 133:1203250 Preparation of aromatic heterocyclic ureas as antiinflammatory agents. Cirillo, Pier F.; Gilmore, Thomas A.; Hickey, Eugene R.; Regan, John R.; Zhang, Lin-Hua (Boehringer Ingelheim Pharmaceuticals, Inc., USA). PCT Int. Appl. WO 2000043384 A1 20000727,

pp. DESIGNATED STATES: W: AE, AU, BG, BR, BY, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MX, NO, NZ, PI, RO, RU, SG, SI, SK, TR, UA, UZ, VN, YU, ZA, RN: AT, BE, CB, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1999—US291265 19991209. PRIORITY: US 1999—11640DP 19990119.

GT

The title compds. [I, Arl = (un)substituted pyrrole, pyrrolidine, pyrazole, etc.; Ar2 = (un)substituted Ph, naphthyl, quinoline, etc.; L = (un)saturated (un)substituted carbon chain wherein one or more methylene groups are optionally replaced by 0, N, or S; Q = (un)substituted Ph, naphthyl, pyridinyl, etc.], useful in pharmaceutic compns. for treating diseases or pathol. conditions involving inflammation such as chronic inflammatory diseases, were prepared E.g., a multi-step synthesis of the urea II was given. Representative compds. I were evaluated and showed 1C50 of < 10  $\mu$ M against TNF production in THP cells. AB

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aromatic heterocyclic ureas as antiinflammatory

L4 ANSWER 41 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2000:420964 Document No. 133:434450 Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity. Ko, Soo S.; Duncia, John

K.; Santella, Joseph B., III; Wacker, Dean A.; Kim, Ui Tae (Du Pont Pharmaceuticals Company, USA). PCT Int. Appl. WO 2000033454 A1 20000622, 351 pp. DESIGNATED STATES: W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, MO, NZ, PL, RO, SG, SI, SK, TR, UA, NN, ZA, AW, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE: (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30336 19991217. PRIORITY: US 1998-PV112717 19981218; US 1999-PV161184 19991022.

$$\begin{bmatrix} J-M & R^4 & \parallel \\ N-E-N & N-R^3 \\ L-Q & R & R^2 & I \end{bmatrix}$$

The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CHR5, etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was AB

Compds. I are effective at 1.0-20 mg/kg/da (oral dosage).

IT 275814-33-0P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity) 275814-33-0 CAPLUS Urea, N-[(1R, 28)-2-[[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]cyclohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

ANSWER 41 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN CRN 275814-32-9 CMF C30 H40 F N3 O (Continued)

Absolute stereochemistry.

2 CM CRN 76-05-1 CMF C2 H F3 O2

- CO2H

ANSWER 42 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

CM 1

CRN 275814-32-9 CMF C30 H40 F N3 O

Absolute stereochemistry

CM 2

CRN 76-05-1 CMF C2 H F3 O2

- COo H

L4 ANSWER 42 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
2000:420963 Document No. 133:434440 Preparation of
N-ureidoalkyl-piperidines
as modulators of chemokine receptor activity. Ko, Soo; Clark, Cheryl
Mcardle; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III;
Wacker, Dean A. (Du Font Pharmaceuticals Co., USA). FCT Int. Appl. WO
200035453 Al 20000622, 316 pp. DESIGNATED STATES: W: AL, AU, BR, CA,
CN, CZ, EE, HU, IL, IN, PP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI,
SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, BU, TJ, TM, RW: AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE. (English).
CODEN: PIXXDZ. APPLICATION: WO 1999-US30335 19991217. PRIORITY: US
1998-PV112717 19981218; US 1999-PV161137 19991022.

The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and AB

may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of

II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). IT 275814-33-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)
275814-33-0 CAPLUS
Urea, N-[(1R, 28)-2-[[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]cyclohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

L4 ANSWER 43 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2000:420962 Document No. 133:434430 Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity. Ko, Soo S.; Delucca,

George V.; Duncia, John V.; Kim, Ui Tae; Santella, Joseph B. Iii; Wacker, Dean

K. (Du Pont Pharmaceuticals Company, USA). PCT Int. Appl. WO 2000035452 Al 20000622, 388 pp. DESIGNATED STATES: W: AL, AU, BR, CA, CN, CZ, EE, BU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, FL, RG, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, IJ, TM, RW: AT, BE, CH, CY, DE, DK, ES, FI, FF, GB, GR, IE, IT, LU, MC, NIL, FT, SE. (English). CODEN: PIXXID2. APPLICATION: WO 1999-PV161221 19991022.

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S, E = (CH2)2, (CH2)3, CH2CH(GH)5 (HPh), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and

R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of

II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275814-32-9P 275814-33-0P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study); PREP (Preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)
RN 275814-32-9 CAPLUS
CN Urea, N-[(IR,28)-2-[(3S)-3-[(4-fluorophenyl)methyl]-1
piperidinyl]methyl]cyclohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 43 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

275814-33-0 CAPLUS Urea, N-[(18,28)-2-[[(38)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]cyclohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-,2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CRN 275814-32-9 CMF C30 H40 F N3 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 44 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME) (Continued)

CM 1

CRN 275814-32-9 CMF C30 H40 F N3 O

Absolute stereochemistry.

2 CM

CRN 76-05-1 CMF C2 H F3 O2

L4 ANSWER 44 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2000:420961 Document No. 133:434420 Preparation of N-ureidoaltkyl-piperidines as modulators of chemokine receptor activity. Ko, Soo S.; Delucca,

as modulators of chemoxine receptor actuary.

George

George

V., Duncia, John V., Santella, Joseph B., III; Wacker, Dean A.; Watson,

Paul S., Varnes, Jeffrey G. (Du Pont Pharmaceuticals Company, USA). PCT

Int. Appl. Wo 2000035451 Al 20000622, 394 pp. DESIGNATED STATES: W: AL

AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL,

RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, EV, KG, KZ, MD, RU, TU, TM; RW:

AT, BE, CB, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,

PRIORITY: US 1998-PV112717 19981218; US 1999-PV161243 19991022.

GI

The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and AB

RЗ may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of ΙI

was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). 275814-33-0P RL: BAC (Biological activity or effector, except adverse); BSU IT

(Biological

L4 ANSWER 45 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 2000;420959 Document No. 133:434410 Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity. Ko, Soo S.; Delucca,

George V.; Duncia, John V.; Santella, Joseph B., III; Gardner, Daniel S. (Du

Pharmaceuticals Company, USA). PCT Int. Appl. WO 2000035449 A1 20000622, 327 pp. DESIGNATED STATES: W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, EY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30292 19991217. PRIORITY: US 1998-PV112717 19981218; US 1999-PV161122 19991022.

The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CHR5, etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was AB

given.

Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275814-33-0P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity) 275814-33-0 CAPLUS Urea, N-[(1R, 28)-2-[[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]methyl]cyclohexyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

ANSWER 45 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN CRN 275814-32-9 CMF C30 H40 F N3 O (Continued)

Absolute stereochemistry.

2 CM CRN 76-05-1 CMF C2 H F3 O2

-CO2H

ANSWER 46 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Conti: Urea, N=[5-(1-methylethyl)-2-thiazolyl]-N'-(5,6,7,8-tetrahydronaphthalenyl)- (CA INDEX NAME)

ANSWER 46 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN :314688 Document No. 132:3344550 2-Ureidothiazole derivatives, process for their preparation, and their use as antitumor agents. Pevarello, Paolo; Amici, Raffaella; Traquandi, Gabriella; Villa, Manuela; Vulpetti, Anna; Isacchi, Antonella (Pharmacia & Upjohn S.p.A., Italy). PCT Int. Appl. Wo 2000026203 Al 20000511, 95 pp. DESIGNATED STATES: W: Al., AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MN, NN, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English).
CODEN: PIXXD2. APPLICATION: WO 1999-EP8307 19991027. PRIORITY: GB 2000:314688

1998-23873 19981030.

The title 2-ureido-1,3-thiazole derivs. I and their pharmaceutically acceptable salts are disclosed [wherein R = halo, nitro, (un)substituted amino, C1-6 alkyl, C3-6 cycloalkyl, aryl, or arylalkyl; R1 = (un)substituted C1-6 alkyl, 3- to 6-membered carboycle or 5- to 7-membered heterocycle, aryl, arylcarbonyl, or arylalkyl; R2 = H,

straight or branched C1-4 alkyl, C2-4 alkenyl, or alkynyl; or NRIR2 =

or branched Cl-4 alkyl, C2-4 alkenyl, or alkynyl; or NRIR2 = (un)substituted, optionally benzo-condensed or bridged 5- to 7-membered heterocycle, or 9- to 11-membered spiro-heterocycle]. The compds. are active as cdk/cyclin inhibitors, and are useful for treating cell proliferative disorders associated with an altered cell dependent kinase activity. The proliferative disorders include cancer and a wide variety of other conditions, such as Alzheimer's disease, viral infections, autoimmune diseases, and neurodegenerative disorders. Over 230 inventior compds. are claimed and/or prepared in examples. For instance, reaction

of

Ph isocyanate with 2-amino-5-bromo-1,3-thiazole hydrobromide in the presence of Et3N gave title compound I [R = Br, Rl = Ph, R2 = H]. The similarly prepared title compound I [R = iso-Pr, Rl = 3,5-dimethylphenyl, R2 = H] inhibited cdk2/cyclin A complex in vitro with an IC50 of 0.56 µM. IT 267430-46-6P, N-(5-Isopropyl-1,3-thiazol-2-yl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)urea

RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

(Biological

vyıvaı study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (target compound; preparation of ureidothiazole derivs. as antitumor ents) | 267430-46-6 CAPLUS

L4 ANSWER 47 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
1999;325902 Document No. 130:3525460 Preparation of amides containing
leucine or methionine for inhibition of the interaction of vascular
cell-adhesion molecule-1 (VCAM-1) and fibronectin with integrin very late
antigen 4 (a4Bi). Brittain, David Robert; Johnstone, Craig
(Zeneca Limited, UK). PCT Int. Appl. No 9924398 A2 19990520, 74 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH,
CN.

CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, FT, RO, RU, SD, SS, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, JJ, TM, RW: AT, ER, EF, EJ, CF, GG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, TT, LU, MC, ML, MR, NR, NL, FT, SF, SN, TD, TG. (English). CODEN: PIXXC: APPLICATION: WO 1998-GB3334 19981109. PRIORITY: GB 1997-23789 19971112.

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; R1 = II (in the para or meta position); R2, R3 = H, N02, alkyl, etc.; R2 and R3 together with the Ph to which they are attached form a 9-10 membered bicyclic ring system; R4 = alkyl; R5 = H, alkyl; R6 = alkyl, alkyleycholakyl, alkylalkoxyl, etc.; R7 = alkyl, alkoxylcarbonyl, alkenyl, etc.; R8 = (un)substituted aryl, heteroaryl, bicyclic heteroaryl ring system linked to the nitrogen via a ring carbon, etc.; R9, R10 = H, alkyl; NR8R9 = dihydroindolyl, dihydroquinolinyl; R11

CO2H, tetrazolvi, alkvi sulfonvicarbamvi, sulfo, sulfino; Y = O, S, SO2;

= 0-1; n = 0-4; with the proviso that when m and n cannot both be 0 and when m = 1, n = 0] and their pharmaceutically acceptable salts, useful in the treatment of multiple sclerosis, rheumatoid arthritis, asthma, coronary artery disease and psoriasis, were prepared E.g., a multi-step synthesis of amide III was given. Compds. I are effective at 0.1-15 mg/kg/dsy, 225100-86-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

(Biological study), PRDF (Preparation); THU (Therapeutic use); BIOL (Biological study); PRDF (Preparation); USES (Uses) (preparation of amides containing leucine or methionine for inhibition of the interaction of vascular cell-adhesion mol.-1 (VCAM-1) and fibronectin with integrin very late antigen 4 (α4β1))
 RN 225100-86-7 CAPLUS
 CN 1,3-Benzodioxole-5-propanoic acid, β-[1(25)-4-methyl-1-oxo-2-[[2-[4-[[(5,6,7,8-tetrahydro-1-naphthalenyl) amino] carbonyl]amino]phenoxy]acetyl]amino]pentyl]amino]-(CA

Absolute stereochemistry.

L4 ANSWER 47 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

L4 ANSWER 49 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
1998:709065 Document No. 129:3307400 Original Reference No.
129:67459a,67462e Preparation of bicyclic aryl or bicyclic heterocyclic ring containing (4-methylpiperazin-1-yl)phenyl compounds having a combined

ined
SHT1A, 5HT1B and 5HT1D receptor antagonistic activity.
Gaster, Laramie
Mary; Myman, Paul Adrian (Smithkline Beecham FLC, UK). FCT Int. Appl. 1
9847885 Al 19981029, 42 pp. DESIGNATED STATES: W: CA, JP, US; FW: AT,
BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, TE, TT, LU, MC, NIL, FT, SE.
(English). CODEN: PIXXD2. APPLICATION: Wo 1998-EP2265 19980414.
FRIORITY: GB 1997-7876 19970418; GB 1998-1635 19980126.

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; R1 = II, III (P1 = bicyclic aryl, bicyclic heterocyclic ring containing 1-3 heteroatoms selected from O, N and S; AB P2, P3 = Ph, bicyclic aryl, 5-7 membered heterocyclic ring containing 1-3

heteroatoms

roatoms selected from O, N and S, or bicyclic heterocyclic group containing 1-3 heteroatoms selected from O, N or S, providing that at least one of P2 and

P3 = bicyclic aryl or bicyclic heterocyclic group; R11 = H, halo, C1-6 alkyl, etc.; R12, R13 = H, halo, C1-6 alkyl, etc.; a, b = 1-3; A = a bond,

O, CH2, etc.); L = C(V)DG, DGC(V), YC(V)DG1; V = O, S; D = N, C, CH; G and

G1 = H, C1-6 alkyl; Y = NH, NR5 (wherein R5 = C1-6 alkyl), CH2, O; X = N, C; R2, R3 = H, halo, OH, etc.; R4 = H, C1-6 alkyl], useful as CNS agents, were prepared Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in the presence of Et3N in CH2C12 followed by the addition

solution of 4-chloro-3-(4-methylpiperazin-1-yl)aniline in CH2Cl2

solution of 4-chloro-3-(4-methylpiperazin-1-yl)aniline in CH2Cl2
afforded 27%

IV which showed pKi of > 8.0 at 5-HT1A, 5-HT1B and 5HT1D receptors.

IT 215162-59-7P 215162-89-3P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic aryl or bicyclic heterocyclic ring containing

(4-methylpiperazin-1-yl)phenyl compds. having a combined 5HT1A, 5HT1B

and 5HT1D receptor antagonistic activity)

RN 215162-59-7 CAPUS

CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-, hydrochloride (1:1) (CA INDEX NAME)

ANSWER 48 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN:
325793 Document No. 131:52520 Preparation of benzothiazolecarboxamides as protein tyrosine kinase inhibitors. Das, Jagabandhu; Barrish, Joel

as protein tyrosine kinase inhibitors. Das, Jagabandhu; Barrish, Joel C.;

Wityak, John (Bristol-Myers Squibb Company, USA). PCT Int. Appl. WO
992405 Al 19990520, 220 pp. DESIGNATED STATES: W. AL, AM, AT, AU, AZ,
BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH,
GM, HU, ID, IL, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MD, MG, MK, MN, MM, MN, NO, NZ, PL, PT, BC, RU, SD, SE, SG, SI, SK, SL,
TJ, TM, TR, TT, UA, UG, UZ, VN, VY, ZM, AM, AZ, PY, KG, KZ, MD, RU, TJ,
TM, EN: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA,
GB, GR, IT, IU, MC, MIL, MR, NE, NL, FY, SE, SN, TD, TG. (English).
CODEN: PIXXD2. APPLICATION: WO 1996-US23204 19981102. PRIORITY: US
1997-65042 19971110.

AB RENNSZINKAKS [RZ,KS] = H, NH2, (ar)alkyl, aryl, etc.; R4,R5 = H,
(ar)alkyl, aryl, etc.; NR4R5 = heterocyclyl, Z = (un)substituted
benzothiazole-2,4-, -2,5-, -2,6-, or -2,7-diyl; 21 = CH2CO, CSI were
prepared as protein tyrosine kinase inhibitors (no data). Thus,
4-(EXN)CGH4COZET was cyclocondensed with NaSCN and the protected and
saponified product amidated by 2,4,6-trimethylaniline to give, after
deprotection, HENDCONNRA (R4 = 2,4,6-trimethylphenyl, Z =
benzothiazole-2,6-diyl).

IT 225520-70-7P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

inhibitors)
225520-70-7 CAPLUS
6-Benzothiazolecarboxamide, 2-[[[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)- (CA INDEX

ANSWER 49 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

● HCl

215162-89-3 CAPLUS Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 50 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN: 803803 Document No. 128:664680 Original Reference No. 128:12915a.12918a

1897:803803 Document No. 128:664680 Original Reference No. 128:12915a,12918a
Phenyl derivatives useful as blockers of chloride channels.
Christophersen, Palle; Pedersen, Ove (Neurosearch A/S, Den.; Christophersen, Palle; Pedersen, Ove). PCT Int. Appl. WO 9745111 Al 19971204, 29 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DN, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KC, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, MZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RN: AT, EB, BF, BJ, CF, GG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, TI, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG. (English). CODEN: FIXXD2. APPLICATION: WO 1997-EP2724 19970526. PRIOSITY: DK 1996-602 19960524.
AB The present invention relates to a method for the treatment of a disorder or disease of a living animal body, including a human, which disorder or disease is responsive to the blockade of chloride channels, comprising administering to a living animal body in need thereof a therapeutically effective amount of a Ph derivative, such as N-[3-(trifluoromethyl)phenyl]-N'-(2-hydroxy-5-nitrophenyl)urea.

IT 160383-97-1P 200267-58-99
(Riological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (Penzene derivs. useful as blockers of chloride channels)

NI 160383-97-1 (CA INDEX NAME)

200267-58-9 CAPLUS

Urea, N-[2-hydroxy-5-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 51 OF 66 CAPLUS COPYRIGHT 2009 ACS ON STN

1997.537574 Document No. 127.1616970 Original Reference No.

127.31347a, 31350a 2-Amino heterocycles and their therapeutic uses as leukotriene biosynthesis inhibitors. Es-Sayed, Mazen; Yamamoto, Masaru; Frobel, Klaus; Poll, Chris; Grix, Suzanna; Tudhope, Stephen (Bayer Aktiengesellschaft, Germany). PCT Int. Appl. No 9724328 A1 19970710, 275 pp. DESIGNATED STATES: W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, IS, JP, KE, KP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US, VN; RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXXIS. APPLICATION: WO 1996-EP5643 19961216.

PRIORITY: GB 1995-26560 19951227.

2-Amino heterocycles R1R2NCOR3 [I; R1 = H, Me, (un)substituted 6-membered aromatic heterocycle containing  $\leq 2$  N atoms and optionally benzo-fused; AB

= (un)substituted adamantyl, cycloalkyl, pyridyl, Ph, CH2Ph, tetralin-5-yl, 2-norbornyl, 1-azabicyclo[2.2.2]oct-3-yl; or NR1R2 forms α-carboline residue; R3 = (un)substituted or cyclic amino groups linked via a bond, carbonyl, or alkylene group] are disclosed. I can be used for the production of medicaments which inhibit leukotriene

used for the production of medicaments which inhibit leukotriene synthesis (in particular LTB4), and are especially useful for the treatment and control of

control of
respiratory diseases and inflammatory processes (no data). For instance, condensation of 2-chloropyridine with 4-MeOCGH4NH2 at 150° gave 2-(4-methoxyanilino)pyridine, which reacted with ClCO2Ccl3 and then HH(CH2Ph)2 in dioxane at 60° to give title compound II plus a byproduct.

IT 19357-16-IP
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-amino heterocycles as leukotriene biosynthesis inhibitors)

inhibitors)
RN 193557-16-3 CAPLUS
CN Uzea, N,N-bis(phenylmethyl)-N'-2-pyridinyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 50 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 51 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 1997:429497 Document No. 127:506620 Original Reference No. 127:9669a,9672a N-(Piperazinylalkoxyphenyl)-N'-phenylalkylurea derivatives as ACAT inhibitors for the treatment of atherosclerosis. Inoue, Shinya; Taniquchi, Masay; Tarao, Yoshihiro; Suzuki, Kazuo; Takahashi, Chizuko; Kawai, Mizue; Mitsuka, Masayuki (Mitsubishi Chemical Corporation, Japan).

n). Eur. Pat. Appl. EP 773218 A1 19970514, 77 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, TE, IT, LI, LU, MC, NL, PT, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1996-118113 19961112. PRIORITY: JP 1995-294048 19951113.

Compds. represented by formula I and their salts, hydrates, and solvates are claimed [wherein R1, R2, R3 = H, OH, C1-3 alkoxy, etc.; R4 = C1-7 alkyl, C3-7 cycloalkyl, etc.; R5, R6 = H, C1-3 alkyl, etc.; Y = C1-3 alkyl, heterocyclic group containing 1-4 N and 5 or 6 ring-member atoms, AB

тт

C6-10 aryl; m = 1-3; and n = 2-4]. I have excellent inhibitory activity against acyl coenzyme cholesterol acyl transferase (ACAT), and are useful as active ingredients of medicines for preventive and/or therapeutic treatment of hyperlipemia and atherosclerosis. For instance, bis (trichloromethyl) carbonate was amidated sequentially with 2-(3-(4-phenyl-1-piperazinyl)propoxy]-6-methylanline and then with N-pentyl(5-imidazolyl-2-methoxyphenyl)methylamine to give title compound

At 12.1 mg/kg in rats on a high-cholesterol diet, II.2HCl gave a 50% reduction in total serum cholesterol.

ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

191025-58-8P 191025-59-9P 191025-60-2P 191025-63-5P 191025-78-2P 191025-78-2P 191026-16-1P 191026-16-1P 191026-17-2P 191026-18-3P 191026-19-4P 191026-20-7P 191026-25-2P RL: BAC (Biological activity or effector, except adverse); BSU IT

(Biological

ogical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (piperazinylalkoxyphenyl)phenylalkylurea derivs. as

ACAT

inhibitors)
191025-58-8 CAPLUS
Urea, N-heptyl-N-[[3-methoxy-2-(phenylmethoxy)phenyl]methyl]-N'-[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]- (CA

191025-59-9 CAPLUS Urea, N-[[3-methoxy-2-(phenylmethoxy)phenyl]methyl]-N-(4-phenylbutyl)-N'-

ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 191026-39-8P (Continued)

191026-39-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Intermediate; preparation of (piperazinylalkoxyphenyl)phenylalkylurea derivs. as ACAT inhibitors)
191026-39-8 CAPLUS

NN 191020-39-0 CAPLOS
CN Usea,
N-heptyl-N-[2-[3-methoxy-2-(phenylmethoxy)phenyl]ethyl]-N'-[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]- (CA INDEX NAME)

IT

IT 191026-13-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

ogical study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of (piperazinylalkoxyphenyl)phenylalkylurea derivs. as

ACAT

inhibitors)

L4 ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]-(CA INDEX NAME)

191025-60-2 CAPLUS
Urea, N-[[4-(1H-imidazol-1-yl)phenyl]methyl]-N-pentyl-N'-[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]INDEX NAME)

191025-63-5 CAPLUS

CN Urea,  $N-[\{5-(1H-imidazol-1-yl)-2-methoxyphenyl\}methyl]-N-(phenylmethyl)-N'-1-(phenylmethylmethyl)-N'-1-(phenylmethylmethyl)-N'-1-(phenylmeth$ 

[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]-(CA INDEX NAME)

ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

191025-77-1 CAPLUS Urea, N-[[3-methoxy-2-(2-pyridinylmethoxy)phenyl]methyl]-N-pentyl-N'-

[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]-(CA INDEX NAME)

191025-78-2 CAPLUS
Urea, N-[[3-methoxy-2-(2-pyridinylmethoxy)phenyl]methyl]-N-pentyl-N'-

[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]-, hydrochloride (1:3) (CA INDEX NAME)

L4 ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 2-A

•3 HCl

191026-15-0 CAPLUS
Urea, N-heptyl-N-[[2-(2-pyridinylmethoxy)phenyl]methyl]-N'-[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]- (CA INDEX NAME)

191026-16-1 CAPLUS

Urea, N-(3-phenylpropyl)-N-[[2-(2-pyridinylmethoxy)phenyl]methyl]-N'-

[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]-(CA INDEX NAME)

191026-17-2 CAPLUS
Urea, N-propyl-N-[[2-(2-pyridinylmethoxy)phenyl]methyl]-N'-[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-l-naphthalenyl]- (CA INDEX NAME)

ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

●3 HCl

191026-14-9 CAPLUS Urea, N-heptyl-N-[2-[3-methoxy-2-(2-pyridinylmethoxy)phenyl]ethyl]-N'-

[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]-, hydrochloride (1:3) (CA INDEX NAME)

PAGE 1-A

ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

191026-18-3 CAPLUS Urea, N-propyl-N-[[2-(3-pyridinylmethoxy)phenyl]methyl]-N'-[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]- (CA INDEX NAME)

191026-19-4 CAPLUS
Urea, N-propyl-N-[[2-(4-pyridinylmethoxy)phenyl]methyl]-N'-[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]- (CA INDEX NAME)

L4 ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

191026-20-7 CAPLUS
Usea, N-methyl-N-[[2-(2-pyridinylmethoxy)phenyl]methyl]-N'-[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl]- (CA
INDEX NAME)

191026-25-2 CAPLUS
Urea, N-heptyl-N-[2-[3-methoxy-2-(2-pyridinylmethoxy)phenyl]ethyl]-N'-

[5,6,7,8-tetrahydro-2-[3-(4-phenyl-1-piperazinyl)propoxy]-1-naphthalenyl](CA INDEX NAME)

L4 ANSWER 53 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

1995:605367 Document No. 123:94650 Original Reference No. 123:1991a,1994a
Carboxamide and urea derivatives having ACAT-inhibiting activity.
Jikihara, Tetsuo; Shirasaka, Tadashi; Suzuki, Kazuo; Suzuki, Hiroko;
Taniguchi, Masao; Inoue, Shinya (Mitsubishi Kasei Corp., Japan). Eur.
Pat. Appl. Ep 591830 Al 19940413, 53 pp. DESIGNATED STATES: R: AT. BE,
CH, DE, DK, ES, FF, GB, GR, IE, IT, LI, LU, NL, PT, SE. (English).
CODEN: EPXXDM. APPLICATION: EP 1993-115714 19930929. PRIORITY: JP
1992-260325 19920929; JP 1992-262476 19920930; JP 1992-299686 19921110.

Carboxamide derivs. I (R1, R2 = alkyl, etc.; R1R2 = alkylene; group, R3 = H, dialklamino, etc.; R4 = H, alkyl, etc.; R5 = alkyl, etc.; q = 0-3; p = 0-1; A = heterocyclic ring) were disclosed. I are useful as pharmaceuticals for treating hyperlipemia or atherosclerosis. An example compound, (t)-N-(2,6-diisopropylphenyl)-3-(2,3-methylenedioxyphenyl)octananide (II) was prepared For II the IC50 for inhibition of expression of ACAT was 0.01 µM. 163704-80-1
RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of amides and urea derivs. as anticholesteremics and antiatherosclerotics) 163704-80-1 CAPLUS
Urea, N-(2-(1,3-benzodioxol-4-yl)heptyl)-N'-(5,6,7,8-tetrahydro-2-(1-methylethoxy)-1-naphthalenyl]-, (R)- (9CI) (CA INDEX NAME) AB

Absolute stereochemistry.

ANSWER 52 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 53 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

163704-81-2P 163704-82-3P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of amides and urea derivs. as anticholesteremics and antiatherosclerotics) 163704-81-2 CAPLUS

CN Urea,
N-[2-(1,3-benzodioxol-4-y1)heptyl]-N'-(5,6,7,8-tetrahydro-2-methoxyl-naphthalenyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

163704-82-3 CAPLUS

NN 103/04-02-5 CREDS
CN Urea,
N-[2-(1,3-benzodioxol-4-yl)heptyl]-N'-(2-ethoxy-5,6,7,8-tetrahydro-1-naphthalenyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 53 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 54 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN naphthalenyl) - (CA INDEX NAME) (Continued)

ANSWER 54 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN: 305146 Document No. 122:808910 Original Reference No. 1995:305146 Doc: 122:15363a,15366a

Preparation of arylurea and amide derivatives and their use in the

Preparation of arylurea and dumine delivery.

Control

of cell membrane potassium channels. Olesen, Soeren-Peter; Moldt, Peter;
Pedersen, Ove (Neurosearch A/S, Den.). PCT Int. Appl. WO 9422807 Al
1994013, 56 pp. DESIGNATED STATES: W: AU, BB, BG, BR, BY, CA, CN, CZ,
FI, HU, UF, KP, KR, KK, LK, LV, MS, MN, MN, NO, NZ, FL, RO, RU, SD, SK,
UA, US, UZ, VN, FW: AT, BE, BF, BJ, CT, CG, CH, CI, CM, DE, DK, ES, FR,
GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG.
(English). CODEN: PIXXIS. APPLICATION: WO 1994-EP1008 19940330.

Title compds. I (X, Z = HN, H2C, at least one of X and Z being HN; Y = O, S, NCN, HN; B, D, E, F = C, N, at least 3 of B, D, E, and F being C; R1, R4 = H, halo, F3C, H0C, alkyl-O2C, AC, C, NC, alky, alkoxy,

etc.; R2 = H, F3C, HO2C NC, HOCH2, aryloxy, etc.; R3 = H, halo, HO2C, NC, alkylearbonyl, etc.; R2R3, R3R4 with the Cs to which they are attached form an (unsatd.) addml. fused carbocyclyl, one of R11, R12 = halo, F3C, HO2C, NC, alkyl, alkoxy HO, O2N, HOCH2, etc. and the other is H;  $\lambda$  = H, AR12 and the Cs to which they are attached form (unsatd.) fused carbocyclyl) or a salt thereof, are prepared I are claimed for treatment of

ment of arterial hypertension, coronary artery spasms, asthma, irritable bowel syndrome, spastic bladder, ischemia, psychosis, convulsions. 2-Hydroxy-5-nitroaniline and 3-(Trifluoromethyl)phenyl isocyanate were added to MePh and stirred overnight at room temperature to give I (X, Z = HN, Y

, Y = 0, B, D, E, F, = C, R1 = R3 = R4 = R12 = H, R2 = O2N, R11 = F3C) (II). The activity (1-10  $\mu$ M) was demonstrated by I (R2 = H, R3 = C1, everything else as in II). Pharmaceutical formulations comprising I are given. 160383-97-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylurea and amide derivs. and their use in control

of cell

membrane potassium channels) 160303-97-1 CAPLUS Urea, N-[2-methoxy-5-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-1-

L4 ANSWER 55 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 1995;47808 Document No. 122:81671 Original Reference No. 122:15527a,15530a Aryne chemistry of podocarpic acid derivatives. Cambie, Richard C.; Higgs, Paul I.; Rutledge, Peter S.; Woodgate, Paul D. (Department Chemistry, University Auckland, Auckland, N. Z.). Australian Journal of Chemistry, 47(8), 1483-508 (English) 1994. CODEN: AJCHAS. ISSN: 0004-9425.

The anthranilic acid I (R1 = CO2H, R2 = NH2), a key intermediate for the generation of an aryne at C13 of podocarpic acid derivs., was synthesized from the 14-amino compound I (R1 = H, R2 = NH2) which in turn was

regiospecifically in high yield by treatment of the 13-bromo compound I

= Br, R2 = N(CO2)2] with NaNH2-NH3(1). The amine was converted into the anthranilic acid by two sep. routes: firstly by directed lithiation and trapping of the lithium species with a CO2 moiety, and secondly by oxidative cleavage of an isatin fused across positions 13 and 14. 160455-41-4P

160455-41-4P RL: SPN (Synthetic preparation); PREP (Preparation) (aryne chemical of podocarpic acid derivs. from anthranilate-related

CAPLUS

Absolute stereochemistry.

L4 ANSWER 55 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

ANSWER 56 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

NW 158325-84-9 CAPLUS
CN 2-Maphthalenecarboxylic acid,
5-[[(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H1,4-benzodiazepin-3-yl)amino]carbonyl]amino]-2-[[(1,1dimethylethoxy)carbonyl]amino]-1,2,3,4-tetrahydro-, methyl ester (CA INDEX NAME)

158325-85-0 CAPLUS

2-Naphthalenecarboxylic acid, 2-amino-5-[[[(2,3-dihydro-1-methyl-2-oxo-5-

phenyl-1H-1,4-benzodiazepin-3-yl)amino]carbonyl]amino]-1,2,3,4-tetrahydro, methyl ester (CA INDEX NAME)

L4 ANSWER 56 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
1994:631366 Document No. 121:2313660 Original Reference No.
121:42211a, 42214a Cholecystokinin and gastrin antagonists. Bock, Mark
G.; Bergman, Jeffrey M.; Freidinger, Roger F. (Merck and Co., Inc.,

PCT Int. Appl. WO 9415924 Al 19940721, 77 pp. DESIGNATED STATES: W: At BB, BG, BR, BY, CA, CN, CZ, FT, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, BO, RU, SD, SK, UA, US; RW: AT, BE, BF, BJ, CP, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1994-US334 19940111. PRIORITY: US 1993-3927 19930113.

GT

Benzodiazepine derivs. I [Rl = alkyl, cyclopropyl; R2 = (un)substituted phenyl; R = amino acid group] were disclosed. I are antagonists of gastrin and cholecystokinin (CCK). A specifically claimed example

compound, 3-[[[(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-3-[[(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)amino]arbonyl]amino]phenylalamin [II], was prepared
IT 158325-77-0P 158325-84-9P 158325-85-0P
158325-86-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin/gastrin antagonist)
RN 158325-77-0 CAPLUS
CN 2-Naphthalenecarboxylic acid,
8[((2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H1,4-benzodiazepin-3-yl)amino]carbonyl]amino]-2-[[(1,1dimethylethoxy)carbonyl]amino]-1,2,3,4-tetrahydro-, methyl ester (CA
INDEX NAME)

ANSWER 56 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

158325-86-1 CAPLUS 2-Naphthalenecarboxylic acid, 2-amino-5-[[[(2,3-dihydro-1-methyl-2-oxo-5-

phenyl-1H-1,4-benzodiazepin-3-yl)amino]carbonyl]amino]-1,2,3,4-tetrahydro-(CA INDEX NAME)

L4 ANSWER 57 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
1994:77280 Document No. 120:772800 Original Reference No. 120:13905a,13908a
Preparation of N-biphenylylymethylbenzimidazoles as angiotensin II
antagonists. Hauel, Norbert; Narr, Bertholdy Ries, Uwe; Van Meel,
Jacques; Wienen, Wolfgang; Entzeroth, Michael (Thomae, Dr. Karl,
G.m.b.H.,

. Ger. Offen. DE 4212748 Al 19931021, 40 pp. (German). CODEN: APPLICATION: DE 1992-4212748 19920416. Germany).

Title compds. [I; R1, R2 = alkyl; R1R2 = 1,3-propylene, 1,4-butylene; R3

Title compds. [1; R1, R2 = alky1; RR2 = 1,3-propylene, 1,4-butylene; R3 R8NR7CONR6; R6 = H, alky1, cyclopentyl, cyclohexy1, phenylalky1; R7 = H, alky1, alkeny1, Ph, phenylalky1, cycloalky1; R8 = H, alky1; R7R8 = atoms to form an alkyleneimino or morpholino system; R6R7 = alkylene, glutarimino, maleimido, phthalimino, etc; R4 = cycloalky1, (O-, S-, or NH-interrupted) alky1; R5 = carboxy, cyano, S03H, (triphenylmethyl)tetrazoly1, group metabolizeable in vivo to a carboxy group, alkylcarbonylaminosulfony1, etc.], were prepared Thus, 4,5-dimethyl-6-phthalimino-2-propyl-H-benzimidazole and 4'-bromomethyl-2-(2-triphenylmethyltetrazol-5-y1)biphenyl were stirred 15 hwith K0CMe3 in MesS0 to give \$4.88 4'=[(4,5-dimethyl-6-phthalimino-2-propylbenzimidazol-1-y1)methyl]-2- (triphenylmethyltetrazol-5-y1)biphenyl. I bound to rat lung angiotensin II receptor prepns. with IC50 = 5.0-199.0 nM, and in other testing showed no toxic side effects at up to 30 mg/kg i.v. Various generic I drug formulations are given. 152171-98-7P 152172-01-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  $\,$ 

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as angiotensin II antagonist) 152171-98-7 CAPLUS Urea, N-cyclohexyl-N'-[6,7,8,9-tetrahydro-2-propyl-3-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-3H-naphth[1,2-d]imidazol-5-yl]- (CA

INDEX

NAME)

ANSWER 57 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

152172-07-1
RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of angiotensin II antagonist)
152172-07-1 CAPLUS
Urea, N-cyclohexyl-N'-[6,7,8,9-tetrahydro-2-propyl-3-[[2'-[2-(triphenyl]methyl)-2H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]-3H-naphth[1,2-d]imidazol-5-yl]- (CA INDEX NAME)

PAGE 1-A

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ANSWER 57 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) Pr-n

152172-01-5 CAPLUS
[1,1'-Biphenyl]-2-carboxylic acid,
4'-[[5-[[(cyclohexylamino)carbonyl]amino]-6,7,8,9-tetrahydro-2-propyl-3H-naphth[1,2-d]imidazol-3-yl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CRN 152172-00-4 CMF C35 H40 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 1628431 Document No. 117:2284310 Original Reference No. 117:39357a, 39360a Preparation of urea derivatives as preventive agrochemical pesticides.. Aman, Shunji, Watanabe, Hiroyuki; Tsuzuki, Kenji; Takematsu, Tetsuo (Tosoh Corp., Japan). Jpn. Kokai Tokkyo Koh 04178363 A 19920625 Heisei, 7 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1990-303902 19901113.

-NHCONR<sup>1</sup>R<sup>2</sup>

Urea derivs. I [R1 = H, lower alkyl, lower alkoxy; R2 = lower alkyl,

r
alkenyl, 4-morpholinyl, (lower alkoxycarbonyl-, carbamoyl-, or
arylcarbonyl-substituted) Ph, five-membered heterocyclyl, etc.] are

arylcarbonyl-substituted) Ph, five-membered heterocyclyl, etc.] are prepared
as preventive agrochem. pesticides. I are especially useful as microbicides,
insecticides, and acaricides. Thus, 0.3 g Et2NH in C6H6 was treated with 0.7 g 5,6,7,8-tetrahydro-1-naphthyl isocyanate and refluxed for 4 h to give 0.94 g 3-(5,6,7,8-tetrahydro-1-naphthyl)-1,1-diethylurea (II). II, at 600 ppm, showed good preventive activity against tomato late blight. Formulation examples are given.

IT 144331-51-IP 144331-52-2P 144331-53-3P 144331-54-6P 144331-57-P 144331-55-5P 144331-59-9P 144331-67-9P 144331-67-9P 144331-67-P 144331-67-P 144331-68-0P 144331-68-0P 144331-68-0P 144331-68-0P 144331-68-0P 144331-68-0P 144331-68-0P 144331-75-P 14433

L4 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

 $144331-52-2 \quad CAPLUS \\ Urea, \ N-(1-methyl-1-phenylethyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)$ 

144331-53-3 CAPLUS Urea, N-(5,6,7,8-tetrahydro-1-naphthalenyl)-N'-1H-1,2,4-triazol-5-yl-

INDEX NAME)

ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

144331-57-7 CAPLUS Urea, N-(5-nitro-2-thiazoly1)-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)-

INDEX NAME)

144331-58-8 CAPLUS Urea, N-2-pyridiny1-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)- (CA INDEX NAME)

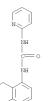
ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 144331-54-4 CAPLUS (Continued)

144331-04-4 CAPLUS Urea, N-2-pyrimidinyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

 $144331-55-5 \quad CAPLUS \\ Urea, \quad N-(5-methyl-2-pyrimidinyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)$ 

 $\begin{array}{lll} 144331-56-6 & \texttt{CAPLUS} \\ \texttt{Urea, N-(4,5-dimethyl-2-pyrimidinyl)-N'-(5,6,7,8-tetrahydro-l-naphthalenyl)-} & \texttt{(CA INDEX NAME)} \end{array}$ 

ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 144331-59-9 CAPLUS
CN Urea,
N-(5-chloro-2-benzoxazoly1)-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)(CA INDEX NAME)

144331-60-2 CAPLUS Urea, N-4-pyrimidinyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

RN 144331-61-3 CAPLUS
CN Urea,
N-(4-methyl-2-benzothiazolyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-

ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (CA INDEX NAME) (Continued)

 $\begin{array}{lll} 144331-62-4 & \texttt{CAPLUS} \\ \texttt{Urea, N-[6-chloro-2-(methylthio)-4-pyrimidinyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- & \texttt{(CA INDEX NAME)} \end{array}$ 

.cl

RN 144331-63-5 CAPLUS
CN Urea,
N-(2,6-dichloro-3-pyridiny1)-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)(CA INDEX NAME)

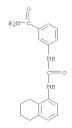
L4 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

144331-64-6 CAPLUS Urea, N-(2-benzoylphenyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

144331-65-7 CAPLUS

L4 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



144331-66-8 CAPLUS

CN Urea, N-(2,3-dihydro-1H-inden-5-y1)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(3,6 NDEX NAME)

144331-67-9 CAPLUS
Benzoic acid, 4-[[[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

144331-68-0 CAPLUS Urea, N-(5,6,7,8-tetrahydro-1-naphthalenyl)-N'-2-thiazolyl- (CA INDEX NAME)

(Continued)



144331-69-1 CAPLUS Urea, N-(5,6,7,8-tetrahydro-1-naphthalenyl)-N'-1,3,4-thiadiazol-2-yl-

INDEX NAME)

L4 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 144331-70-4 CAPLUS CN Urea, N-1H-pyrazol-3-yl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA CN 01 INDEX NAME)

144331-71-5 CAPLUS Urea, N-1H-inidazol-2-yl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

144331-72-6 CAPLUS

CN Urea, N-1H-imidazol-5-yl-N-methyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)

144356-56-9 CAPLUS
Urea, N-(5-nitro-2-pyridinyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA

INDEX NAME)

L4 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 1992:607160 Document No. 117:2071600 Original Reference No. 117:35633a,35636a Freparation of urea derivatives as preventive agrochemical pesticides. Aman, Shunji; Watanabe, Hiroyuki; Tsuzuki, Kenji; Takematsu, Tetsuo (Tosoh Corp., Japan). Jpn. Kokai Tokkyo Koho Jp 04178362 A 19920625 Heisei, 7 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1990-303903 19901113.

AB Urea derivs. I [R1 = H, lower alkyl, lower alkoxy; R2 = lower alkyl, lower

alkenyl, 4-morpholinyl, (lower alkyl-, lower alkoxy-, halo-substituted)
Ph, five-membered heterocyclyl, etc.] are prepared as preventive agrochem.

chem.
insecticides, acaricides and microbicides. Thus, 0.47 g
4-amino-2-methylquinoline in C6H6-DMF was mixed with 0.52 g
1,2,3,4-tetrahydro-1-naphthylisocyanate, and refluxed overnight to give
0.46 g 3-(1,2,3,4-tetrahydro-1-naphthyl)-1-(2-methyl-4-quinolyl)urea (II).

144331-51-1 CAPLUS
Uzea, N-4-morpholinyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

 $144331-52-2 \quad CAPLUS \\ Urea, \ N-(1-methyl-1-phenylethyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)$ 

RN 144331-53-3 CAPLUS CN Urea, N-(5,6,7,8-tetrahydro-1-naphthalenyl)-N'-1H-1,2,4-triazol-5-yl-(CA

INDEX NAME)

ANSWER 59 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 144331-70-4 CAPLUS CN Urea, N-18-pyrazol-3-yl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 59 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 144331-58-8 CAPLUS Urea, N-2-pyridinyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

144331-68-0 CAPLUS Urea, N-(5,6,7,8-tetrahydro-1-naphthaleny1)-N'-2-thiazoly1- (CA INDEX NAME)

144331-69-1 CAPLUS Urea, N-(5,6,7,8-tetrahydro-1-naphthaleny1)-N'-1,3,4-thiadiazol-2-yl-

INDEX NAME)

L4 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

144331-73-7 CAPLUS
Urea, N-(4-chlorophenyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

144331-74-8 CAPLUS
Urea, N-(4-methylphenyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA
INDEX NAME)

 $\begin{array}{lll} 144331-75-9 & \text{CAPLUS} \\ \text{Urea, N-(4-methoxypheny1)-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)-} & \text{(CA INDEX NNB)} \end{array}$ 

L4 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

144331-76-0 CAPLUS Uzea, N-4-pyridiny1-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)- (CA INDEX NAME)

144331-77-1 CAPLUS Urea, N-(5,6-dimethyl-1,2,4-triazin-3-yl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 59 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 144331-81-7 CAPLUS CN Urea, N-(4,5-dihydro-2-thiazolyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)

L4 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

144331-78-2 CAPLUS Uzea, N-3-quinoliny1-N'-(5,6,7,8-tetrahydro-1-naphthaleny1)- (CA INDEX NAME)

144331-79-3 CAPLUS Uzea, N-(2-methyl-4-quinolinyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-(CA INDEX NAME)

L4 ANSMER 60 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 1992:20957 Document No. 116:209570 Original Reference No. 116:3699a,3702a Preparation of quinolylmethylurea derivatives as anticholesteremics.

Noriki; Matsuda, Koyo; Iwaoka, Kiyoshi; Iizumi, Yuichi (Yamanouchi Pharmaceutical Co., Ltd., Japan). PCT Int. Appl. WO 913871 Al 19910919, 37 pp. DESIGNATED STATES: W: AU, CA, FI, HU, JP, KR, US; RW: AT, BE,

DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (Japanese). CODEN: PIXXD2. APPLICATION: WO 1991-JP320 19910308. PRIORITY: JF 1990-60755 19900312.

R1(CH2)nNR2CONHR3 [I; R1 = quinoly1, benzofurany1, carbazoly1, etc.; R2 = cycloalky1, R3 = (halo- or alky1)pheny1, tetrahydronaphthy1; n = 0-6], useful as anticholesterenics and antiatreriosclerotics, are prepared Refluxing a mixture of amine II and carbamate III in MePh gave urea

derivative

IV, which showed ED50 of 514 mg/kg in lowering serum cholesterol in rats.
Also prepared and tested were 27 addml. I.

IT 138141-70-5P

The properties of the prope

138141-70-5p
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticholesterolemic agent)
138141-70-5 CAPLUS
Orea, N-cycloheptyl-N-(2-dibenzofuranylmethyl)-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

ANSWER 61 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN :20789 Document No. 116:207890 Original Reference No. 116:3663a,3666a Preparation of N-aryl-N-carbooycylyl-N'-phenylurea derivatives as ACAT inhibitors. Ito, Noriki; Matsuda, Koyo; Iwaoka, Kiyoshi; Iizumi, Yuichi (Yamanouchi Pharmaceutical Co., Ltd., Japan). Eur. Pat. Appl. EP 447116 Al 19910918, 22 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1991-301868 19910306. PRIORITY: JP 1990-60754 19900312.

RIANR2CONHR3 (R1 = condensed carbocyclyl; R2 = cycloalkyl which may have

bridgehead; R3 = (substituted) tetrahydronaphthyl, -Ph; A = bond, C1-6 alkylene), are prepared as ACAT (acyl-CoA cholesterol acyltransferase) inhibitors. N-Cycloheptyl-2-fluorenylmethylamine (preparation qiven)

The (2,4,6-trimethylphenyl)carbamate in MePh were refluxed 15 h to give urea derivative I. I inhibited ACAT activity with IC50 at 7.3 + 10-8M. 138046-65-8P RL: BAC (Biological activity or effector, except adverse); BSU

(Biological logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as cholesterol acyltransferase inhibitor) 138046-65-8 CAPLUS Urea, N-bicyclo[2.2.1]hept-2-yl-N-(9-phenanthrenylmethyl)-N'-(5,6,7,8-tetrahydro-l-naphthalenyl)-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 62 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 1987:1846 Document No. 106:18460 Original Reference No. 106:371a,374a Use of acylurea compounds for controlling endoparasites and ectoparasites of warm-blooded animals. Potter, Michael Fred; Rotramel, George Lorton; Caruso, Andrew James; Chou, David Teh Wei; Cain, Faul Alfred (Union Carbide Corp., USA). PCT Int. Appl. No 8603941 Al 19860717, 173 pp. DESIGNATED STATES: W: AU, BR, DK, FI, HU, JP, KR, LK, MW, NO, SD, SU; RW:

AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1985-TS2545 19851227. PRIORITY: US 1984-687249 19841228; US 1985-723588 19850415; US 19-04638 19851209.

The urea derivs. R1CONR2C(Y)NR3R4 [R1 = (un)substituted carbocyclic or heterocyclic ring, etc.; R2, R3 = H, (un)substituted alkyl -benzyl, 1992

1985-

PhSO2,
PhSO2,
PhS, etc., R4 = H, R1; Y = O, S] are prepared as endo- and ectoparasiticides. Thus, 3-chloro-4-(4-chloro-1-naphthoxy)-2,5-dimethylantline (preparation given) was reacted with 2,6-difluorobenzoyl isocyanate in MePh at 50°, to give
1-[3-chloro-4-(4-chloro-1-naphthoxy)-2,5-dimethylphenyl]-3-(2,6-difluorobenzoyl)urea [1]. Addition of 25 ppm I to the feed of chicken, totally controlled lice (Menacanthus stramineus).

IT 105621-96-3P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as endo- and ectoparasiticide)
RN 105621-96-3 CAPLUS
CN Benzamide,

RN 105621-90-3 CALLSC

Renzamide,
N-[[(4-chloro-5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]2,6-difluoro- (CA INDEX NAME)

ANSWER 61 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L4 ANSMER 63 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN
1978:540207 Document No. 89:140207 Original Reference No. 89:21589a,21592a
Sulfonamides with schistosomal activity. Horstmann, H.; Goennert, R.;
Andrews, P.; Pellegrino, J. (Bayer A.-G., Wuppertal, Fed. Rep. Ger.).
Proc. Int. Conf. Schistosomiasis, Meeting Date 1975, Volume 1, 215-20.
Editor(s): Abdallah, Ahmed. Inst. Res. Trop. Med.: Cairo, Egypt.
(English) 1978. CODEN: 38UAAT.

Substituted glycyl sulfonamides I administered to infected mice showed activity against Schistosoma mansoni at high doses. Among the N-acyl-N-alkyl derivs., anti-schistosomal activity was found only when

the
acyl group was Ac or trifluoroacetyl; varying the alkyl group, activity
was maximum at 3 C atoms. Among the N-acetyl-N-aryl derivs.,
p-substituted
Ph derivs. were the the most active, with maximum actvity at 4 C atoms,
compds. with highly branched substituents were more active than their
straight chained counterparts. The most active compound BAY d9778 (I, R

Ac, R1 = C6H4CMe3) [67707-19-1] was tested in Cebus monkeys and found to be inactive, possibly due to metabolism IT

De Indetre, posses, ...
67707-43-1
RL: BIOL (Biological study)
(schistosoma infestation response to, species differences in)

(schistosoma inrestation response to, optimized in Grand in Grand

ANSWER 64 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 1592653 Document No. 85:192653 Original Reference No. 85:30811a,30814a New heterocyclic derivatives of 1'- and 3'-amino-5',6',7',8'-tetrahydro-2'-acetonaphthones. Prieto, Jose; Vega, 1976:592653 Armando; Moragues, Jacinto (Inst. Invest., Lab. Almirall S. A.,

Barcelona,
Spain). Journal of Heterocyclic Chemistry, 13(4), 813-19 (English) 1976.
CODEN: JHTCAD. ISSN: 0022-152X.

The acetonaphthones I (R = 1- and 3-NH2) were prepared by reduction of

temperature nitration products of I (R = H). New heterocyclic compds.,

, II
and III were prepared from I (R = 1- and 3-NH2).
60947-14-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and cyclization of)
60947-14-0 CAPLUS
Benzamide, N-[[[5,67,8-tetrahydro-2-(1-thioxoethyl)-1naphthalenyl]amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ \parallel & & \parallel \\ p_{h-C-NH-C-NH} & S \\ \parallel & & \\ & & \\ \end{array}$$

ANSMER 65 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 3,5-Cl2C6H3, 236°, I (R = 2,4-dimethyl-6-pyrimidyl) (R' and m.p. given); p-ClC6H4, 249°, 3,4-Cl2-C6H3, 251°, 3,5-Cl2C6H3, 267°, Ocher compda: reported were (compd. and m.p. given); (4-ClC6H4NH)2CO, 318°, 1-phenyl-3-(5,6,7,8-tetrahydro-1-naphthyl) urea, 205°; the 4-chloro-5,6,7,8-tetrahydro-1-naphthyl analog, 258°; 1-(1-acetyl-7-naphthyl)-3-(p-chlorophenyl) urea, 242°; 1-(p-chlorophenyl)-3-(6-quinolyl) urea, 280°; 1-(3,4-dichlorophenyl)-3-(6-quinolyl) urea, 280°; 1-(3,4-dichlorophenyl)-3-(6-quinolyl) urea, 288°. RNICSNIR' (II) were prepd. by treating 1 mole of the appropriate amine in warm EtOH with 1 mole aryl isothiocyanate, slight heating at 60° was required when the amine and(or) the isothiocyanate was ogy; substituted. The following II were prepd. (R, R', m.p. given): p-EC6H4, Z (-3-pyridyl), 190°; p-EC6H4, Z, 178°; p-BEC6H3, Z, 175°; 2,4-Me2C6H3, Z, 172°; p-Me2C6H2C6CH4, Z, 201°; p-FC6H4, Y, 222°; p-FC6H4, W, 212°; p-FC6H4, W, 212°; p-BEC6H4, Z, 178°; p-Me2CHCH2C6CH4, Z, 216°; p-EC6H4, Y, 222°; p-EC6H4, W, 219°; p-Me2CHCH2CH2C6H4, W, 182°; 2,4-Me2C6H3, W, 200°; p-Me2C6H4, W, 182°; 2-C6H4, X, 190°; p-Me2CHCH2CH2CC6H4, X, 190°; p-Me2CHCH2CH2CC6H4, X, 190°; p-Me2CHCH2CH2CC6H4, X, 190°; p-Me2CH4, X, 193°; p-BEC6H4, X, 228°; p-ECC6H4, X, 193°; p-Me2CH4, X, 193°;

ANSWER 65 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN 12065 Document No. 53:2065 Original Reference No. 53:358d-i,359a-i,360a New N,N'-disubstituted thioureas and ureas of biological interest. Buu-Hoi, Ng. Ph.; Xuong, Ng. D.; Suu, V. T. (Univ. Paris). Journal of Chemical Society 2815-21 (Unavailable) 1958. CODEN: JCSOA9. ISSN: 0368-1769. The reactivity of several classes of aromatic and heterocyclic amines towards aryl isocyanates and isothiocyanates was investigated. Aryl isocyanates were more reactive than the corresponding isothiocyanates. large number of new NN'-diarylthioureas and ureas and their heterocycl analogs, most of them bearing halogen groups, were prepared for testing potential antiviral and antibacterial agents. 3-C1C6H4NHAc (34 g.) and 36 g. N-bromosuccinimide in 300 cc. dry CC14 refluxed 4 hrs., cooled, the precipitate filtered off, treated with hot H2O, the residue heated 1 h with 50 cc. HCl in 100 cc. 70% aqueous EtOH, cooled, and basified with NH4OH gave 38 38
g. 4,3-BrClC6H3NH2, m. 68° (EtOH), free from isomers and by-products. Similarly were prepared 4,2-BrMeC6H3NH2, m. 55°, and 4,3-BrFCGH3NHA, m. 152° (MeOH), deacetylated with HCl to 4,3-BrFCGH3NH2, m. 72-3° (H2O), which, with chloranii in boiling EtOH yielded 3,6-bis(4-bromo-3-fluoroaniino)-2,5-dichloro-1,4-benzoquinone, m. above 320°. 2-MeC6H4NHAc (45 g.) and 35 g. N-chlorosuccinimide in 300 cc. CCl4 refluxed 4 hrs. and the resulting product deacetylated gave 28 g. 4,2-CLMeC6H3NH2, b4O 189-92°, m. 30°. 1,3-Disubstituted ureas were obtained practically quantitatively by adding a C6H6 solution of 1 mole aryl isocyanate to 1 amine in an appropriate solvent at room temperature. The following amine in an appropriate solvent at room temperature The following tituted carbanilides were prepared (substituents and m.p. given): 2,4-Fgr;2, 22°; 3,4-F2, 216°; 2',4',4-F2C1, 26°; 3',4',4-F2C1, 26°; 3',4',4-F2C1, 26°; 3',4'-F2C1, 28°; 3',4-FBC, 28°; 3,3',5-G14, 21°, 3,3',5',4-G18BC, 23°, 2-F3C, 28°; 4-Ac, 186°; 4',4'-Ac(F), 218°, 4,4'-Ac(C1), 25°, 4-F2C12, 22°, 3,5,3',4'-G12F, 23°, 24°, 21°, 3,4',4-G12(Ac), 25°, 4,4'-F2C12(Ac), 25°, 4,4'-F2C12(Ac), 21°, 4,4'-C1(F12N), 180°, 4,4'-F12N, 155°, 4,4'-F12N, 136°, 4',4-F12N, 155°, 4,4'-F12N, 144°; 4',4-C1(F12N), 180°, 4',4-F12N, 155°, 4,4'-F12N, 144°; 4',4'-C1(F12N), 169°. The following RNHOONHF. (I) were prepared (R = 5-chicro-2-pyridyl in all cases) (R' and m.p. given): Ph, 214°; p-C16H4, 236°; p-FC6H4, 216°; 3,4-C12C6H3, 291°, 3,5-C12C6H3, 28°, p-FC6H4, 285°; p-FC6H4, 286°; p substituted

ANSWER 65 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 93°; 2,5,4'-MePr(MeO), 112°; 2,4,5-ErFBu, 95°; 2,5,4'-MePr(MeO), 112°; 2,4,5-ErFBu, 95°; 2,5,4'-MePu(ECO), 122°; 2,5,4'-FEBu (MeO), 110°, 4-AcNH, 198°; 4',4-Me(ACNH), 224°; 2,4,4'-Me2 (ACNH), 184°; 4',4'-Me(ACNH), 224°; 2,4,4'-MeO(ACNH), 216°; 4,4'-Er(ACNH), 215°; 4,4'-ER(EZN), 173°; 2,4,4'-MeO(ACHCH2CH2O), 188°; 4,4'-Er(EZN), 2173°, 4,4'-ER(EZN), 171°; 2,3',4-Me2 (ETZN), 136°; 2,2',4'-Me3 (ETZN), 168°, 2,2',4'-Me(MeO) (ETZN), 151°; 2,2',4'-Me3 (ETZN), 168°, 2-ErtEndydro-1-naphthylthiourea, m. 196°. Refluxing 2 hrs. an EtOH soln. of equimolar amts. of 2,3-dichloro-1,4-naphthoquinone and the appropriate aryl amine gave the following 3-substituted 2-chloro-1,4-naphthoquinones (3-substituent and m.p. given): 4,3-ErCGH3NH, 255°; 4,2-GHMCGH3NH, 213°; 4,2-ErMeGGH3NH, 218°; 3,5-C12CGH3NH, 259°; 2,4-F2CGH3NH, 198°; 3-F2CGH3NH, 198°; 3-F2CGH3NH, 198°; 4-F2CGH3NH, 198°; 4-F2CGH3NH, 198°; 4-F2CHGH4NH, 198°, 1-F2NCGH3H, 159°; 4-F2CGH3NH, 198°; 4-F2CGH3NH, 50°; 3-F2CGH3NH, 101574-48-5 CAPLUS
Urea, N-phenyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)

Liebigs, 562, 75 CASREACT 44:679.

ANSWER 66 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN

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CASEAN1 4410/9.
For diagram(s), see printed CA Issue.
cf. Bayer, C.A. 42, 6160c; Bebermehl, C.A. 43, 1604i. A comprehensive
review of the researches in this field made by the staff of the former I.
G. Farbenind., W. Altner, D. Delfs, A. Dierichs, E. Hartmann, E. Liese,
                                     Modersohn, S. Petersen, E. Prell, R. Putter, H. Rinke, W. Schulte, G. Schwaebel, H. Schwarz, G. Spielberger, K. Taube, A. Pielmann, K. Sigwart, H. Brock, J. Mierbach, E. Scholz, H. Glaser, F. Moller, and R. Schroter, including a brief literature survey with 62 refs. In general the HCl salts of amines were treated with COCl2 in excess, and the resultant HCl was rapidly removed by choosing a solvent in which the RNCO, but not HCl was soluble Temps. were regulated to insure conversion of the mediate

RNRCOCI into RNCO. In the aliphatic series, the yields of RNCO were uniformly satisfactory and arylaliph. amines, or alicyclic or rocyclic
uniformly satisfactory and arylaliph. amines, or allegate of heterocyclic amines, underwent very similar conversions. The reaction also applied to compds. of the type RCH (NHZ)R'. Solvents used included PhMe, xylene, PhCl, C12C6H4, and C6H3C13, so chosen that the b.ps. of the solvent and the resulting RNCO showed a sufficient difference. The amine-HCI may be dissolved in the solvent, or the free amine may be dissolved and then treated with dry HCl. Normally COC12 was added until any insol. HCl salt was fully dissolved, the volatile gases then swept out by means of an inert gas, and the resulting products fractionated. Polymerization products were
products were
retained as still residues; their amts. could be materially increased by
the use of metallic catalysts (such as FeCl3, SnCl4, ZnCl2, Fe carbonyl
derivs., etc.). In individual cases, HCl is removed from RNHCCCl by
                                     s
of Ca(OH)2 or derivs. of CH2.O.CH2 (sometimes resulting in decreased
yields of RNCO). In special cases were used substituted ureas of the
                                       RRINCONER' (formed from RR'NCOC1 + H2NR'), where R' is a relatively small alkyl group and may be converted into R'NCO by heating above 200°. Another possibility was to treat compds. of the type 2-HcC6H4CCOMHR with the formation of RNCO and o-C6H4(OH)2. The conversions of amines containing such substituents as Cl, CN, CR', CO2R', CCC1, etc., into analogous isocyanates is discussed. Diamine, triamine, or tetramine HCl salts on CCC12 treatment may be converted into analogous di-, tri-, and tetraisocyanates. Difficulties in the choice of suitable (large-scale) reactors are discussed. Whereas for an alighatic RNCO, phosgenation may be carried out in stainless steel, aromatic di- and triamines require Pb-lined reactors. Batch or continuous phosgenation
                                       be used. In determining the percentage NCO in an isocyanate, 2 methods
                                        used: a cumbersome gravimetric method depending on the formation of a difficultly soluble Ph urea by condensation of RNCO with PhNH2, and the
                                       practical procedure in which RNCO is treated with a known excess of Bu2NH
 L4 ANSWER 66 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) isocyanate, b12 140-2° (methylurethane, m. 122-3°; phenylurea, m. 220-2°), or with tetrahydro-ar-1-naphthyl isocyanate, b14 134-5° [methylurethane, m. 62-3°; phenylurea, m. 193-4°, also formed by hydrogenating VIII]. The following are examples of phosegnation of carbamic acids. 1,4-Diaminocyclohexane (345 g.) in 3 l. o-Cl2CGH4 was satd. at 90-95° with CO2, stirred 8 h., 700 g. CCC12 introduced at 0°, the CO2 removed, the mixt. heated to 160°, and more CCC12 added until after 14-16 h. the soln. was clear; fractional distn. yielded a mixt. of 1,4-cyclohexane diisocyanates, 1,4-(CNC)2CGH10, transform, m. 63-4° (from petr. ether) [bis (methylurethane) m. 264° (from MeOH)], and liq. cis form [characterized by its bis-(methylurethane) (101804N2, m. 139-40° (from Me2CO)]. Similarly, 4,4'-diaminodicyclohexylmethane gave
4,4'-(dicyclohexyl)methane diisocyanate, (4-CCNCGH10)2CH2, salvelike mass, b0.5-0.6 165-80°. The following are examples of phosegnation in the vapor phase. A mixt. of
                               -(dispoynante, (4-CCNC6H10)2CH2, salvelike mass, b0.5-0.6 165-80°. The following are examples of phosgenation in the vapor phase. A mixt. 450 g. COC12 and 245 g. PhNH2 passed in 1 h. through a tube at 230-40° while 130 g. 1-C10H7Cl was dropped in, gave 86% PhNCO. By entraining 80 g. p-(HZN)2C6H4 with 35 l. CO2 at 270-80° per h., heating the mixt to 470°, introducing 470 g. COC12/h., and condensing in PhCl, S. obtained p-C6H4(NCO)2, m. 93-4° (after sublimation) [bis (methylurethane), m. 207°]. To 86.7 g. 3-HO2CC6H4NN2, HCl in 2 l. H2O contg. 30 cc. HCl was added 42 cc. CSC12, thus forming 67 g. 3-HO2CG6H4NNS, m. 165° (from McOH); acid chloride, bi4 152-4°, m. 22-3°, 40 g. of which in 200 cc. PhCl refluxed with 16 g. powd. NAN3 gave 32 g. 3-CCNC6H4CNS, bl4 140-2°, f.p. 4-6°. The following other isocyanates, RNCO, and their derivs. were prepd. by methods analogous to those outlined. Most of these are new, but no differentiation has been made between new compds. and products previously prepd. In all cases (uncor.) b.p. or m.ps. were checked and some of the compds. were obviously impure. R = CH2:CHCH2, b. 87-9°; Pr. b. 88°; Bu, b. 114-16° (corresponding phenylurea, m. 129-30°), Me2:CHCH2, b. 104-5° (phenylurea, m. 151-2°); Me3:C, b. 85° (phenylurea, m. 151-2°); Me3:C, b. 85° (phenylurea, m. 140-6°; tetradecyl, bi4 165-70°; hexadecyl, bi4 160-8°; cH2:CH2:CSC:CH2:CH (not characterized) (phenylurea, m. 190°); cyclohexyl, bi4 165-70°; hexadecyl, bi4 186-8°; oleyl, bi0.05-0.06 135-40°; octadecyl, bi1 190-210°; CH2:CH2:CSC:CH2:CH, b. 125-6° (phenylurea, m. 17-8°); Pr2:CH, bi1 35-70°; hexadecyl, bi1 170-2° (phenylurea, m. 154°); bi1 50-70°; cH2:CH2:CH2:D, bi1 17°; (CH1)12:D, bi1 17°; (CH1)12:D, bi1 17°; (CH1)13:D, bi1 11°; (CH2)13:CH3:D, bi1 11°; (CH2)2:D, bi1 11°; (CH2)3:D, bi1 1
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ANSWER 66 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) in PhCl, thus giving rise very rapidly to Bu2NCONHR, and the unchanged Bu2NN is then titrated with HCl in the presence of MeOH (within 5 min after inception of the quant. reaction). In the formation of 1,6-hexamethylene disocynante (1), blz 1029 [big (methylurethane), m. 113-14°], on repeated fractionation a small forerun was 6-chlorohaxyl isocynante (II), blz 108° [methylurethane (III), bld 105-2°, cl(CH2) 6NHCOHR2, m. 128-9°]. [HCl.HZN(CH2)3]2 loses NHGCL, forming CH2. (CH2) 4.CH2. NH. HCl., which reacts with COC12 to give CH2. (CH2) 4.CH2. NCOC1 (isomeric with II), bll 116-18° (methylurethane, CGH1ZNCOMB, bll 96-7°, and urea, CGH1ZNCONHZ, m. 123-4°). III heated with PNONA formed PHOCH2 (CH2) SNHCOZMe, which when heated with aq. HCl-AcOH gave 6-phenoxyhexylamine-HCl, m. 142-3°. I in pseudocumene, heated 2 h. with pure COC12 at 160-65°, was not converted into II. On the other hand mixts. of HCl (gas) and COC12 acting on I gave small amts. of II after 48 h. phosgenation. The course of the reaction is discussed. Possibly Cl2CN(CH2) ONCO is first formed from I and then split into CICN and II. MeO(CH2) SNN2. HCl treated 7 h. in 1-20HTCl at 140-50° with COC12 with stirring gave 91% Cl(CH2) SNCO, blc 134-5° [NC (GH2) SNCO, blc 136-60°, and OCH2 SNCO, blc 134-5° [NC (GH2) SNLORD, m. 142° from EtOH). Prom BUO(CH2) SNLORD, m. 140° from EtOH). Prom BU0(CH2) SNLORD, m. 140° from
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ANSMER 66 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) cyclohexyloxypropyl, bil 113-14° (phenylurea, m. 100°); bocches, bil 123-8° (phenylurea, m. 100°); bocches, bil 125-8° (contynutrea, 55-6°); bil 160-2° (phenylurea, m. 55-6°); bil 160-2° (phenylurea, m. 56-8°); 12-(iso-octylxyclohexyloxypropyl, bol.10-0.2 140-52°; o-tolyl, bb.5 63.2-3.4°; m-tolyl, bb.5 65.7-66.3°; benylurea, m. 167-8°); xylyl (tech.), bil 78-80°; phenethyl, bil 0.67.6-67.8°; benyl, bil 0.8°, decl.3°; p-tolyl, bil 0.67.6-67.8°; benyl, bil 0.2°-4° (phenylurea, m. 167-8°); xylyl (tech.), bil 78-80°; phenethyl, bil 0.9°, decl.2°; decl.
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ANSMER 66 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) [bis(methylurethame), m. 113-14°]; [RCH2(CH2)2]20, bi4 137° (bis-urea, m. 141°); S-analog, b0.3-0.4 118°, R(CH2)7R, bi4 140-2° [bis (methylurethame), m. 97.5-8°]; RCH2CME2(CH2)2]2R, b14 120-2°, b16 132-4°; RCH2CME2(CMe) (CM2)2 (CH2R, b12 142-5°, Up-chlorophenylurea, m. 217-18°); RCH2)8R, b11 146-8° [bis (methylurethame), m. 111-12°]; RCH2CME2CH2CHMECH2R, b5 106° (bis-urea, m. 159-62°); RCH2)8R, b0.5 121° [bis (methylurethame), m. 102-5°]; RCH2)8R, b0.5 121° [bis (methylurethame), m. 105°]; RCH2)10R, b0.8 128° [bis (methylurethame), m. 115°]; RCH2)10R, b0.8 128° [bis (methylurethame), m. 115°]; RCH2)10R, b0.8 128° [bis (methylurethame), m. 115°]; RCH2)10R, b0.8 128° [bis (methylurethame), m. 117-18°]; [RCH2)21R, b0.06 135° [bis (methylurethame), m. 171-18°]; RCH2)21R, b0.06 135° [bis (methylurethame), m. 187°]; m. CH4(CH2R)2, b12 159-62°, [bis (methylurethame), m. 110-11°]; p-isomer, b16 172°, m. 45-6° [bis (methylurethame), m. 180°]; m. 184°]; 1, 4-isomer, b11 154-6° [bis (methylurethame), m. 180°]; p-G6H4(CH2R)2; b0.1-0.2 142-5°; 1, 4-C10H6(CH2R)2, b1 183-4° [bis (methylurethame), m. 183°]; p-G6H4(CH2CH2R)2, b0.1-0.2 142-5°; 1, 4-C10H6(CH2R)3R, b4 165-72°, [C6H4(CH2)3R]2, m. 29° [bis (methylurethame), m. 156-7°]; (IX), m. 235-7° (analogous dicyanate not characterized). RCH.CH2.CHR.CH2.CH2.CH2, b14 120-30°; impure CHMe.CHR.CH2.CH2.CH2, b12 127-9° Et homolog, b19 140-4°; CH2 (CH2.CH2.CH2.CH2) c12 127-9° Et homolog, b19 140-4°; CH2 (CH2.CH2.CH2.CH2.CH2) b0.5-0.6 165-80°; McCH(CH10R)2 homolog, b4.5 198-208° [bis (methylurethame), m. 126-7); p-RCH2CH2CH2CH4R, b15 156°; m. RCHMeCH2.CH2.CH3R, b19 152°; p-RCH2CH2CH4R, b15 156°; m. RCHMeCH2.CH3CH4R, b15 156°; m. RCHMeCH2.CH3CAH4R, b15 156°; m. RCHMeCH3CAH4R, b15 156°; m. RC
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ANSWER 66 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 118°; [4, 3-R (MeO)CGH3CH2 ]2 SO2 (not characterized); [3, 4-(MeO)CGH3SO2RHC2]2, m. 192°. The following triisocynantes were formed: 1, 2-4, 6-MeC6H2R3, b1-3 133-9°, m. 75° [tris(methylurethane), m. 195°]; 1, 3, 5, 2, 4, 6-Me3CGR3, m. 93° [tris(methylurethane), m. 284°]; 1, 3, 7-C10H5R3, m. 162-3° [tris(methylurethane), m. 217°]; p-(2, 4-R2CGH3G) C6H4R, b1.5-2 194-8°, m. 71°; p-(2, 4-R2CGH3G) C6H4R, b1.5-2 194-8°, m. 167°]; (p-RCGH3)3CH, m. 89-90°. The following were also formed: (4, 2, 5-MeR2CGH2CH2)CG P6. The following were also formed: (4, 2, 5-MeR2CGH2)2 CH2, m. 154-6°; (p-RCGH3)CNCCI, b2-3 230-4°, m. 74-77° [bis(methylurethane), m. 182-4°]. The following dimers of the type formula [1,2,4-RCGH3(NCO)2]2 were prepd.: R = C1, m. 170°; Me, m. 156°; ts, m. 148°; EtO, m. 185-6°; Me2CH, m. 125°; Me2 CHO, m. 158°; iso-BuO, m. 186°. 101574-48-5P, Urea, 1-phenyl-3-(5,6,7,8-tetrahydro-1-naphthyl)- (preparation of) (preparation of)
(preparation of)
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L4 ANSWER 66 OF 66 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 184-5\*]; 1,4,2,5-iscomer, m. 134-7\*; 1,4,2,5-c1(MeO) C6H2R2, m. 134\*\*]; 1,2,4-MeOC6H3R2, m. 75\*; 1,2,5-iscomer, m. 89\*; 1,4,2,5-Me(MeO) C6H2 R2, m. 106-7\*; 1,2,4-ECC6H3R2, bl6 162-4\*, m. 56\*; 1,3,4,6-(MeO)2 C6H2 R2, m. 125\* [bis.-(methylurethane), m. 154\*]; 1,4,5-iscomer, m. 180-1\*\*; 1,2,4-PCC6H3R2, bl5\*]; 1,4,2,5-iscomer, m. 180-1\*\*; 1,2,5-(EKD)2G6H2R2, m. 128\*]; [p-RC6H4N1),2, m. 158-61\* [bis(methylurethane), m. 241-3\*]; [p-Rc6H4N1),2, m. 158-61\* [bis(methylurethane), m. 241-3\*]; [p-Rc6H4N1),1,4-(p-RC6H4N1))C6H10 R, m. 177-8\*, [bis(methylurethane), m. 201-12\*]; p-O-RC6H4OCH212 and (o-RC6H4OCH212) O(prepd. but not characterized). The following diisocyanato derivs. of naphthalene were prepd.: 1, 4, m. 67-70\* [bis(methylurethane), m. 220-2\*]; 1, 5, m. 130-2\* (subliming in high vacuum) [bis(methylurethane), m. 244-6\*]; 2, 6, m. 152-4\* [bis(methylurethane), m. 243-6\*]; 2, 6, m. 152-3\* [bis(methylurethane), m. 244-6\*]; 2, 7, m. 152-3\* [bis(methylurethane), m. 244-6\*]; 2, 7, m. 152-3\* [bis(methylurethane), m. 245-6\*]; 2, 6, m. 152-3\* [bis(methylurethane), m. 240-6\*]; 2, 6, m. 152-3\* [bis(methylurethane), m. 240-6\*]; 2, 6, m. 152-3\* [bis(methylurethane), m. 240-6\*]; 2, 6, m. 152-3\* [bis(methylurethane), m. 241-0\*]; 2, m. 152-6\* [bis(methylurethane), m. 241-0\*]; 2, m. 152-6\* [bis(methylurethane), m. 241-0\*]; 2, m. 152-6\* [bis(methylurethane), m. 241-12\*]; The following diisocyanate were formed: [P-RC6H42CH2, b0.2 170\*, m. 68-9\* [bis(methylurethane), m. 244\*]; 3, 3; "d-di-Med ceriv. of X, m. 121-2\* [bis(methylurethane), m. 215-16\*]; 2-MoZ deriv. of X, m. 121-2\* [bis(methylurethane), m. 245-16\*]; 1-MoZ deriv. of X, m. 121-2\* [bis(methylurethane), m. 245-16\*]; 1-MoZ deriv. of X, m. 121-2\* [bis(methylurethane), m. 125-16\*]; 2-MoZ deriv. of X, m. 121-2\* [bis(methylurethane), m. 125-16\*]; 1-MoZ deriv. of X, m. 121-2\* [bis(methylurethane), m. 245-16\*]; 1-MoZ deriv. of X, m. 121-2\* [bis(methylurethane), m. 251-16\*]; 1-MoZ deriv. of X, m. 121-12\*] [bis(methylureth

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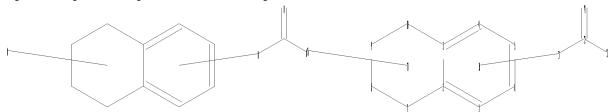
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13  14  16
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14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
2005:429388 Document No. 142:4634650 Preparation of bicyclic amide, carbamate or urea derivatives as vanilloid receptor modulators. Mogi, Muneto; Fujishima, Hiroshi, Tajimi, Masaomi; Yamamoto, Noriyuki; Uzbahns, Klaus; Hayashi, Fumihiko; Tsukimi, Yasuhiro; Gupta, Jang; Yuasa, Hiroaki (Bayer Healthcare A.-G., Germany). PCT Int. Appl. NO 2005044786 Al 20050519, 63 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CC, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MN, MG, MK, MM, MM, MX, MZ, NA, NI, NO, NZ, CM, PG, PH, PL, PT, RO, BU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TH, TR, TT, TZ, UA, UG, US, UZ, VC, VW, YU, ZA, ZM, ZW, BW: AT, BE, BF, BJ, CF, CG, CH, CL, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SS, SN, TD, TG, TR, (English). CODENT PIXXD2. APPLICATION: NO 2004-EP12050 20041026. PRIORITY: EP 2003-25571 20031108; EP 2003-27003 20031122.

07=

This invention relates to bicyclic amide, carbamate or urea derivs. of formula A-NBCO-Y-(CH2)m -X-(CH2)p-R1 and salts thereof [A = Q7, Q8; wherein Q1, Q4 = direct bond, methylene; Q2 = CHR2, or CO; Q3 = CHR3 or AB

(wherein R2, R3 = H, H0, C1-6 alkoxy, C1-6 alkanoyloxy or (un)substituted 1-6 alky1); with the proviso that Q1 and Q4 can be direct bond t the same time; R2 = R3  $\neq$  H; when Q = direct nd, then R3 = H0, C1-6 alkoxy, or C1-6 alkanoyloxy; Q5 = CH or R5 (wherein R5 = H0, C1-6 alkoxy, C1-6 alkanoyloxy, or (un)substituted C1-6 alky1); Q6 = CH or CR6 (wherein R6 = H0, C1-6 alkoxy, C1-6 alkanoyloxy, or (un)substituted C1-6 alky1); with the proviso that Q5  $\neq$  Q6 = CH; m = 0-3; p = 0, 1; X = a bond, O, NR4 (wherein R4 = H, C1-6 alky1), with the proviso that when m = 0, then X =

bond; Y = CH2, O or NH; R1 = each (un)substituted aryl or heteroaryl] which are useful as active ingredients of pharmaceutical prepns. The bicyclic amide, carbamate or urea derivs. of the resent invention has vanilloid receptor (VR1) antagonistic activity (no data). These compcan be used for the prophylaxis and treatment of diseases associated VR1

VRI activity, in particular for the treatment of urol. diseases or disorders such as detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; pain such as chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia,

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

851773-82-5 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl)-N\*-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

CAPLUS

Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-2-naphthaleny1)-N'-[2-[[4-(trifluoromethy1)pheny1]amino]ethy1]- (CA INDEX NAME)

851773-86-9 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl)-N'-[2-[4-(trifluoromethyl)phenoxy]ethyl]- (CA INDEX NAME)

851773-89-2 CAPLUS Urea, N-[2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN ANSMER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) neurodegeneration, and stroke; and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD). Thus, a mixt. of 70.0 mg 7-amino-1,2,3,4-tetrahydronaphthalen-2-ol and 95.0 mg 4-chloro-3-trifluoromethylphenyl isocyanate in 10 mL DMF was stirred at 50° for 2 h, concd. under reduced pressure, and purified by silica gel chromatog. to give 49.9 mg N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea.

1044170-15-1 1044170-27-5
RL: PRPH (Prophetic)
(Preparation of bicyclic amide, carbamate or urea derivatives as vaniloid receptor modulators)

1044170-15-1 CAPLUS
Urea, (Continued)

CA INDEX NAME)

N=(4-chloropheny1) -N'-(5,6,7,8-tetrahydro-7-hydroxy-2-naphthaleny1) (CA INDEX NAME)

1044170-27-5 CAPLUS Bydrazinecarboxamide, 2-(2-cyclohexylethyl)-N-(5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

851773-81-4P, N-[4-Chloro-3-(trifluoromethyl)phenyl]-N'-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 851773-82-5P,
N-(7-Hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)-N'-[4-(trifluoromethyl)penyl]urea 851773-85-8P,
N-(7-Hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)-N'-[2-[4-(trifluoromethyl)penyl]amino]ethyl]urea 851773-89-2P,
N-(7-Hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)-N'-[2-[4-(trifluoromethyl)penoxy]ethyl]urea 851773-89-2P,
N-(2-[14-Chloro-3-(trifluoromethyl)phenyl]amino]ethyl]-N'-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 851773-90-5P,
N-(2-[4-Chloro-3-(trifluoromethyl)phenoxy]ethyl]-N'-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 851773-91-6P,
N-(2-[14-Chloro-3-(trifluoromethyl)phenyl]amino]ethyl]-N'-(6-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 851773-91-6P,
N-(2-[4-Chloro-3-(trifluoromethyl)phenyl]amino]ethyl]-N'-(6-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 81773-92-P,
N-(2-[4-Chloro-3-(trifluoromethyl)phenyl]amino]ethyl]-N'-(6-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 81773-92-P,
N-(2-[4-Chloro-3-(trifluoromethyl)phenoxy]ethyl]-N'-(6-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 81773-92-P,
N-(2-[4-Chloro-3-(trifluoromethyl)phenoxy]ethyl]-N'-(6-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 81773-92-P,
N-(2-[4-Chloro-3-(trifluoromethyl)phenoxy]ethyl]-N'-(6-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 81773-92-P,
N-(2-[4-Chloro-3-(trifluoromethyl)phenoxy]ethyl]-N'-(6-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea 81773-92-P,
N-(2-[4-Chloro-3-(trifluoromethyl)phenoxy]ethyl]-N'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6,7,8-tethyl-n'-(6-hydroxy-5,6

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

851773-90-5 CAPLUS Uzea, N-[2-[4-chloro-3-(trifluoromethyl)phenoxy]ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

851773-91-6 CAPLUS
Urea, N-[2-[(4-chloro-3-(trifluoromethyl)phenyl]amino]ethyl]-N'-(5,6,7,8-tetrahydro-6-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

851773-92-7 CAPLUS

Urea, N-[2-[4-chloro-3-(trifluoromethyl)phenoxy]ethyl]-N'-(5,6,7,8-tetrahydro-6-hydroxy-2-naphthalenyl)- (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN 1999;487265 Document No. 131:1160840 Preparation of N-(aminotetrahydronaphthyl)arylsulfonamides and analogs as potassium channel blockers. Gross, Michael F.; Castle, Neil A. (Icagen, Inc.,

AB Title compds. [I; R = X2Y2RI; R1 = H, alkyl, (hetero)aryl, etc.; R3,R4 = H, alkyl, (hetero)aryl(alkyl), etc.; R5 = X1Y1R2; R2 = H, alkyl, alkoxy, (di)alkylamino, (hetero)aryl(alkyl), etc.; R6 = H, (un)substituted alkyl, (di)(alkyl)amino, etc.; X1 = bond, CH2, CO, SO2, etc.; X2 = CO, CS, SO2; Y1 =bond, alkylene, CH:CH, etc.; Y2 = bond, CH2, O, NH, CH:CH, etc.; Z = CM2 or CM2R2; dashed line = optional addinl. bond) were prepared Thus, 7-nitro-1-tetralone was converted in 4 steps to trans-1-amino-7-nitro-2-naphthol which was amidated by 4-EtC6H4SO2C1 and the reduced product N-alkylated by 4-(F3CO)C6H4CH2Br to give title compound trans-II. Data for biol. activity of I were given

ound
trans-II. Data for biol. activity of I were given.
1099526-10-9
RL: PRPH (Prophetic)
(Preparation of N-(aminotetrahydronaphthyl)arylsulfonamides and

as potassium channel blockers)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) 1099526-10-9 CAPLUS Benzenesulfonamide, 4-ethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7-[[(phenylamino)carbonyl]amino]-1-naphthalenyl]- (CA INDEX NAME)

=> file reg FILE 'REGISTRY' ENTERED AT 14:35:19 ON 12 MAR 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2 DICTIONARY FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

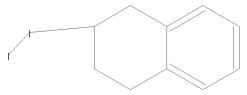
Please note that search-term pricing does apply when conducting SmartSELECT searches.

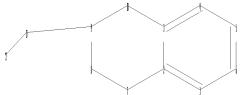
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10575027-9999.str





ring nodes:
1 2 3 4 5 6 7 8 9 10
ring/chain nodes:
11 12
chain bonds:
9-11 11-12
ring bonds:
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds:
9-11
exact bonds:
2-7 3-10 7-8 8-9 9-10 11-12
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6

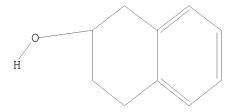
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

50 ANSWERS

32022 ANSWERS

=> s 15

SAMPLE SEARCH INITIATED 14:35:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 36490 TO ITERATE

5.5% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 718379 TO 741221
PROJECTED ANSWERS: 30059 TO 34893

L6 50 SEA SSS SAM L5

=> s 15 full

FULL SEARCH INITIATED 14:35:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 733201 TO ITERATE

100.0% PROCESSED 733201 ITERATIONS

SEARCH TIME: 00.00.03

L7 32022 SEA SSS FUL L5

=> file caplus

FILE 'CAPLUS' ENTERED AT 14:35:51 ON 12 MAR 2009
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FILE COVERS 1907 - 12 Mar 2009 VOL 150 ISS 11 FILE LAST UPDATED: 11 Mar 2009 (20090311/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 1758115 L7 L8 => s 17 and vanilloid 58115 L7 2397 VANILLOID 257 VANILLOIDS 2443 VANILLOID (VANILLOID OR VANILLOIDS) L9 41 L7 AND VANILLOID => s 17 and potassium channel 58115 L7 714845 POTASSIUM 19 POTASSIUMS 714848 POTASSIUM (POTASSIUM OR POTASSIUMS) 332865 CHANNEL 194216 CHANNELS 422949 CHANNEL (CHANNEL OR CHANNELS) 32608 POTASSIUM CHANNEL (POTASSIUM(W)CHANNEL) L10 146 L7 AND POTASSIUM CHANNEL

=> dscan ti 110

DSCAN IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> d scan ti

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Treating pain, diabetes, and disorders of lipid metabolism using spiro(azetidine-piperidine)derivatives and their preparation

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Myocardial ischemia tolerance in the newborn rat involving opioid receptors and mitochondrial K+ channels

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Treatment and prevention of obesity with COX-2 inhibitors alone or in combination with weight-loss agents

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Method for using potassium channel activation for
delivering a medicant to an abnormal brain region and/or a malignant
tumnor

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI 2,2-Dialkylnaphthalen-1-ones as new potassium channel
activators

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI High-Speed Screening and QSAR Analysis of Human ATP-Binding Cassette
Transporter ARCB11 (Bile Salt Export Pump) To Predict Drug-Induced
Intrahepatic Cholestasis

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Dual regulation by  $\delta$  opioid receptor agonists on delayed rectified potassium channels in NG108-15 cells

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Method using potassium channel agonists for delivering
a medicant to an abnormal brain region and/or a malignant tumor

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Characterization of the currents induced by sigma ligands in NCB20 neuroblastoma cells

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Agonist-specific regulation of  $\mu$ -opioid receptor desensitization and recovery from desensitization

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Pyridoxal-5'-phosphate and related compounds in combination with
therapeutic cardiovascular compounds for treating angina.

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Preparation of azole compounds as PTP1B inhibitors HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Combination therapeutic compositions containing benzene compounds
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Propranolol antagonizes coronary artery relaxation by a potassium channel opener

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Pyrano-[2,3b]-pyridines as potassium channel antagonists

10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN

TI Correlation of HEEG K+ channel protein expression to chemosensitivity of tumor cells to doxorubicin and its modulation by erythromycin

HEM MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Peptide for protection against ischemia and reperfusion injury

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Asymmetric bioreduction of a  $\beta$ -tetralone to its corresponding (S)-alcohol by the yeast Trichosporon capitatum MY 1890

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Treating pain, diabetes, and disorders of lipid metabolism using spiro(azetidine-piperidine)derivatives and their preparation

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Method for treatment and prevention of epilepsy

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Role of Opioid Receptors in Cardioprotection of Cold-Restraint Stress and
Morphine

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Desensitization of  $\mu$ -opioid receptor-evoked potassium currents: initiation at the receptor, expression at the effector

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Effects of adriamycin and ethydium bromide on Ca2+-dependent K+ channels of human erythrocytes

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
  TI Genetic markers associated with cardiac arrhythmias and their use in risk
  management

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Cardiovascular compounds comprising heterocyclic nitric oxide donor group compositions and methods of use

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Peristalsis in the Guinea Pig Small Intestine in Vitro is Impaired by
Acetaminophen but not Aspirin and Dipyrone

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Pharmaceutical compositions comprising chelidonine or derivatives

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI The effects of adriamycin and adriamycin complexes with transitional
metals on Ca2+-dependent K+ channels of human erythrocytes

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI  $\delta\text{-opioid}$  receptor mediates the cardioprotective effect of ischemic postconditioning

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Gene expression profiles for diagnosis, prognosis and selection of treatment of acute myeloid leukemia

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Pharmacological characterization of nociceptin/orphanin FQ receptors, a novel opioid receptor family, in the midbrain periaqueductal gray

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Analgesic methods using endothelin receptor ligands HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Pharmaceutical compositions containing membrane-potential agents for reversal of multidrug resistance

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI PDE5 inhibitors enhance tumor permeability and efficacy of chemotherapy
in

a rat brain tumor model

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Use of sildenafil, vardenafil and other phosphodiesterase V inhibitors to enhance permeability of the abnormal blood-brain barrier

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN TI Remifentanil Preconditioning Confers Cardioprotection via Cardiac  $\kappa$ -and  $\delta$ -Opioid Receptors

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 146 ANSWERS CAPLUS COPYRIGHT 2009 ACS on STN
TI Insights into the cardioprotective function of adenosine A1 and A3 receptors

```
=> d his
     (FILE 'HOME' ENTERED AT 14:28:38 ON 12 MAR 2009)
     FILE 'REGISTRY' ENTERED AT 14:29:50 ON 12 MAR 2009
               STRUCTURE UPLOADED
L1
L2
              0 S L1
L3
             13 S L1 FULL
    FILE 'CAPLUS' ENTERED AT 14:30:40 ON 12 MAR 2009
L4
             2 S L3
    FILE 'REGISTRY' ENTERED AT 14:35:19 ON 12 MAR 2009
L5
               STRUCTURE UPLOADED
            50 S L5
L6
          32022 S L5 FULL
L7
     FILE 'CAPLUS' ENTERED AT 14:35:51 ON 12 MAR 2009
L8
          58115 S L7
           41 S L7 AND VANILLOID
L9
L10
           146 S L7 AND POTASSIUM CHANNEL
=> file reg
```

FILE 'REGISTRY' ENTERED AT 14:38:14 ON 12 MAR 2009

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Connection closed by remote host

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* \* \* \* \* \* Welcome to STN International Web Page for STN Seminar Schedule - N. America NEWS 1

NEWS  $\,$  2 NOV  $\,$  21 CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present NEWS 3 NOV 26 MARPAT enhanced with FSORT command NEWS 4 NOV 26 CHEMSAFE now available on STN Easy

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NEWS 7 DEC 12 GBFULL now offers single source for full-text

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NEWS 25 MAR 11 ESBIOBASE reloaded and enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 15:08:26 ON 12 MAR 2009

=> his

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STRUCTURE FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2 DICTIONARY FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2

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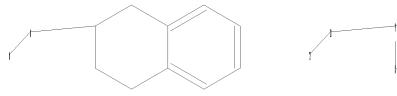
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting  ${\tt SmartSELECT}$  searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10575027-9999.str



SAMPLE SCREEN SEARCH COMPLETED - 36490 TO ITERATE

5.5% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

50 ANSWERS

PROJECTED ITERATIONS: 718379 TO 741221 30059 TO 34893 PROJECTED ANSWERS:

50 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 15:09:47 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 733201 TO ITERATE

100.0% PROCESSED 733201 ITERATIONS 32022 ANSWERS SEARCH TIME: 00.00.02

L3 32022 SEA SSS FUL L1

=> file caplus FILE 'CAPLUS' ENTERED AT 15:09:56 ON 12 MAR 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

```
=> s 14 and pd<=10152003
           342 PD<=10152003
                 (PD<=10152003)
L5
             1 L4 AND PD<=10152003
=> s 14 and pd<=2003
      23971135 PD<=2003
                (PD<=20039999)
         42824 L4 AND PD<=2003
L6
=> s 16 and "potassium channel"
        714845 "POTASSIUM"
            19 "POTASSIUMS"
        714848 "POTASSIUM"
                ("POTASSIUM" OR "POTASSIUMS")
        332865 "CHANNEL"
        194216 "CHANNELS"
        422949 "CHANNEL"
                 ("CHANNEL" OR "CHANNELS")
         32608 "POTASSIUM CHANNEL"
                ("POTASSIUM"(W)"CHANNEL")
L7
            55 L6 AND "POTASSIUM CHANNEL"
=> file reg
FILE 'REGISTRY' ENTERED AT 15:11:10 ON 12 MAR 2009
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```

(FILE 'HOME' ENTERED AT 15:08:26 ON 12 MAR 2009) FILE 'REGISTRY' ENTERED AT 15:09:33 ON 12 MAR 2009 STRUCTURE UPLOADED L150 S L1 L2 L3 32022 S L1 FULL FILE 'CAPLUS' ENTERED AT 15:09:56 ON 12 MAR 2009 58115 S L3 L41 S L4 AND PD<=10152003 L5L6 42824 S L4 AND PD<=2003 L7 55 S L6 AND "POTASSIUM CHANNEL" FILE 'REGISTRY' ENTERED AT 15:11:10 ON 12 MAR 2009 FILE 'CAPLUS' ENTERED AT 15:11:14 ON 12 MAR 2009 L8 TRA L7 1- RN : 2659 TERMS FILE 'REGISTRY' ENTERED AT 15:11:17 ON 12 MAR 2009 L9 2659 SEA L8 => s 19 and 13 L10 237 L9 AND L3 => d scan

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propynamide, N=[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-phenylMF C27 H21 Cl N2 O 4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 4-nitro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]MF C26 H27 N3 O6 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN Morphinanium, 4,5-epoxy-3,14-dihydroxy-17-methyl-6-oxo-17-(2-propen-1-yl)-, iodide (1:1), (5a,17R)- MF C20 H24 N O4 . I CI CCM

Absolute stereochemistry.

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

N= 8-[((4-ethylphenyl)sulfonyl]methylamino]-5,6,7,8-tetrahydro7-hydroxy-2-naphthalenyl]-4-methoxy-N-(3-pyridinylmethyl)
MF C33 H35 N3 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8[(phenylmethyl)sulfonyl]amino]-2-naphthalenyl]
MF C26 H28 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 3(2H)-Pyridazinone, 6-[(7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)oxy]-, trans- (9CI)
MF C16 H15 Br N2 04

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenepropanamide, N-[8-[[(4-cyanophenyl)sulfonyl]amino]-5,6,7,8-terahydro-7-hydroxy-2-naphthalenyl]MF C26 H25 N3 O4 S

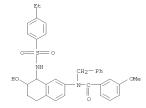
O = S = O NH NH - C - CH<sub>2</sub> - CH<sub>2</sub> - Ph

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy-N-(phenylmethyl)
MF C33 H34 N2 05 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 237 ANSMERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2(1H)-Pyridinone, 1-[(1R,2R)-7-fluoro-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel
MF C17 H16 F N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzanide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[(2-thienylsulfonyl)amino]-2-naphthalenyl]MF C22 H22 N2 O5 S2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IND INDEX NAME NOT YET ASSIGNED
MF 028 H25 C1 N2 04 S

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 2,4-dichloro-N-[(1R,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, relMF C20 H16 C12 N2 O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN Morphinan-6-one, 4,5-epoxy-3,14-dihydroxy-17-methyl-, (5 $\alpha$ )- CI7 H19 N O4 CI COM

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8[(phenylmethyl)sulfonyl]amino]-2-naphthalenyl]MF C25 H26 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

L10 237 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propynamide, N-[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8-trahydro-7-hydroxy-2-naphthalenyl]-3-(4-methylphenyl)
MF C28 H23 Cl N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> d his

(FILE 'HOME' ENTERED AT 15:08:26 ON 12 MAR 2009)

FILE 'REGISTRY' ENTERED AT 15:09:33 ON 12 MAR 2009 STRUCTURE UPLOADED

L1 STRUC L2 50 S L1

L3 32022 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:09:56 ON 12 MAR 2009

L4 58115 S L3

L5 1 S L4 AND PD<=10152003

L6 42824 S L4 AND PD<=2003

L7 55 S L6 AND "POTASSIUM CHANNEL"

FILE 'REGISTRY' ENTERED AT 15:11:10 ON 12 MAR 2009

FILE 'CAPLUS' ENTERED AT 15:11:14 ON 12 MAR 2009 L8 TRA L7 1- RN : 2659 TERMS

FILE 'REGISTRY' ENTERED AT 15:11:17 ON 12 MAR 2009

L9 2659 SEA L8

L10 237 S L9 AND L3

 $\Rightarrow$  s 110 and c10/rf

1627131 C10/RF

L11 210 L10 AND C10/RF

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8[(phenylsulfonyl)amino]-2-naphthalenyl]
MF C25 H26 NZ 04 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2(1H)-Pyridinone, 1-[(1R,2R)-6,7-dichloro-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel-MF C17 H15 C12 N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

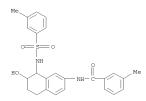
IN Benzamide, N-ethyl-N-[8-[[(4-ethylphenyl)sulfonyl]methylamino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]-4-methoxy
MF C29 H34 N2 O5 S

N-Me Et O OMe

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 3-methyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]NF C25 H26 N2 04 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Lil 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propenamide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]-, (2E)MF C28 H30 N2 O4 S

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Maphthalenecarbonitrile, 8=[(1,6-dihydro-6-oxo-3-pyridazinyl)oxy]5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-, trans- (9CI)

MF C17 H15 N3 O4

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

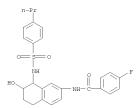
L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]-2-naphthalenyl]MF C24 H21 F3 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 4-fluoro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C26 H27 F N2 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Maphthalenecarbonitrile, 8-amino-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-, (7R,8R)-relMF C13 H14 N2 O2

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

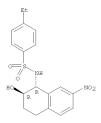
IN Benzamide, N-[8-[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-4-(pentyloxy)
MF C30 H36 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenesulfonamide, 4-ethyl-N-[(1R,2R)-1,2,3,4-tetrahydro-2-hydroxy-7-nitro-1-naphthalenyl]-, relNF C18 H20 N2 O5 S

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN INDEX NAME NOT YET ASSIGNED MF C31 H30 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS ON STN IN INDEX NAME NOT YET ASSIGNED MF C34 H36 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propynamide, N-[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8tetrahydro-7-bydroxy-2-naphthalenyl]-3-(4-methylphenyl)MF C28 H23 Cl N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Acetamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI)

MF C15 Hi6 N2 O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 2,4-dichloro-N-[(1R,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, relMF C20 H16 C12 N2 O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS ON STN IN INDEX NAME NOT YET ASSIGNED
MF C26 H25 C1 N2 O5 S

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

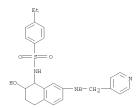
L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

Acetamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-2-[(4-methoxyphenyl)methylamino]
MF C28 H33 N3 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenesulfonamide, 4-ethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7-[(4-pyridinylmethyl)smino]-1-naphthalenyl]MF C24 H27 N3 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3-acetyl-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]
MF C27 H28 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Lil 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2(1H)-Pyridinone, 1-[(1R,2R)-7-chloro-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, relMF C17 H16 C1 N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

Lil 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propenamide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]-, (2E)MF C28 H30 N2 O4 S

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Naphthalenol, 1-amino-1,2,3,4-tetrahydro-7-nitro-, (1R,2R)-rel-MF C10 H12 N2 03

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenesulfonamide, 4-ethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7[((phenylamino) carbonyl]amino]-1-naphthalenyl]
MF C25 H27 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide,
4-(dimethylamino)-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]MF C27 H31 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[(2-thienylsulfonyl)amino]-2-naphthalenyl]
MF C22 H22 N2 05 S2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Acetamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]MF C29 H35 N3 O6 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2(1H)-Pyridinone, 1-[(1R,2R)-7-fluoro-1,2,3,4-tetrahydro-2-hydroxy-3,3-dinethyl-4-oxo-1-naphthalenyl]-, relMF C17 H16 F N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1(2H)-Quinolineacetamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3,4-dihydro
MF C29 H33 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSMERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propynamide,
N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-phenylMF C27 H26 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3-methyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C27 H30 N2 04 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[(1E)-2-phenylethenyl]sulfonyl]amino]-2-naphthalenyl]
MF C26 H26 N2 O5 S

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Lil 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl)-, trans-(9CI)
MF C20 H20 M2 O2

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 1(2H)-Naphthalenone, 4-amino-3, 4-dihydro-3-hydroxy-6-methoxy-2, 2-dimethyl-, (3R, 4R)-rel- MF C13 H17 N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

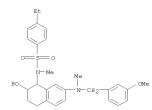
IN Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-methyl
MF C26 H28 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenesulfonamide, 4-ethyl-N-methyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7[[(3-methoxyphenyl)methyl]methylamino]-1-naphthalenyl]
MF C28 H34 N2 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

Cyclopropanecarboxamide, N=[8-[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]-N-(3-pyridinylmethyl)
MF C28 H31 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-methoxy-N-(phenylmethyl)
MF C33 H34 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STW
IN 2-Propenamide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8[(phenylsulfonyl)amino]-2-naphthalenyl]-, (2E)MF C25 EZ4 N2 04 8

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN N 3(2H)-Pyridazinone, 6-[(7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)oxy]-, trans- (9CI)
MF C16 H15 Br N2 O4

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

No. 10 Benzamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]-3-(trifluoromethoxy)-MF C25 H23 F3 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSMERS REGISTRY COPYRIGHT 2009 ACS on STN
10 1(2H)-Naphthalenone, 4-amino-7-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-,
(3R,4R)-relMF C12 H14 Br N O2

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

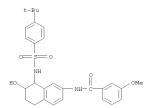
IN Benzamide, 3-ethoxy-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-terahydro-7-hydroxy-2-naphthalenyl]
MF C27 H30 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, N=[8-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-5,6,7,8-tethahydro-7-hydroxy-2-naphthalenyl]-3-methoxy
MF C28 H32 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, N=[8-[(15-chloro-2-naphthalenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-4-methoxy
MF C28 H25 Cl N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1naphthalenyl)-4-methoxy-, trans- (9CI)

MF C21 H20 N2 O4

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide,
3-methyl-N-(3-pyridinylmethyl)-N-[5,6,7,8-tetrahydro-7-hydroxy8-[[d-(trifluoromethoxy)phenyl]sulfonyl]amino]-2-naphthalenyl]MF C31 H28 F3 N3 05 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Propenanide, N-[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]-3-phenyl-, (2E)
MF C27 H23 C1 N2 O4 S

Double bond geometry as shown.

$$C1 \xrightarrow{C = C - S} NH \xrightarrow{H - E - Ph}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Lil 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Cyanamide, [1-(7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-4,5-dihydro-1H-imidazol-2-yl]-, trans- (9CI)
MF C16 H17 Br N4 O2

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[(phenylmethyl)sulfonyl]amino]-2-naphthalenyl]-MF C26 H28 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSMERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenepropanamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]NF C27 H30 NZ 04 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

Propanamide, N-[8-[[(4-ethylphenyl)sulfonyl](3-pyridinylmethyl)amino]5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-2,2-dimethyl
MF C29 H35 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 4-ethyl-M-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methylphenyl)sulfonyl]amino]-2-naphthalenyl]MF C26 H28 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Isoindol-1-one, 2-[(1R,2R)-7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-2,3-dihydro-, rel
MF C20 H18 Br N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-pentylphenyl)sulfonyl]amino]-2-naphthalenyl]MF C29 H34 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSMERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxyNF C26 H28 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 2-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C27 H30 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2,3-Naphthalenediol, 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]1,2,3,4-tetrahydroMF C17 H27 N O4
C1 CCM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

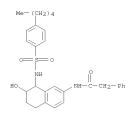
L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 4-fluoro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C24 H23 F N2 O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzeneacetamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-pentylphenyl)]aulfonyl]amino]-2-naphthalenyl]MF C29 H34 N2 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2(1H)-Pyridinone, 1-[(1R,2R)-6-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxol-naphthalenyl]-, relMF C17 H16 Br N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

1N 4-Piperidinecarboxamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-1-(phenylmethyl)
MF C31 H37 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 4-nitro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C26 H27 N3 O6 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 4-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[(2-naphthalenylsulfonyl)amino]-2-naphthalenyl]NF C28 H26 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Lil 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzeneacetamide, 4-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]MF C28 H32 NZ O5 5

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 4-fluoro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]MF C26 H27 F N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Acetamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl)-, (1S-trans)- (9CI)

MF C15 H18 N2 C2

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Butanamide,
N-[(1R,ZR)-7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-4-chloro-, relMF C16 H19 Br C1 N O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 4-chloro-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-trahydro-7-hydroxy-2-naphthalenyl]MF CZS H25 Cl N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN INDEX NAME NOT YET ASSIGNED
MF C32 H32 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Naphthalenol, 1,2,3,4-tetrahydro-7-nitro-1-[(phenylmethyl)amino]-,
(1R,2R)-relMF C17 H18 N2 03

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenesulfonamide, 4-methoxy-2,3,6-trimethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7-[(3-pyridinylmethyl)amino]-1-naphthalenyl]MF C266 H31 N3 O4 S7

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Naphthalenecarbonitrile, 5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-8-[3-oxo-1-cyclopenten-1-yl)oxy]-, trans-(9CI) MF C18 H17 N O4

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN N 4-Pyridinecarboxamide, N-[(1R,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel-MF C19 H17 N3 O3

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

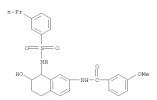
IN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-nitrophenyl)sulfonyl]amino]-2-naphthalenyl]
MF C24 H23 N3 O7 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSMERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-propylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C27 H30 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS ON STN IN INDEX NAME NOT YET ASSIGNED MF C28 H33 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 4-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)]aulfonyl]amino]-2-naphthalenyl]MF C27 H30 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

1N Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5, 6, 7, 8-tetrahydro-7hydroxy-2-naphthalenyl]-3-methoxy-N-(2-pyridinylmethyl)
NF C32 H33 N3 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2(1H)-Pyridinone, 1-[(1E,2R)-1,2,3,4-tetrahydro-2-hydroxy-7-methoxy-3,3-dinethyl-4-oxo-1-naphthalenyl]-, relMF C18 H19 N O4

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propenamide, 3-(4-chlorophenyl)-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]-, (2E)MF C28 H29 C1 N2 O4 S

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3-chloro-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-terahydro-7-hydroxy-2-naphthalenyl]
MF C25 H25 C1 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Waphthalenol, 6-bromo-1,2,3,4-tetrahydro-, (2S)-MF C10 H11 Br O

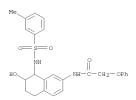
Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSMERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Acetamide, 2-phenoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C25 H26 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-2-[(phenylmethyl)amino]MF C27 H31 N3 O4 S

Et

O S O NH

NH - C - CH<sub>2</sub> - NH - CH<sub>2</sub> - Ph

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methoxyphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C25 H26 N2 O6 S

OME
OME
OME
NH
OME
OME

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Maphthalenecarbonitrile, 8-(1,3-dihydro-1-oxo-2H-isoindo1-2-yl)-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-, (7R,8R)-rel-MF C21 H18 N2 O3

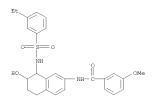
Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, N-[8-[[(3-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-methoxy
MF C266 H28 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Lil 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Isoquinolinecarboxamide, 2-ethyl-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,67,78-tetrahydro-7-hydroxy-2-naphthalenyl]-1,2,3,4-tetrahydroMF C30 H35 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzanide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(2-phenylethyl)sulfonyl]amino]-2-naphthalenyl]MF C26 H28 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN INDEX NAME NOT YET ASSIGNED MF C31 H30 N2 06 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN Urea, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl)-N'-methyl-, trans- (9CI)
MF C15 H19 N3 O2

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

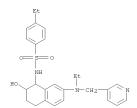
L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3,4-dimethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8[(phenylsulfonyl)amino]-2-naphthalenyl]
MF C25 H26 N2 04 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN INDEX NAME NOT YET ASSIGNED
MF C26 H31 N3 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
1 (2H)-Naphthalenone, 4-amino-3,4-dihydro-3-hydroxy-2,2-dimethyl-,
(3R,4R)-relMF C12 H15 N O2

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, N=[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C27 H30 N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propenamide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]-, (2E)MF C26 H26 N2 O4 5

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

Lil 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, N=[8-[([3-chlorophenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-methoxy
MF C24 H23 Cl N2 O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Naphthalenecarbonitrile, 8-[[1,6-dihydro-6-oxo-1-(2-propenyl)-3-pyridazinyl]oxy]-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-, trans-(9c1)

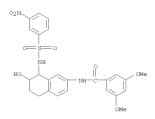
MF C20 H19 N3 04

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 3,5-dimethoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-nitrophenyl)sulfonyl]amino]-2-naphthalenyl]MF C25 H25 N3 08 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide,
N-[('78,8R)-8-amino-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5oxo-2-naphthalenyl]-N-methyl-, relMF C15 H20 N2 03

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]
MF C27 H30 NZ O5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenesulfonamide, N-[(1R, 2R)-7-amino-1, 2, 3, 4-tetrahydro-2-hydroxy-1-naphthalenyl]-4-propyl-, rel
MF C19 H24 N2 03 S

Relative stereochemistry.

NHO

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Acetamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-2-(phenylamino)
MF C26 H29 N3 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 210 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN INDEX NAME NOT YET ASSIGNED MF C32 H32 N2 05 S

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L1 STRUCTURE UPLOADED
L2 50 S L1
L3 32022 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:09:56 ON 12 MAR 2009 58115 S L3

L4 58115 S L3 L5 1 S L4 AND PD<=10152003

L6 42824 S L4 AND PD<=2003 L7 55 S L6 AND "POTASSIUM CHANNEL"

FILE 'REGISTRY' ENTERED AT 15:11:10 ON 12 MAR 2009

FILE 'CAPLUS' ENTERED AT 15:11:14 ON 12 MAR 2009 L8 TRA L7 1- RN : 2659 TERMS

FILE 'REGISTRY' ENTERED AT 15:11:17 ON 12 MAR 2009

L9 2659 SEA L8 L10 237 S L9 AND L3 L11 210 S L10 AND C10/RF

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FHITSTR ---- First HIT RN, its text modification, its CA index name, and

its structure diagram

FHITSEQ ---- First HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields

KWIC ----- Hit term plus 20 words on either side

OCC ----- Number of occurrence of hit term and field in which it occurs

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=> d cbib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 10 ANSWERS - CONTINUE? Y/(N):y

113 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
2003:202410 Document No. 138:226705 Novel pharmaceuticals comprising drug
conjugates with polypeptide carriers. Picariello, Thomas (New River
Pharmaceuticals Inc., USA). PCT Int. Appl. No 2003020200 A2
20030313, 2059 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BY, BZ, CA, CH, CN, CO, CC, CU, CZ, DE, DK, DM, DZ,
EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ,
NO, NZ, CM, PH, PI, FT, RC, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
TZ, UJ, UG, US, UZ, VN, VU, ZA, ZW; RN: AT, BE, BF, BJ, CF, CG, CH, CI,
CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL,
FT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO
2001-US43117 20011116; US 2000-24860F9 20001116; US 2000-24860F9
20001116;
US 2000-24860B9 20001116; US 2000-24860F9 20001116; US 2000-248604P
20001116; US 2000-24860B9 20001116; US 2000-24870P9 20001116; US
2000-24860P9 20001116; US 2000-24870P9 20001116; US 2000-24870P9
20001116; US 2000-24870B9 20001116; US 2000-24870P9

2000-248600P 20001116; US 2000-248712P 20001116; US 2000-248711P
20001116;
US 2000-248709P 20001116; US 2000-248708P 20001116; US 2000-248707P
20001116; US 2000-248708P 20001116; US 2000-248704P 20001116; US 2000-248703P 20001116; US 2000-248701P
20001116.
AB A pharmaceutical composition comprising a polypeptide and an active agent attached to said polypeptide is disclosed.
T6-42-6D, Oxycodone, polypeptide conjugates 42200-33-9D,
Nadolol, polypeptide conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel pharmaceuticals comprising drug conjugates with polypeptide carriers)

carriers)
76-42-6 CAPLUS
Morphinan-6-one, 4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)(CA INDEX NAME)

#### Absolute stereochemistry.

42200-33-9 CAPLUS

42200-33-3 Annual (2,3-Naphthalenediol, 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)

113 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
1999:487265 Document No. 131:1160840 Preparation of
N-(aminotetrahydronaphthyl)arylsulfonamides and analogs as
potassium channel blockers. Gross, Michael F.; Castle,
Neil A. (Icagen, Inc., USA). PCT Int. Appl. WO 9937607 Al
19990729, 101 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA,
BB, BC, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GR,
CM, HR, HU, ID, IL, IN, IS, JP, KE, KC, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MD, MG, MK, MN, MM, MK, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD,
RU, TJ, TM; RW: AT, EE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI,
FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG.
(English). CODEN: PIXXCD2. APPLICATION: WO 1999-US1663 19990127.
PRIORITY: US 1998-72719P 19980127.

Title compds. [I; R = X2Y2R1; Rl = H, alkyl, (hetero)aryl, etc.; R3,R4 = H, alkyl, (hetero)aryl(alkyl), etc.; R5 = X1Y1R2; R2 = H, alkyl, alkozy, (di)alkylamino, (hetero)aryl(alkyl), etc.; R6 = H, (un)substituted alkyl, (di)(alkyl)amino, etc.; X1 = bond, CH2, CO, SO2, etc.; X2 = CO, CS, SO2; Y1 = bond, alkylene, CH:CH, etc.; Y2 = bond, CH2, O, NH, CH:CH, etc.; Z = CH2 or CH2CH2; dashed line = optional addnl. bond] were prepared Thus, 7-mitro-1-tetralone was converted in 4 steps to trans-1-amino-7-nitro-2-naphthol which was amidated by 4-EtC6H4SO2Cl and the reduced product N-alkylated by 4-F3CO)C6H4CH2Br to give title ound AB

District Features product N-arxyraceu by 4-173COCOMACRAS
JUNES 11. Data for biol. activity of I were given.
1025930-48-6 1027305-40-3 1051400-63-5
1099524-91-0 1099524-92-1 1099525-10-6
1099525-13-4 1099525-10-8 1099525-13-9
1099525-11-7 1099525-15-1 1099525-16-2
1099525-17-3 1099525-18-4 1099525-19-5
1099525-20-8 1099525-21-9 1099525-22-0
1099525-23-1 1099525-22-5 1099525-23-1
1099525-26-4 1099525-27-5 1099525-32-2
1099525-33-3 1099525-31-1 1099525-32-2

L13 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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113 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN 1099525-37-7 1099525-38-8 1099525-39-9 1099525-40-2 1099525-41-3 1099525-42-4 1099525-43-5 1099525-45-1 1099525-42-4 1099525-43-5 1099525-45-1 1099525-46-8 1099525-49-1 1099525-59-1 1099525-59-1 1099525-59-1 1099525-59-1 1099525-59-2 1099525-59-3 1099525-59-1 1099525-59-2 1099525-60-0 1099525-60-0 1099525-59-2 1099525-60-0 1099525-60-0 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099525-61-7 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 1099526-01-0 10995
                                                                                                           RL: PRPH (Prophetic)
(Preparation of N-(aminotetrahydronaphthyl)arylsulfonamides and
```

analogs

as potassium channel blockers)
1025930-48-6 CAPLUS
Benzamide, N-[8-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1027305-40-3 CAPLUS
CN Benzamide, N-[6-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-methoxy-N-(3-pyridinylmethyl)- (CA INDEX NAME)

1051400-63-5 CAPLUS
3-Isoquinolinecarboxamide, 2-ethyl-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)

1099524-91-0 CAPLUS INDEX NAME NOT YET ASSIGNED

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 1099524-92-1 CAPLUS
CN Acetamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-2-[(4-methoxyphenyl)methylamino]- (CA INDEX NAME)

RN CN

ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-(CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 1099524-94-3 CAPLUS
CN 2(1H)-Isoquinolineacetamide,
N-[8-[[(4-cthylphenyl)sulfonyl]amino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]-3,4-dihydro- (CA INDEX NAME)

1099525-08-2 CAPLUS
Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8[[(phenylmethyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-10-6 CAPLUS
Benzamide, 2-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-nitrophenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-11-7 CAPLUS INDEX NAME NOT YET ASSIGNED

1099525-12-8 CAPLUS
Acetamide, 2-phenoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

(Continued)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Cont RN 1099525-13-9 CAPLUS
CN Benzamide,
4-(dimethylamino)-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

1099525-14-0 CAPLUS
2-Butenamide, 3-methyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

RN CN

1099525-15-1 CAPLUS
Benzamide, 4-nitro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-16-2 CAPLUS
Benzamide, 4-fluoro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

RN CN

1099525-17-3 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-18-4 CAPLUS

CN Benzamide,
3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]-2-naphthalenyl) (CA INDEX NAME)

1099525-19-5 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(2-phenylethyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-20-8 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[(1E)-2-phenylethenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

Double bond geometry as shown.

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-21-9 CAPLUS Benzamide, 3,5-dimethoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-22-0 CAPLUS
Benzamide, N-[8-[[[4-(1,1-dimethylpropy1)phenyl]sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

1099525-23-1 CAPLUS

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzeneacetamide, 4-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-24-2 CAPLUS
Benzamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX

1099525-25-3 CAPLUS
Benzamide, 3-methyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME) RN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-29-7 CAPLUS Cyclopropanecarboxamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-N-(phenylmethyl)- (CA INDEX NAME)

1099525-30-0 CAPLUS
Benzamide, N-butyl-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} \\ \\ \text{O} \\ \\ \text{NH} \\ \\ \text{N-C} \\ \end{array}$$

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-26-4 CAPLUS
Benzamide, N-[8-[[(3-chlorophenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

1099525-27-5 CAPLUS
Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy-N-(phenylmethyl)- (CA INDEX NAME) RN CN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1099525-31-1 CAPLUS
CN Benzensulfonamide, 4-methoxy-2,3,6-trimethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7-[(3-pyridinylmethyl)amino]-1-naphthalenyl]- (CA INDEX NAME)

1099525-32-2 CAPLUS INDEX NAME NOT YET ASSIGNED

1099525-33-3 CAPLUS INDEX NAME NOT YET ASSIGNED

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-34-4 CAPLUS INDEX NAME NOT YET ASSIGNED

RN 1099525-36-6 CAPLUS
CN Benzamide,
3-methyl-N-(3-pyridinylmethyl)-N-[5,6,7,8-tetrahydro-7-hydroxy8-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-39-9 CAPLUS
Benzamide, 4-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-40-2 CAPLUS
Benzamide, 3-acetyl-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-37-7 CAPLUS Cyclopropanecarboxamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-N-(4-pyridinylmethyl)- (CA INDEX NAME)

1099525-38-8 CAPLUS INDEX NAME NOT YET ASSIGNED

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1099525-41-3 CAPLUS
CN Benzamide, N-[8-[(4-acetylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-4-methyl- (CA INDEX NAME)

1099525-42-4 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-43-5 CAPLUS
Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-45-7 CAPLUS
Benzamide, 3-methyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methoxyphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

RN

1099525-46-8 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8[(phenylsulfonyl)amino]-2-naphthalenyl]- (CA INDEX NAME)

RN 1099525-47-9 CAPLUS

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 1099525-50-4 CAPLUS
CN Benzeneacetamide,
N-[8-[[(4-butylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

1099525-51-5 CAPLUS
Benzeneacetamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-pentylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methoxyphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-48-0 CAPLUS Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[(2-thienylsulfonyl)amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-49-1 CAPLUS RN

CN Benzeneacetamide,
N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-52-6 CAPLUS Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[(phenylsulfonyl)amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-53-7 CAPLUS Benzamide, 3,4-dimethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-54-8 CAPLUS
Benzamide, 3,4-dimethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8[(phenylsulfonyl)amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-55-9 CAPLUS
Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methyl- (CA INDEX NAME)

1099525-56-0 CAPLUS
Benzamide, 3-methyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-57-1 CAPLUS
Benzamide, N-8-[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-4-fluoro- (CA INDEX NAME) RN CN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-60-6 CAPLUS
Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-61-7 CAPLUS
Benzamide, 3,5-dimethoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-nitrophenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-58-2 CAPLUS
Benzamide, 4-fluoro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-59-3 CAPLUS Benzamide, 4-fluoro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME) RN CN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1099525-62-8 CAPLUS
CN Benzamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]-3-(trifluoromethoxy)- (CA INDEX NAME)

 $\label{logocont} $1099525-63-9$ $CAPLUS$ $Benzamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[(1E)-2-phenylethenyl]sulfonyl]amino]-2-naphthalenyl]-3-(trifluoromethoxy)- $(CANDEX NAME)$$ 

Double bond geometry as shown.

1099525-64-0 CAPLUS
Benzamide, N-[8-[[(4-bromopheny1)sulfony1]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthaleny1]-3-ethoxy- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-65-1 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-nitrophenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-66-2 CAPLUS
2-Propynamide, N-[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]-3-(4-methylphenyl)- (CA INDEX NAME)

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \end{array} \end{array} \begin{array}{c} \\ \\ \end{array} \begin{array}{c}$$

RN

1099525-67-3 CAPLUS 2-Propynamide, N-[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-phenyl- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

 $\begin{array}{lll} 1099525-71-9 & \text{CAPLUS} \\ 2-\text{Propenanide, } 3-(4-\text{methylphenyl})-\text{N-}[5,6,7,8-\text{tetrahydro-}7-\text{hydroxy-}8-[[[4-(1-\text{methylethyl})\text{phenyl}]\text{sulfonyl}]\text{amino}]-2-\text{naphthalenyl}]-, & (2E)- & (CA & INDEX & NAME) \\ \end{array}$ 

Double bond geometry as shown.

 $\begin{array}{lll} 1099525-72-0 & \text{CAPLUS} \\ 2-\text{Propenamide, } 3-(4-\text{chloropheny1})-\text{N-}[5,6,7,8-\text{tetrahydro-}7-\text{hydroxy-}8-[[[4-(1-\text{methylethyl})\text{phenyl}]\text{sulfonyl}]\text{amino}]-2-\text{naphthalenyl}]-, & (2E)- & (CA & INDEX & NAME) \\ \end{array}$ 

Double bond geometry as shown.

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-68-4 CAPLUS 2-Propenamide, N-[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-(4-methylphenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

1099525-69-5 CAPLUS
2-Propenamide, N-[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-phenyl-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

1099525-70-8 CAPLUS INDEX NAME NOT YET ASSIGNED

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-74-2 CAPLUS

LAPPUDS CAPPUDS 2-Propenantide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

1099525-75-3 CAPLUS

RN 1099525-70-5 CAPLOS

CB Benzamide,

N-[8-[[[6-(diethylamino)-2-naphthalenyl]sulfonyl]amino]-5,6,7,8tetrahydro-7-hydroxy-2-naphthalenyl]-4-ethyl- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-76-4 CAPLUS
Benzamide, N-[8-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-4-methyl- (CA INDEX NAME)

RN CN

1099525-77-5 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-pentylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-81-1 CAPLUS 1(2H)-Quinolineacetamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3,4-dihydro- (CA INDEX NAME)

 $1099525-82-2 \quad {\tt CAPLUS} \\ 3-{\tt Piperidine} \\ {\tt ine} \\ {\tt carboxamide, 1-[(3-chlorophenyl)methyl]-N-[8-[[(4-chlorophenyl)methyl]]-N-[8-[(4-chlorophenyl)methyl]]} \\ \\ {\tt carboxamide, 1-[(3-chlorophenyl)methyl]-N-[8-[(4-chlorophenyl)methyl]]} \\ {\tt carboxamide, 1-[(3-chlorophenyl)methyl]-N-[8-[(4-chlorophenyl)methyl]]} \\ {\tt carboxamide, 1-[(4-chlorophenyl)methyl]-N-[8-[(4-chlorophenyl)methyl]]} \\ {\tt carboxamide, 1-[(4-chlorophenyl)methyl]} \\ {\tt carboxamide, 1-[(4-chlorophen$ 

ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-(CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-78-6 CAPLUS Cyclopropanecarboxamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-2-phenyl- (CA INDEX NAME)

1099525-79-7 CAPLUS
1,3-Benzodioxole-5-carboxamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

RN CN

1099525-80-0 CAPLUS
Benzamide, N-[8-[[(3-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-83-3 CAPLUS
1-Piperidinecarboxylic acid, 3-[[[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]amino]carbonyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)

1099525-84-4 CAPLUS RN CN

1039023-04-4 CAPDOS 4-Piperidinecarboxamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-1-(phenylmethyl)- (CA INDEX NAME)

1099525-85-5 CAPLUS
Benzamide, N-ethyl-N-[8-[[(4-ethylphenyl)sulfonyl]methylamino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-4-methoxy- (CA INDEX NAME)

RN 1099525-86-6 CAPLUS
CN Benzamide,
N-[8-[(4-ethylphenyl)sulfonyl]methylamino]-5,6,7,8-tetrahydro7-hydroxy-2-naphthalenyl]-4-methoxy-N-(3-pyridinylmethyl)- (CA INDEX NAME)

1099525-87-7 CAPLUS INDEX NAME NOT YET ASSIGNED

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-94-6 CAPLUS
Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-4-methoxy- (CA INDEX NAME)

1099525-95-7 CAPLUS
Benzamide, 4-chloro-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099525-08-8 CAPLUS
Benzenesulfonamide, 4-ethyl-N-methyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7[[(3-methoxyphenyl)methyl]methylamino]-1-naphthalenyl]- (CA INDEX NAME)

1099525-89-9 CAPLUS INDEX NAME NOT YET ASSIGNED RN CN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1099525-96-8 CAPLUS
CN Benzande, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-4-(pentyloxy)- (CA INDEX NAME)

1099525-97-9 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-98-0 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099525-99-1 CAPLUS
Benzamide, 3-ethoxy-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

1099526-00-7 CAPLUS Benzamide, N-[8-[[(4-chlorophenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-ethoxy- (CA INDEX NAME) RN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-03-0 CAPLUS INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.

1099526-04-1 CAPLUS
Benzamide, N-[8-[[[2-(4-chlorophenyl)ethynyl]sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

1099526-05-2 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(2-phenylethynyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-01-8 CAPLUS
Benzamide, N-[8-[[(4-chlorophenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

RN CN

1099526-02-9 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-06-3 CAPLUS
Benzenepropanaide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

1099526-07-4 CAPLUS
Benzenepropanamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099526-08-5 CAPLUS
Benzenepropanamide, N-[8-[[(4-cyanophenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

1099526-09-6 CAPLUS
Benzamide, 3-chloro-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

RN

1099526-10-9 CAPLUS
Benzenesulfonamide, 4-ethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7[[(phenylamino)carbonyl]amino]-1-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-13-2 CAPLUS
Benzamide, 2-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099526-14-3 CAPLUS
Benzamide, 4-ethyl-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 1099526-11-0 CAPLUS
CN 2-Propenanide,
N-[8-[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-phenyl-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

1099526-12-1 CAPLUS RN

NN 1039326-12-1 GHRDDC

2-Propynamide,
N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-(4-methoxyphenyl)- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

1099526-15-4 CAPLUS
Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

1099526-16-5 CAPLUS INDEX NAME NOT YET ASSIGNED

1099526-17-6 CAPLUS
Benzamide, 3-methyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-18-7 CAPLUS
Benzamide, 3-ethoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

RN CN

1099526-19-8 CAPLUS
Benzamide, 3-ethoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

1099526-20-1 CAPLUS
Benzamide, 4-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[(2-naphthalenylsulfonyl)amino]-2-naphthalenyl]- (CA INDEX NAME)

1099526-21-2 CAPLUS 2-Propenanide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-22-3 CAPLUS

1099526-22-3 CAPLOS
2-Propenamide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methoxyphenyl)sulfonyl]amino]-2-naphthalenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

1099526-23-4 CAPLUS
2-Propenamide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-24-5 CAPLUS
2-Propenamide, 3-phenyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8[(phenylsulfonyl)amino]-2-naphthalenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

1099526-25-6 CAPLUS INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.

1099526-26-7 CAPLUS INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-27-8 CAPLUS INDEX NAME NOT YET ASSIGNED

1099526-28-9 CAPLUS INDEX NAME NOT YET ASSIGNED

1099526-29-0 CAPLUS INDEX NAME NOT YET ASSIGNED

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

1099526-30-3 CAPLUS Acetamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-2-(phenylamino)- (CA INDEX NAME)

(Continued)

1099526-31-4 CAPLUS
Benzamide, N-[8-[[(5-chloro-2-naphthaleny1)sulfony1]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthaleny1]-4-methoxy- (CA INDEX NAME) RN CN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-32-5 CAPLUS
Benzenesulfonamide, 4-ethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7-[[[4-(trifluoromethoxy)phenyl]methyl]amino]-1-naphthalenyl]- (CA INDEX NAME)

1099526-33-6 CAPLUS

NN 1099926-3-0 CALBOO CN Benzamide, N-[8-[[(3,4-dichlorophenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-(trifluoromethoxy)- (CA INDEX NAME)

1099526-34-7 CAPLUS

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CN Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-methoxy-N-(2-pyridinylmethyl)- (CA INDEX NAME)

1099526-36-9 CAPLUS Benzenesulfonamide, 4-ethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7-[(4-pyridinylmethyl)amino]-1-naphthalenyl]- (CA INDEX NAME)

1099526-37-0 CAPLUS
Benzenesulfonamide, 4-methoxy-2,3,6-trimethyl-N-[1,2,3,4-tetrahydro-2-hydroxy-7-[(2-pyridinylmethyl)amino]-1-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-38-1 CAPLUS
Benzamide, N-ethyl-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

RN

1099526-39-2 CAPLUS
Propanamide, N-[8-[[(4-ethylphenyl)sulfonyl](3-pyridinylmethyl)amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-2,2-dimethyl- (CA INDEX NAME) CN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

RN 1099526-40-5 CAPLUS
CN Benzamide,
N-[8-[butyl](4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

RN CN 1099526-41-6 CAPLUS

Benzamide, N-[8-[((4-ethylphenyl)sulfonyl](phenylmethyl)amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

1099526-42-7 CAPLUS
Acetamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-2-[(phenylmethyl)amino]- (CA INDEX NAME)

NH-CH2-Ph

 $\begin{array}{lll} 1099526-43-8 & \texttt{CAPLUS} \\ \texttt{Acetamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-[8-[[(4-dimethoxyphenyl)methyl]amino]]-N-[8-[[(4-dimethoxyphenyl)methyl]amino]] \\ \end{array}$ 

ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

 $\begin{array}{lll} 1099526-44-9 & \texttt{CAPLUS} \\ \texttt{Acetamide, 2-[(3,4-dimethylphenyl)methylamino]-N-[8-[[(4-4),4-2]] & \texttt{Acetamide, 2-[(3,4-dimethylphenyl)methylamino]-N-[8-[(4-4),4-2]] & \texttt{Acetamide, 2-[(4-4),4-2]] & \texttt{Acetamide, 3-[(4-4),4-2]} & \texttt{Acetamide, 3-[(4-4),4-2]] & \texttt{Acetamide, 3-[(4-4),4-2]} & \texttt{Ac$ 

ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl](CA INDEX NAME)

IT 232265-82-6P 232265-83-7P 232265-84-8P
232265-88-9P 232265-86-0P 232265-87-1P
232265-88-2P 232265-98-3P 232265-90-6P
232265-91-7P 232265-92-8P 232265-90-8P
232265-94-0P 232265-95-1P 232265-96-2P
232265-94-0P 232265-95-1P 232265-96-2P
RL BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(aminotetrahydronaphthyl)arylsulfonamides and analogs as
potassium channel blockers)
RN 232265-82-6 CAPLUS
CN Benzensulfonamide,
4-ethyl-N-[(1R,2R)-1,2,3,4-tetrahydro-2-hydroxy-7-[[4(trifluoromethoxy)phenyl]methyl]amino]-l-naphthalenyl]-, rel- (CA INDEX

Relative stereochemistry.

232265-83-7 CAPLUS
2-Propenanide, 3-phenyl-N-[(7R,8R)-5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

232265-84-8 CAPLUS
Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

232265-85-9 CAPLUS
Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-2-methoxy- (CA INDEX NAME)

(Continued)

232265-86-0 CAPLUS
Benzamide, N-[8-[((4-butylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME) RN CN

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

232265-87-1 CAPLUS
4-Isoquinolinecarboxamide, 2-ethyl-N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)

RN 232265-88-2 CAPLUS
CN 2-Propynamide,
N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-phenyl- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

232265-89-3 CAPLUS
Benzamide, 4-ethyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

RN

232265-90-6 CAPLUS
Benzamide, 3-methoxy-N-[5,6,7,8-tetrahydro-7-hydroxy-8[[(phenylmethyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

232265-91-7 CAPLUS
Benzamide, 4-nitro-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-propylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

232265-92-8 CAPLUS
Benzamide, 3-methyl-N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(3-methylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

232265-93-9 CAPLUS 202200-93-9 CAPLUS 2-Thiophenearboxamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

232265-96-2 CAPLUS
Benzamide, N-[8-[[(4-ethylphenyl)sulfonyl](3-pyridinylmethyl)amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (CA INDEX NAME)

232265-97-3 CAPLUS 2-Pyrrolidinecarboxamide, 1-[(3-chlorophenyl)methyl]-N-[8-[[(4-chlorophenyl)methyl]-N-[8-[[(4-chlorophenyl)methyl]]-N-[8-[[(4-chlorophenyl

ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

232265-94-0 CAPLUS
Benzamide, N-[5,6,7,8-tetrahydro-7-hydroxy-8-[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]-2-naphthalenyl]- (CA INDEX NAME)

232265-95-1 CAPLUS

2322b-95-1 CAPLUS Cyclopropanecarboxamide, N-[8-[[(4-ethylphenyl)sulfonyl]amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Relative stereochemistry.

IT 194028-97-2P 232266-00-1P 232266-01-2P 232266-02-3F RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of N-(aminotetrahydronaphthyl)arylsulfonamides and analogs as potassium channel blockers)
RN 194028-97-2 CAPLUS
CN 2-Naphthalenol, 1-amino-1,2,3,4-tetrahydro-7-nitro-, (1R,2R)-rel- (CA INDEX NAME)

232266-00-1 CAPLUS
2-Waphthalenol, 1,2,3,4-tetrahydro-7-nitro-1-[(phenylmethyl)amino]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

NO2

232266-01-2 CAPLUS Benzenesulfonamide, 4-ethyl-N-[(1R,2R)-1,2,3,4-tetrahydro-2-hydroxy-7-nitro-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

232266-02-3 CAPLUS Benzenesulfonamide, N-[(1R,2R)-7-amino-1,2,3,4-tetrahydro-2-hydroxy-1-naphthalenyl]-4-ethyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
1998:224078 Document No. 129:326 Original Reference No. 129:79a,82a
Proarrhythmic effects of pinacidil are partially mediated through
enhancement of catecholamine release in isolated perfused guinea pig
hearts. D'alonzo, Albert J.; Zhu, Jia L.; Darbenzio, Raymond B.; Dorso,
Charles R.; Grover, Gary J. (Department of Cardiovascular Pharmacology,
Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ,
08543-4000, USA). Journal of Molecular and Cellular Cardiology, 30(2),
415-423 (English) 1998. CODEN: JMCDAY. ISSN: 0022-2828.
Publisher: Academic Press Itd..

AB
The contribution of adrenergic stimulation to the proarrhythmic effects
of

pinacidil (30 µM), an opener of ATP-sensitive potassium channels (K+ATP), was tested in an isolated guinea-pig heart model of global ischemia (10 min) and reperfusion (10 min). None (0%) of the control hearts (n= 10) elicited arrhythmias during ischemia or reperfusion. In the pinacidil-treated group, one heart (5%) experienced episodes of ventricular tachycardia (VT)/fibrillation (VF) during normoxia. During ischemia, 63% (12 out of 19) of pinacidil-treated

normoxia. During ischemia, 65% (IP out of 19) of pinacidil-freated te exhibited episodes of VT or VF. Hearts not in VT or VF (n=7) at the time of reperfusion, exhibited 71% VT and 43% VT/VF upon reperfusion. Proarrhythmic effects of pinacidil during ischemia or reperfusion were completely reversed by glyburide (n=9: 10 µM), a K+ATP antagonist, or nadolol (n = 9: 3 µM), a \$\textit{M}\$ adrenergic antagonist. Isoproterenol (n = 10; 50 nM), a \$\textit{P}\$ adrenergic agonist, induced a 20% incidence of ischemic VT and VF, and a 70% incidence of reperfusion VF, while methoxamine (n = 10; 10 µM), an \$\textit{m}\$ an \$\textit{m}\$ and anderenergic agonist, demonstrated little proarrhythmia (20% VT/VF at reperfusion only). Proarrhythmic effects of isoproterenol were reversed by nadolol, but not glyburide. Pinacidil caused a slight potentiation of tachycardia induced by a bolus injection of tyramine (30 µg), an indirectly acting sympathomimetic, but bolus injections of pinacidil (100 µg) had no effect on heart rate. Nisoxetine, a catecholamine uptake I inhibitor, hearts

no proarrhythmic effects when given alone. Catecholamine levels were reduced in pinacidil-treated hearts relative to vehicle-treated. In conclusion, it is suggested that the proarrhythmic effects of pinacidil following global ischemia and reperfusion in the isolated perfused guinea-pig heart appears to involve stimulation of  $\beta$ -adrenoceptors. These proarrhythmic effects of pinacidil do not appear to be mediated solely through direct opening of K+ATP, but rather through an indirect enhancement of catecholamine release.

42200-33-9, Nadolol RL: BAC (Biological activity or effector, except adverse); BSU logical study, unclassified); BIOL (Biological study) (proarrhythmic effects of pinacidil are partially mediated through enhancement of catecholamine release in isolated perfused guinea pig hearts) 42200-33-9 CAPLUS 2,3-Maphthalenediol, 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)

L13 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L13 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN 7:468341 Document No. 127:117358 Original Reference No. 127:22501a,22504a

22501a, 22504a

Screening for novel drug effects with a microphysiometer: a potent effect of clofillum unrelated to potassium channel blockade.

Rabinowitz, Joshua D.; Rigler, Per; Carswell-Crumpton, Cathy; Beeson, Craig, McConnel, Harden M. (Dep. Chemistry, Stanford Univ., Stanford, CA, 94305, USA). Life Sciences, 61(7), PL87-PL94 (English) 1997.

CODEN. LIFSAK. ISSN: 0024-3205. Publisher: Elsevier.

Changes in cellular metabolism in response to pharmacol. compds. can be detected by using a biosensor known as a microphysiometer, which measures the rate at which cells release acidic metabolites. This technique was used to exceen for effects of cation channel blockers in the metabolism.

used to screen for effects of cation channel blockers on the metabolism

of a variety of human and murine cell lines. At concns. sufficient for cation channel blockade, most of these drugs had little or no effect on cellular metabolism, as measured by acid release. In contrast, the K+ channel blocker clofflium triggered sustained increases in acid release at low (23 µM) concns. Acid release persisted in media containing high (150 mM) extracellular K+. This release was not triggered by chemical similar K+ channel blockers. Thus, these metabolic effects reflect a potent and specific function of clofilium which is unrelated to K+ channel blockade. Attempts to identify physiol. correlates to this response revealed that low concns. of clofilium but not of other K+ channel blockers caused lymphoma apoptosis. Thus, the effects of clofilium found in other studies

nay not be due to changes in plasma membrane K+ conductance. 42200-33-9, Nadolol RL: BAC (Biological activity or effector, except adverse); BSU

logical study, unclassified); BIOL (Biological study) (screening for novel effects of β-adrenergic blockers such as) 42200-33-9 CAPUS 2,3-Naphthalenediol, 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)

L13 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

L13 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN 1996:368450 Document No. 125:53246 Original Reference No. 125:10133a,10136a Asymmetric bioreduction of a  $\beta$ -tetralone to its corresponding (S)-alcohol by the yeast Trichosporon capitatum MY 1890. Reddy, Javanthi:

Tschaen, David; Shi, Yao-Jun; Pecore, Victor; Katz, Lorraine; Greasham, Randolph; Chartrain, Michel (Merck Research Laboratory, Rahway, NJ, 07065

5.

USA). Journal of Fermentation and Bioengineering, 81(4), 304-309 (English) 1996. CODEN: JFBIEX. ISSN: 0922-338X. Publisher: Society for Fermentation and Bioengineering, Japan. The yeast Trichosporon capitatum MY 1890 was identified by microbial screening as a suitable biocatalyst for the asym. bioredn. of 6-bromo-p-tetralone to its corresponding (S)-alc. (P-tetralol). This p-tetralol is a precursor to the chiral drug candidate MK-0499, a potassium channel blocker targeted for the treatment of ventricular arrhythmias. Process development studies, employing statistical exploratory designs, yielded a 10-fold increase in the rate

bioredn. and improved the (S)- $\beta$ -tetralol enantiomeric excess from 71% to 99%. The (S)- $\beta$ -tetralol enantiomeric excess was highly dependent on glucose catabolism by T. capitatum. Elevated enantiomeric excesses were achieved when switching to a glycerol containing medium. Other

process parameters such as pH, temperature and medium composition were found to mostly influence the rate of bioredn. The developed shake flask process was scaled up to laboratory reactors (23-L scale) and supported the production of gram quantities of highly optically pure (S)- $\beta$ -tetralol. IT 20107-40- $\beta$ 171965-24- $\beta$  $\beta$ 171965-24- $\beta$ 7 RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Freparation) (asym. bioredn. of  $\beta$ -tetralone to its corresponding (S)-alc. by yeast Trichosporon capitatum MY 1890)
RN 20107-40-8 CAPLUS (C) 2-Maphthalenol, 1,2,3,4-tetrahydro-, (2S)- (CA INDEX NAME)

20107-40-8 CAPLUS 2-Naphthalenol, 1,2,3,4-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

171965-24-5 CAPLUS 2-Naphthalenol, 6-bromo-1,2,3,4-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

effect

L13 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
1994:595469 Document No. 121:195469 Original Reference No.
121:35239a,35242a
Propranolol antagonizes coronary artery relaxation by a potassium channel opener. Kalsner, Stanley (Dep. Physiol., City Univ. New York Med. Sch., New York, NY, 10031, USA). Life Sciences, 55(14),
1109-21

21 (English) 1994. CODEN: LIFSAK. ISSN: 0024-3205. Coronary artery prepns. from cattle hearts responded with stable contractions to the thromboxane A2 analog, U 46619. These contractions were progressively reduced by increasing concns. of the prototypical potassium channel opener pinacidil (3.8 + 10-8 to 1.1. + 10-4 M). Pinacidil-induced relaxations were antagonized significantly by d,1-propranolol (1.2 + 10-6 to 1.2 + 10-5 M). Forskolin-induced relaxations of coronary prepns. were also antagonized

d,1-propranolol, but those to nitroprusside were not. D-Propranolol also antagonized relaxations to pinacidil but only when used in higher concns. than the 1-isomer. Nadolol and metoprolol, two other beta receptor antagonists with differing profiles of action, also antagonized to some extent the vasodilator action of pinacidil arterial. The known potassium channel antagonist, glibenclamide, shifted the concentration-relaxation curve for pinacidil to the right, but

d, 1-propranolol propranolol produced an addnl. antagonistic effect in the presence of glibenclamide. Relaxations of contracted tracheal ring prepns. of guinea pig by pinacidil, however, were not antagonized by d.l-propranolol, suggesting specificity for vascular tissue. Isoproterenol increased significantly the cAMP levels in coronary tissue, but pinacidil had no such effect, ruling out an adrenergic component to pinacidil action. Pinacidil increased the efflux of 86Rb in isolated coronary prepns., and this

was blunted by propranolol. It is concluded that beta receptor antagonists inhibit relaxations to a potassium channel opener by a mechanism independent of beta adrenergic receptors and that this effect may have therapeutic implications.

IT 42200-33-9, Nadolol RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); BIOL (Biological study) (propranolol antagonizes coronary artery relaxation by potassium channel opener) 42200-33-9 CAPUS 2,3-Naphhalenediol, 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN 1993:560066 Document No. 119:160066 Original Reference No.

1933:560066 Document No. 119:160066 Original Reference No. 119:28677a,22680a 2.2-Dialkylnaphthalen-1-ones as new potassium channel activators. Almanas, Carmen; Gomez, Luis A.; Cavalcanti, Fernando L.; Rodriguez, Ricardo; Carceller, Elena; Bartroli, Javier; Garcia-Rafanell, Julian; Forn, Javier (Res. Cent., J. Uriach y Cia.S.A., Barcelona, 08026, Spain). Journal of Medicinal Chemistry, 36 (15), 2121-33 (English) 1993. CODEN: JMCMAR. ISSN: 0022-2623.

A new series of 2,2-dialkylnaphthalen-1-one potassium channel activators has been prepared, and their in vitro relaxant activities in isolated rat portal vein and guinea pig tracheal spirals as well as their oral antihypertensive effect in spontaneously hypertensive rats have been evaluated. The group of 1,2-dihydro-4-(1,2-dihydro-3-cxo-1-pyridy1)-2,2-dimethylnaphthalen-1-ones with an electron-withdrawing substituent at the 6-position contain the most active compds. and 1,2-dihydro-4-(1,2-dihydro-2-cxo-1-pyridy1)-2,2-dimethyl-1-oxonaphthalene-6-carbonitrile, (UR-8225) (I), has been setted

selected

cted
for further pharmacol. development.
149455-77-6P 149455-81-2P 149455-86-7P
149455-90-3P 149455-94-7P 149455-99-2P
149455-0-3P 149456-10-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and cyclization of, with chlorobutyryl chloride)
149455-77-6 CAPLUS
1(2H)-Naphthalenone, 4-amino-3,4-dihydro-3-hydroxy-2,2-dimethyl-,
(3R,4R)-rel- (CA INDEX NAME)

(Continued)

Relative stereochemistry

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

149455-94-7 CAPLUS 2-Naphthalenecarbonitrile, 8-amino-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-, (7R,8R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 149455-99-2 CAPLUS

RN 149455-99-2 CAPLOS CN 1(2H)-Naphthalenone, 4-amino-6-fluoro-3,4-dihydro-3-hydroxy-2,2-dimethyl-, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

149456-05-3 CAPLUS

NN 14940-00-0 CATBOS

Acetamide,
N-[(7R,8R)-8-amino-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5oxo-2-naphthalenyl]-N-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 149455-81-2 CAPLUS CN 1(2H)-Naphthalenone, 4-amino-3,4-dihydro-3-hydroxy-6-methoxy-2,2-dimethyl-, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

149455-86-7 CAPLUS

1(2H)-Naphthalenone, 

Relative stereochemistry.

149455-90-3 CAPLUS Relative stereochemistry.

1(2H)-Naphthalenone, 4-amino-6-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-, (3R,4R)-rel- (CA INDEX NAME)

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

149456-10-0 CAPLUS
1(2H)-Naphthalenone, 4-amino-7-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-, (SR,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

IT 149455-91-4P

IT 149455-91-4F
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and intramol. cyclization of)
RN 149455-91-4 CAPLUS
CN Butanamide,
N-[(1R, 2R) -7-bromo-1, 2, 3, 4-tetrahydro-2-hydroxy-3, 3-dimethyl-4-oxo-1-naphthalenyl]-4-chloro-, rel- (CA INDEX NAME)

Relative stereochemistry.

148925-41-1P 148925-42-2P 148925-46-6P 148925-9-9P 148925-52-4P 148925-53-5P 148925-54-6P 148925-55-7P 148925-60-4P 148925-65-P 148925-65-62-6P 148925-65-9P 149455-11-8P 149455-15-2P 149455-19-6P

Relative stereochemistry.

RN 148925-42-2 CAPLUS
CN 2-Naphthalenecarbonitrile, 8-[(1,6-dihydro-1-methyl-6-oxo-3pyridazinyl)oxy]-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-,
(7R,8R)-rel- (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 148925-52-4 CAPLUS CN 1(2H)-Naphthalenone, 6-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-4-(2-pyridinyloxy)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 148925-53-5 CAPLUS
CN 2-Naphthalenecarbonitrile,
5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo8-(2-pyridinyloxy)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 148925-46-6 CAPLUS
CN 2-Maphthalenecarbonitrile, 8-[[1,6-dihydro-6-oxo-1-(2-propenyl)-3-pyridazinyl]oxy]-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-, trans-(9C1) (CA INDEX NAME)

Relative stereochemistry

RN 148925-49-9 CAPLUS
CN 3(2H)-Fyridazinone,
6-[(7-bromo-1,2,3,4-tebrahydro-2-hydroxy-3,3-dimethyl4-oxo-1-naphthalenyl)oxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 148925-54-6 CAPLUS
CN 2-Naphthalenecarbonitrile,
5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo8-[(3-oxo-1-cyclopenten-1-yl)oxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 148925-55-7 CAPLUS
CN Acetamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 148925-60-4 CAPLUS CN 3-Furancarboxamide, N-(7-oyano-1,27,3 4-tetrahydro-2-hydroxy-3,3-dimethyl-4oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

148925-61-5 CAPLUS
Benzamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

 $\begin{array}{lll} 148925-62-6 & CAPLUS \\ Benzamide, & N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-4-methoxy-, & trans-& (9CI) & (CA INDEX NAME) \\ \end{array}$ 

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Relative stereochemistry.

149455-19-6 CAPLUS 2(1H)-Pyridinome, 1-[(1R,2R)-7-chloro-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

149455-24-3 CAPLUS

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

148925-65-9 CAPLUS Ethanimidamide, N-cyano-N'-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry. Double bond geometry unknown.

149455-11-8 CAPLUS 2(1H)-Pyridinone, 1-[(1R,2R)-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 2(1H)-Pyridinone, 1-[(1R,2R)-7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

149455-28-7 CAPLUS 2-Pyrrolidinone, 1-[(1R,2R)-7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 149455-33-4 CAPLUS
CN 2-Naphthalenecarbonitrile,
5,6,7,8-terhalydro-7-hydroxy-6,6-dimethyl-5-oxo8-(2-oxo-1(2H)-pyridinyl)-, (7R,8R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 149455-38-9 CAPLUS
CN 2-Naphthalenecarbonitrile,
5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo8-(2-oxo-1-pyrrolidinyl)-, (7R,8R)-rel- (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

149455-46-9 CAPLUS CN Acetamide,
N-methyl-N-[(7R, 8R)-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-5oxo-6-(2-oxo-1(2H)-pyridinyl)-2-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

 $\label{eq:continuous} \begin{array}{lll} 149455-51-6 & \text{CAPLUS} \\ 2(1\text{H})-\text{Pyridinone, } 1-[(1\text{R},2\text{R})-1,2,3,4-\text{tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-7-(trifluoromethyl)-1-naphthalenyl]-, rel- (CA INDEX NAME) \\ \end{array}$ 

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 149455-41-4 CAPLUS
CN 2-Naphthalenecarbonitrile,
8-(1,3-dihydro-1-∞xo-2H-isoindol-2-y1)-5,6,7,8tetrahydro-7-hydroxy-6,6-dimethyl-5-oxo-, (7R,8R)-rel- (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 149455-61-8 CAPLUS
CN 2(1H)-Pyridinone, 1-[(1R,2R)-6-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 149455-67-4 CAPLUS CN 2(1H)-Pyridinone, 1-[(1R,2R)-6,7-dichloro-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

 $\begin{array}{lll} 149455-70-9 & \texttt{CAPLUS} \\ 2(1\texttt{H})-\texttt{Pyridinone}, & 1-[(1\texttt{R},2\texttt{R})-1,2,3,4-\texttt{tetrahydro-2-hydroxy-3},3-\texttt{dimethyl-4-oxo-7-(phenylsulfonyl)-1-naphthalenyl]-}, & \texttt{rel-} & \texttt{(CA INDEX NAME)} \end{array}$ 

RN 149915-53-7 CAPLUS
CN 2-Pyridinecarboxamide,
N-[(1R,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel- (CA INDEX NAME)

149915-54-8 CAPLUS
3-Pyridinecarboxamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Relative stereochemistry.

RN 149915-61-7 CAPLUS CN Benzamide, N-[(1R,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-4-nitro-, rel- (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 149915-55-9 CAPLUS
CN 4-Pyridinecarboxamide,
N-[(IR,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3dimethyl-4-oxo-1-naphthalenyl]-, rel- (CA INDEX NAME)

 $\begin{array}{lll} 149915-59-3 & \text{CAPLUS} \\ \text{Benzamide, 2, 4-dichloro-N-[(1R,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl]-, rel- & (CA INDEX NAME) \\ \end{array}$ 

Relative stereochemistry.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

149915-65-1 CAPLUS
Cyanamide, [1-(7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-4,5-dihydro-1H-imidazol-2-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

149915-66-2 CAPLUS
Cyanamide, [3-(7-bromo-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-2-thiazolidinylidene]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

149915-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
149915-78-6 CAPLUS
1(2H)-Naphthalenone, 4-amino-6-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-,
(3R,4S)-rel- (CA INDEX NAME)

113 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
1993:11842 Document No. 118:11842 Original Reference No. 118:2213a, 2216a
Separation of the enantiomers of some potaasium channel
activators using an al-acid glycoprotein column. Evans, John M.;
Smith, Richard J.; Stemp, Geoffrey (SmithKline Beecham Pharm., The
Pinnacles, Coldharbour Road, Harlow Essex, CMP 5AD, UK). Journal of
Chromatography, 623(1), 163-7 (English) 1992. CODEN: JOCRAM.
ISSN: 0021-9673.
AB The sepns. of the enantiomers of some
3,4-dihydro-2,2'-dimethyl-2B-1-benzopyrans and a related
tetrahydronaphthalene on al-acid glycoprotein (Chiral-AGP) are
presented, together with the results from an investigation of the effects
of organic modifier and pH on the sepns. achieved. The general utility

Chiral-AGF in separating the enantiomers of compds. from this class of antihypertensive agents was demonstrated in this study. 137433-98-8 RL: PROC (Process) (resolution of, by HPLC on  $\alpha$ 1-acid glycoprotein column) 137433-98-8 CAPLUS

IT

CN Acetamide, N-[(1R,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

144940-09-0 144940-14-7

144940-09-0 144940-14-7
RL: PROC (Process)
(separation of, by HPLC on αl-acid glycoprotein column)
144940-09-0 CAPLUS
Acetamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl)-, (1R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

144940-14-7 CAPLUS Acetamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl)-, (1S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSMER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN 1993:32764 Document No. 118:32764 Original Reference No. 118:5811a,5814a Blockade by antiarrhythmic drugs of glibenclamide-sensitive K+ channels

Xenopus occytes. Sakuta, Hidenari; Okamoto, Koichi; Watanabe, Yasuhiro (Dep. Pharmacol., Natl. Def. Med. Coll., Tokorozawa, 359, Japan). British

British

Journal of Pharmacology, 107(4), 1061-7 (English) 1992. CODEN:
BJPCBM. ISSN: 0007-1188.

AB The outward K+ current induced by KRN2391 (K+ channel opener) in Xenopus
oocytes is blocked by glibenclamide. The effects of various classes
(1-1V) of antiarrhythmic drugs on this KRN2391-induced response were
studied. All class I antiarrhythmic drugs (Na+ channel blockers)
concentration-dependently suppressed the KRN2391-induced responses with
the rank
order of potency (IC50 in μM) of disopyramide (17.8) > aprindine (29.5)
> propafenone (63.1) > ajmaline (145) > quinidine (151). Flecainide,
SUNNIES, lignocaine, mexiletine, and procainamide were much less potent
(IC50 = 450 to >1000 μM) than quinidine. The class II antiarrhythmic
drugs (β-blockers) timolol, (-) - and (t) - propranolol, and
(+) -propranolol (a non-β-blocker) inhibited the KRN2391-induced K+
currents in a concentration-dependent manner with values for IC50 (μM)
of 79,

of 79, 131, 151, and 129, resp., while butoxamine, oxprenolol, alprenolol, pindolol, nadolol, metoprolol, and acebutolol were either weak (CCSO =

 $\mu M$  to 600  $\mu M)$  or virtually inactive (IC50 >1000  $\mu M)$ ). The class III antiarrhythmic drugs amiodarone and (+)-sotalol scarcely affected the KRN2391 responses. The class IV drugs (Ca2+ antagonists) suppressed the KRN2391-induced responses in a concentration-dependent manner, with IC50

KRN2391-induced responses in a concentration and values of 6.3 µM for bepridil, 38 µM for prenylamine, 85 µM for verapamil, and 135 µM for diltiazem. Thus, antiarrhythmic drugs of classes I, II, and IV potently block the glibenclamide-sensitive Krchannels in Xenopus occytes.

IT 42200-33-9, Nadolol RL: BIOL (Biological study) (potassium channel response to KRN-2391 and, in Xenopus occytes)

(potassium channes acc. Xenopus oocytes)
42200-33-9 CAPLUS
2,3-Naphthalenedio1, 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]1.2.3,4-tetrahydro- (CA INDEX NAME)

L13 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L13 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN 1992:6373 Document No. 116:63730 Original Reference No. 116:1259a,1262a Synthesis and antihypertensive activity of pyran oxygen and amide nitrogen

ogen replacement analogs of the potassium channel activator cromakalim. Ashwood, Valerie A.; Cassidy, Frederick; Evans, John M.; Gagliardi, Stefania; Stemp, Geoffrey (SmithKline Beecham Pharm., Harlow/Essex, CM19 5AD, UK). Journal of Medicinal Chemistry, 34(11), 3261-7 (English) 1991. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 116:6373.

The synthesis and oral antihypertensive activity in conscious spontaneously hypertensive rats of 2 new series of compds. related to the prototype potassium channel activator cromakalim (I) are described. In the 1st series, replacement of the benzopyran oxygen atom by nitrogen or methylene led to the 1,2,3,4-tetrahydroquinoline II

= 2-oxo-1-pyrrolidinyl, R1 = H, R2 = OH, X = NH) and 1,2,3,4-tetrahydronaphthalene II (R = 2-oxo-1-pyrrolidinyl, R1 = H, R2 = OH, X = CH2) (III) which were both less active than I. However, in contrast to the equivalent activity found previously for I and its dehydrated

strated analog II (R = 2-oxo-1-pyrrolidinyl, R1R2 = bond, X = 0), the dihydronaphthalene II (R = 2-oxo-1-pyrrolidinyl, R1R2 = bond, X = CH2)

was

found to be more active than III. In the second series, replacement of the C-4 amide N atom in acyclic amides related to cromakalim by methylene gave ketno II (R = CH2COMe, Rl = H, R2 = OH, X = O) (IV) that was less active than the corresponding amide II (R = NHCCMe, Rl = H, R2 = OH, X = O). However, replacement of the 4-acetonyl substituent in IV by N,N-dimethylacetamido as in compound II (R = CH2CONMe2, Rl = H, R2 = OH,

IT

O) resulted in a marked enhancement in activity.

102569-27-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and acylation of)

102568-27-4 CAPLUS
2-Waphthalenecarbonitrile, 8-amino-5,6,7,8-tetrahydro-7-hydroxy-6,6-dimethyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

102568-33-2 CAPLUS
Benzamide, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl)-, trans-(9CI) (CA INDEX NAME)

Relative stereochemistry.

137433-98-8 CAPLUS

RN 13/433-90-0 GREEC Acetamide, N-[(1R,2R)-7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

102568-30-9P 102568-32-1P 102568-33-2P

102568-32-1 CAPLUS

Urea,

CN Urea, N-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-1-naphthalenyl)-N'-methyl-, trans-(9CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 15:08:26 ON 12 MAR 2009)

FILE 'REGISTRY' ENTERED AT 15:09:33 ON 12 MAR 2009 L1 STRUCTURE UPLOADED

L2 50 S L1

L3 32022 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:09:56 ON 12 MAR 2009

L4 58115 S L3

L5 1 S L4 AND PD<=10152003

L6 42824 S L4 AND PD<=2003

L7 55 S L6 AND "POTASSIUM CHANNEL"

FILE 'REGISTRY' ENTERED AT 15:11:10 ON 12 MAR 2009

FILE 'CAPLUS' ENTERED AT 15:11:14 ON 12 MAR 2009 L8 TRA L7 1- RN : 2659 TERMS

FILE 'REGISTRY' ENTERED AT 15:11:17 ON 12 MAR 2009

L9 2659 SEA L8

L10 237 S L9 AND L3

L11 210 S L10 AND C10/RF

FILE 'CAPLUS' ENTERED AT 15:17:07 ON 12 MAR 2009

L12 1253 S L11

=> d 114 cbib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 7 ANSWERS - CONTINUE? Y/(N):y

AB

L14 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN 2005:672875 Document No. 143:146730 Codrugs for the treatment of genitourinary tract disorders. Ashton, Paul; Cynkowska, Grazyna; Cynkowski, Tadeusz; Smith, Thomas J. (Control Deliver Systems, Inc.,

U.S. Pat. Appl. Publ. US 20050164994 A1 20050728, 29 pp., Cont.-in-part of U.S. Ser. No. 316,137. (English). CODEN: USXXCO. APPLICATION: US 2004-859902 20040603. PRIORITY: US 2001-337126P 20011210; US 2002-316137 20021210; US 2003-477526P 20030611; US 2003-479023P 20030616. Genitourinary system disorders are treated with therapeutic agents, and optionally further with radiation treatments. The invention discloses drug delivery devices comprising codrugs. The codrug comprises at least

biol. active residues, linked by a cleavable linkage. Preparation of

Solidative residues, intend by a cleavable linkage. Preparation of a codrug of flurbiprofen with 5-FU is described. The release rate of a 5-FU-fluocinolone acetonide codrug in prostate tissue and in liver tissue was evaluated.

20830-81-30, Daunorubicin, conjugates 23214-92-8D,
Doxorubicin, conjugates 56124-62-0D, Valrubicin, conjugates
56420-45-2D, Epirubicin, conjugates 58957-92-9D,
Idarubicin, conjugates
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(codrugs for treatment of genitourinary tract disorders)
20830-81-3 CAPLUS
5,12-Naphthacenedione, 8-acetyl-10-[(3-amino-2,3,6-trideoxy-α-L-lyxo-hexopyranoxyl)oxyl-7,8,9,10-tetrahydro-6,8,11-trihydroxy-1-methoxy-,
(88,108)- (CA INDEX NAME)

Absolute stereochemistry

23214-92-8 CAPLUS

23214-32-8 (APIDS 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-a-L-1yxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, (8S,10S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CAPLUS

5,12-Naphthacenedione, 9-acetyl-7-[(3-amino-2,3,6-trideoxy- $\alpha$ -L-1yxo-hexopyranosyloxy]-7,8,9,10-tetrahydro-6,9,11-trihydroxy-, (7S,9S)- (CA INDEX NAME)

Absolute stereochemistry.

(Continued) L14 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

56124-62-0 CAPLUS Pentanoic acid, 2-[(2s,48)-1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[(2,2,2-trifluoroacetyl)amino]- $\alpha$ -L-1yxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester (CA INDEX NAME)

CAPLUS

 $\label{eq:continuous} \begin{array}{lll} \text{South} & \text{Carbus} \\ \text{5,12-Naphthacenedione, } 10-[(3-\text{amino-2},3,6-\text{trideoxy}-\alpha-L-\text{arabino-hexopy} + \alpha - L-\text{arabino-hexopy} + \alpha - L-\text{a$ 

Absolute stereochemistry.

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

2003:913140 Document No. 139:3812590 Preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1 antagonists. Yura, Takeshi; Mogi, Nuneto; Urbahns, Klaus; Fujishima, Hiroshi; Masuda, Tsutomu; Moriwaki, Toshiya; Voshida, Nagahiro; Kokubo, Toshio; Shiroo, Masahiro; Tajimi, Masaomi; Tsukimi, Yasuhiro; Yamamoto, Noriyuki (Bayer Aktiengesellachaft, Germany; et al.). PCT Int. Appl. WO 2003095420 Al 2003120, 100 pp. DESIGNATED STATES: W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GB, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MS, MK, MN, MN, MX, MZ, NI, NO, NZ, CM, PB, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, 2A, ZM, ZM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, MI, MR, NE, NI, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXI2. APPLICATION: WO 2003-EP4395 20030428. PRIORITY: GB 2002-10512 20020508; GB 2002-27262 20021121.

Title compds. I [R1, R2 = H, alkyl; X = alkyl, YR3; Y = bond, (un)substituted CH2, CH2CH2; R3 = (un)substituted Ph, naphthyl] were prepared for use as VR1 antagonists useful in treating urgent urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodequeneration, stroke, incontinence, inflammatory disorders such as asthma and COPD. Thus, 7-ethoxy-5,8-dihydronaphthalen-l-ylamine, prepared from nino-2-naphthol
by N-protection, ethylation, deprotection, and reduction, was treated the such as the such protection, and reduction, was treated the such protection of the such protection

with

by N-protection, ethylation, deprotection, and reduction, was treated
4,3-C1(F3C)C6H3NCO to give I [RI, R2 = H, X = 4,3C1(F3C)C6H3] which had
ICSO for inhibition of capsaicin-induced ca influx in the human
VRI-transfected CHO cell line ≤ 0.1 µM.
624728-45-6P 624728-48-89-P 624728-43-0P
624728-56-6P 624728-51-4P 624728-55-8P
624728-56-6P 624728-51-4P 624728-55-8P
624728-56-9P 624728-57-0P 624728-58-1P
624728-59-2P 624728-60-SP 624728-61-6P
624728-62-PP 624728-66-SP 624728-61-6P
624728-62-PP 624728-66-PP 624728-67-0P
624728-68-3P 624728-69-8P 624728-73-0P
624728-71-8P 624728-72-5P 624728-73-0P
624728-71-4P 624728-75-2P 624728-73-0P
624728-71-4P 624728-81-0P 624728-76-P
624728-71-4P 624728-81-0P 624728-76-P
624728-83-2P 624728-83-9P 624728-85-4P

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
624728-86-5F 624728-87-6F 624728-88-7F
624728-98-8F 624728-93-1F 624728-91-2F
624728-93-9F 624728-93-4F 624728-91-2F
624728-95-F 624728-93-4F 624728-97-8F
624728-99-9F 624728-99-0F 624729-00-6F
624729-01-7F 624728-99-0F 624729-00-8F
624729-01-7F 624729-05-1F 624729-03-9F
624729-01-8F 624729-05-1F 624729-01-8F
624729-10-8F 624729-11-9F 624729-11-9F
624729-13-1F 624729-11-9F 624729-11-8F
624729-13-1F 624729-17-5F 624729-11-8F
624729-13-1F 624729-17-5F 624729-11-8F
624729-12-8F 624729-17-5F 624729-12-1F
624729-12-8F 624729-23-3F 624729-24-4F
624729-28-8F 624729-29-9F 624729-31-5F
624729-31-3F 624729-29-9F 624729-33-5F
624729-31-3F 624729-35-7F 624729-33-5F
624729-31-3F 624729-35-7F 624729-33-5F
624729-31-3F 624729-35-7F 624729-33-5F
624729-31-3F 624729-43-7F 624729-33-5F
624729-31-3F 624729-43-7F 624729-31-5F
624729-31-3F 624729-31-3F 624729-31-3F
624729-31-3F 624729-31-3F
624729-31-3F 624729-31-3F
624729-31-3F 624729-3 (Uses)
(prepn. of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1 antagonists)
624728-45-6 CAPLUS
Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-48-9 CAPLUS
Urea, N-phenyl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) INDEX NAME)

624728-49-0 CAPLUS

NN 624726-49-0 CAPLUS
CN Uzea,
N-(2-chlorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

624728-50-3 CAPLUS

CN Urea, N-(3-chloropheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-51-4 CAPLUS

CN Urea, N-(4-chloropheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

624728-52-5 CAPLUS

RN 524/20-52-5 CALLECTORY CONTROL OF THE STATE OF T

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-53-6 CAPLUS

Urea,

Une orea, N-(4-methoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

624728-54-7 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-55-8 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

624728-56-9 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-59-2 CAPLUS
Benzoic acid, 4-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

624728-60-5 CAPLUS Urea, N-1-naphthalenyl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

624728-57-0 CAPLUS
Benzoic acid, 2-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

(Continued)

624728-58-1 CAPLUS
Benzoic acid, 3-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-61-6 CAPLUS Uzea, N-2-naphthalenyl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

624728-62-7 CAPLUS

RN 624728-62-7 CAPLUS CN Urea, N-(4-Eluorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

RN 624728-63-8 CAPLUS
CN Urea,
N-(4-bromophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-64-9 CAPLUS
Urea, N-(3,4-dichlorophenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624728-65-0 CAPLUS
CN Urea,
N-(3-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

624728-66-1 CAPLUS Urea, N-[4-(1-methylethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

(Continued)

RN 624728-67-2 CAPLUS

NN 024/20-0/-2 CREDG CN Urea, N-(4-phenoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-68-3 CAPLUS Urea, N-[4-(dimethylamino)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-69-4 CAPLUS Usea, N-(phenylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

-NH-CHo-Ph

624728-70-7 CAPLUS Urea, N-[(2-methylphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN naphthalenyl)- (CA INDEX NAME) (Continued)



624728-71-8 CAPLUS
Urea, N-[(3-methylphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-72-9 CAPLUS
Urea, N-[(4-methylphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-73-0 CAPLUS
Urea, N-[(2-fluorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-74-1 CAPLUS
Urea, N-[(3-fluorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-77-4 CAPLUS
Urea, N-[(4-methoxypheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

RN 624728-78-5 CAPLUS CN Urea, N-(2-phenylethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-75-2 CAPLUS
Urea, N-[(4-fluorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-76-3 CAPLUS
Urea, N-[(2-chloropheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthaleny1)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624. CN Urea, I INDEX NAME) 624728-79-6 CAPLUS Urea, N-ethyl-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA

624728-80-9 CAPLUS
Urea, N-(4-chloro-3-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME) RN CN

624728-81-0 CAPLUS Urea, N-(3,5-dimethoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624728-82-1 CAPLUS
CN Urea,
N-(4-brome-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

624728-83-2 CAPLUS
Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-4-methyl-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-86-5 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

624728-87-6 CAPLUS
Urea, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-84-3 CAPLUS
Urea, N'-[4-chloro-3-(trifluoromethyl)phenyl]-N-methyl-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-85-4 CAPLUS
Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-7-methyl-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-88-7 CAPLUS Urea, N-[(75)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

624728-89-8 CAPLUS
Urea, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

624728-90-1 CAPLUS
Urea, N-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-91-2 CAPLUS
Urea, N-[(4-bromophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-92-3 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

624728-93-4 CAPLUS
Urea, N-[(4-chlorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

(Continued)

624728-94-5 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-95-6 CAPLUS Urea, N-[(2-methoxypheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

624728-96-7 CAPLUS Urea, N=[(3,4-difluorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-97-8 CAPLUS
Urea, N-[(3-methoxyphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624728-98-9 CAPLUS
Urea, N-[(3-chlorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624728-99-0 CAPLUS
Urea, N-[(2,4-dichlorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN CN

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-01-7 CAPLUS
Urea, N-[(3,4-dichlorophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-02-8 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

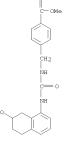
L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-03-9 CAPLUS Urea, N-[(2,4-dimethoxyphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-04-0 CAPLUS
Urea, N-[(2-bromophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-05-1 CAPLUS
Benzoic acid, 4-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]-, methyl ester (CA INDEX NAME) RN CN



624729-06-2 CAPLUS
Uzea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[(2,4,6-trimethoxypheny1)methy1]- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-07-3 CAPLUS
Urea, N-[(3-bromophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN CN

624729-08-4 CAPLUS
Urea, N-[(4-nitrophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-09-5 CAPLUS
CN Urea,
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-10-8 CAPLUS Urea, N-[(3,4-dimethoxyphenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-11-9 CAPLUS Urea, N=[(2,6-difluoropheny1)methy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

624729-12-0 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-N'-[[3-(trifluoromethoxy)pheny1]methy1]- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-13-1 CAPLUS
Benzoic acid, 4-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)

624729-14-2 CAPLUS
Urea, N-[(4-aminophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-15-3 CAPLUS
Urea, N-[(2-aminophenyl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-16-4 CAPLUS
Benzeneacetic acid, 4-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-19-7 CAPLUS
Urea, N-[2-(4-chlorophenyl)ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

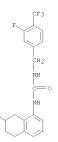
624729-20-0 CAPLUS
Uzea, N-[[3-fluoro-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-17-5 CAPLUS
CN Urea,
N-[[4-(dimethylamino)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxyl-naphthalenyl)- (CA INDEX NAME)

624729-18-6 CAPLUS
Urea, N-[[4-chloro-3-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



624729-21-1 CAPLUS Urea, N-[4-(4-methylphenoxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

PAGE 1-A

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 2-A

624729-22-2 CAPLUS
Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

PAGE 2-A

624729-23-3 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

#### L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-26-6 CAPLUS
CN Urea,
N-(diphenylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

624729-27-7 CAPLUS
Urea, N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

624729-24-4 CAPLUS
Urea, N-[1-(4-fluorophenyl)ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

(Continued)

624729-25-5 CAPLUS
Urea, N-[1-(4-bromophenyl)ethyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-28-8 CAPLUS
Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4-[(trifluoromethyl)thio]phenyl]methyl]- (CA INDEX NAME)

624729-29-9 CAPLUS
Urea, N-(1-naphthalenylmethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-30-2 CAPLUS
Urea, N-[4-(cyanomethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

RN 624729-31-3 CAPLUS
CN Urea,
N-(1-phenylethyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

624729-32-4 CAPLUS Urea, N-[1-(1-naphthaleny1)ethy1]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-35-7 CAPLUS
Urea, N-[[3-(gyclopentylamino)-4-(trifluoromethyl)phenyl]methyl]-N'(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, hydrochloride (1:1) (CA
INDEX NAME)

RN 624729-36-8 CAPLUS
CN Urea,
N-[2-(4-chlorophenyl)ethyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

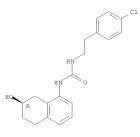
Absolute stereochemistry.

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN naphthalenyl) - (CA INDEX NAME) (Continued)

624729-33-5 CAPLUS
Benzenesulfonamide, 4-[[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)

624729-34-6 CAPLUS
Urea, N-[[3-(cyclopentylamino)-4-(trifluoromethyl)phenyl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



624729-37-9 CAPLUS

CN Urea, Urea, N-[2-(4-chlorophenyl)ethyl]-N'-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 624729-40-4 CAPLUS
CN Urea,
N-(2-fluoropheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-41-5 CAPLUS CN Urea, N-(3-Eluoropheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

RN 624729-42-6 CAPLUS CN Urea, N-(2-bromopheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-43-7 CAPLUS CN Urea, N-(3-bromopheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

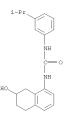
RN 624729-44-8 CAPLUS CN Urea, N-(2-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-45-9 CAPLUS CN Urea, N-(4-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

624729-46-0 CAPLUS
Urea, N-[3-(1-methylethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



624729-47-1 CAPLUS
Urea, N-[4-(1,1-dimethylethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN 624729-48-2 CAPLUS CN Urea, N-(3-phenoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-49-3 CAPLUS Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN CN

624729-50-6 CAPLUS Urea, N-[3-methoxy-5-(trifluoromethyl)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

624729-51-7 CAPLUS Urea, N-(3-iodopheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)-(CA INDEX NAME)

(Continued)

(Continued)

624729-52-8 CAPLUS

ON Urea,
N-(4-ethoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-53-9 CAPLUS
Urea, N-(3-hydroxy-4-methoxypheny1)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1)- (CA INDEX NAME)

624729-54-0 CAPLUS Urea, N-[4-(methylthio)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

RN CN

624729-55-1 CAPLUS
Urea, N-(3-fluoro-4-methoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-56-2 CAPLUS Urea, N-(3,4-dimethoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-57-3 CAPLUS
Urea, N-(3-chloro-4-methoxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

624729-58-4 CAPLUS RN

NN 024/25-04- CAFBOS (NU Urea, N-(4-hydroxyphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

624729-59-5 CAPLUS
Urea, N-(3,5-dimethylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

RN CN

624729-60-8 CAPLUS
Urea, N-(3,4-dimethylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-l-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-61-9 CAPLUS

CN Urea, N-(3-ethylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(CA INDEX NAME)

624729-62-0 CAPLUS
Urea, N-(4-fluoro-3-methylphenyl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

(Continued)

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624728-46-7P 624728-47-8P RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VRI antagonists)
624728-46-7 CAPLUS

NN 024 (20-34 ) 03-1-1 (CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

624728-47-8 CAPLUS Urea, -chloro-3-(trifluoromethyl)phenyl]-N'-[(75)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-66-4P 624729-67-5P 624729-68-6P 624729-69-7P 624729-73-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1 antagonists) 624729-66-4 CAPLUS 2-Naphthalenol, 8-amino-1,2,3,4-tetrahydro- (CA INDEX NAME)

624729-67-5 CAPLUS 2-Naphthalenol, 8-amino-1,2,3,4-tetrahydro-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

624729-68-6 CAPLUS 2-Naphthalenol, 8-amino-1,2,3,4-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

624729-38-0P 624729-39-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of hydroxytetrahydronaphthalenylureas as vanilloid receptor VR1 antagonists)
624729-38-0 CAPLUS Urea, N-(TR)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-N'-[2-[4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

624729-39-1 CAPLUS Urea, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthaleny1]-N'-[2-[4-(trifluoromethoxy)pheny1]ethy1]- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 624729-69-7 CAPLUS
CN Carbamic acid, [(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-,
phenyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

624729-72-2 CAPLUS Carbamic acid, (5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, phenyl ester (9CI) (CA INDEX NAME)

624729-73-3 CAPLUS Carbamic acid, [(78)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-, phenyl

ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L14 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN 2003:892603 Document No. 139:375032 Compositions and methods for preventing abuse of orally administered medications. Woolf, Clifford J. (The

abuse of orally administered medications. Woolf, Clifford J. (The General Hospital Corporation, USA). PCT Int. Appl. WO 2003092676 Al 2003113, 23 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, CC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KFP, KK, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NI, NO, NZ, CM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, CM, MR, NR, NR, NL, FT, SS, SN, TD, TG, TR, (English). CODEN: PIXXD2. APPLICATION: WO 2003-US12496 20030423. PRIORITY: US 2002-376147F 20020429.

AB Disclosed herein is the use of chemical irritants, such as vanilloid receptor-1 agonists, in sustained/controlled release pharmaceutical prepns. which also contain a drug typically having high abuse potential. Inclusion of the VR1 agonist in the pharmaceutical preparation interferes with

action of the therapeutic. Also disclosed are exemplary co-formulations of capsaicin (a VRI agonist) and oxycodone (an opioid therapeutic having high abuse potential) in controlled release prepns. 76-42-6, Oxycodone 42408-82-2, Butcrphanol RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. and methods for preventing abuse of orally administered medications) 76-42-6 CAPLUS Morphiana-6-one, 4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5\alpha)-(CA INDEX NAME)

Absolute stereochemistry.

42408-82-2 CAPLUS Morphinan-3,14-diol, 17-(cyclobutylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN 2003:454837 Document No. 139:41797 Lipid vehicles for drug delivery. Chancellor, Michael B.; Fraser, Matthew O.; Chuang, Yao-Chi, De Groat, William C.; Huang, Leaf; Yoshimura, Naoki (University of Pittsburgh,

Chancellor, Michael B.; Fraser, Matthew O.; Chuang, Yao-Chi; De Groat, William C.; Huang, Leaf; Yoshimura, Naoki (University of Pittsburgh, U.S. Pat. Appl. Publ. US 20030108597 Al 20030612, 31 pp., Cont.-in-part of U.S. Provisional Ser. No. 311,868. (English). CODEN: USXXCO. APPLICATION: US 2002-218797 20020813. PRIORITY: US 2001-311868P 20010813. The present invention relates to compns. and methods for the administration of lipid-based vehicles to treat various disorders, including bladder inflammation, infection, dysfunction, and cancer. In various aspects, the compns. and methods of the invention are useful for prolonged delivery of drugs, e.g., antibiotics, pain treatments, and anticancer agents, to the bladder, genitourinary tract, gastrointestinal system, pulmonary system, and other organs or body systems. In particular, the present invention relates to liposome-based delivery of vanilloid compds., such as resiniferatoxin, capsacion, or tinyatoxin, and toxins, such as botulinum toxin, for the treatment of bladder conditions, including pain, inflammation, incontinence, and voiding dysfunction. Further related are methods of using these vehicles alone or in conjunction with antibodies, e.g., uvolakin antibodies, to improve duration of liposome attachment, and provide a long-term intravesical drug delivery platform. The present invention specifically relates to antibody-coated liposomes that are useful for targeting specific receptors for drug, peptide, polypeptide, or nucleic acid delivery. In one particular aspect, the present invention relates to liposomes coated with antibodies against nerve growth factor (NoF) receptor and containing NGF antisense nucleic acids, which are used as a treatment for neurogenic bladder dysfunction. Liposomes are capable of highly effective delivery of at least one hydrophobic drug, CAP, as evidenced by a dramatic increase in bladder contraction frequency and subsequent desensitization. Moreover, liposomes alone had no effect on the micturition reflex in the unirritated state

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Absolute stereochemistry.

this

L14 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L14 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CAPLUS

23214-92-8 CAPUS 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy- $\alpha$ -L-1yxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, (8S,10S)- (CA INDEX NAME)

Absolute stereochemistry.

NAME

L14 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

• HCl

56420-45-2 CAPLUS
5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-\u03c4-arabino-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, (88,108)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CAPLUS

23214-92-8 CAPUS 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy- $\alpha$ -L-1yxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, (8S,10S)- (CA INDEX NAME)

Absolute stereochemistry.

25316-40-9 CAPLUS  $5,12-Naphthacenedione,\ 10-[(3-amino-2,3,6-trideoxy-\alpha-L-1yxo-hexopyxanosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, hydrochloride (1:1), (8S,10S)- (CA INDEX NORTH NORTH$ NAME

Absolute stereochemistry.

L14 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN 2003:154186 Document No. 138:193291 Application of lipid vehicles and use for drug delivery for bladder disorders. Chancellor, Michael B.; Fraser, Matthew O.; Chuang, Yao-Chi; de Groat, William C.; Huang, Leaf;

For Grug delivery for Bladder disorders. Chancellor, Michael B.; Fraser Matthew O.; Chuang, Yao-Chi; de Groat, William C.; Huang, Leaf; Yoshimura, Naoki (University of Pittsburgh, USA). PCT Int. Appl. WO 2003015698 A2 20030227, 88 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CC, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KD, KF, KK, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MM, MZ, NO, NZ, CM, FH, FI, FT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TN, TN, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; KN: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, FT, SE, SN, TD, TG, TR. (English). CODEN: FIXXD2.
APPLICATION: WO 2002-US25860 20020813. PRIORITY: US 2001-311868P 20010813.

AB The present invention relates to compns. and methods for the administration of lipid-based vehicles to treat various disorders, including bladder inflammation, infection, dysfunction, and cancer. The compns. are useful for prolonged delivery of drugs, e.g., antibiotics, pain treatments, and anticancer agents, to the bladder, genitourinary tract, gastrointestinal system, pulmonary system, and other organs or body

systems. In particular, the present invention relates to liposome-based delivery of vanilloid compds., such as resiniferatoxin, capsaicin, or tinyatoxin, and toxins, such as botulinum toxin, for the treatment of bladder conditions, including pain, inflammation, incontinence, and voiding dysfunction. Further related are methods of using these vehicles alone or in conjunction with antibodies, e.g., uroplakin antibodies, to improve duration of liposome attachment, and provide a long-term intravesical drug delivery platform. The present invention specifically relates to antibody-coated liposomes that are useful for targeting specific receptors for drug, peptide, polypeptide,

nucleic acid delivery. In one particular aspect, the present invention relates to liposomes coated with antibodies against nerve growth factor (NGF) receptor and containing NGF antisense nucleic acids, which are used as a

as a treatment for neurogenic bladder dysfunction. 20830-81-3, Daunomycin 23214-92-8, Doxorubicin 25316-40-9, Adriamycin 56420-45-2, Epirubicin 25316-40-9, Adriamycin 56420-45-2, Epirubicin Ri: THU (Therapeutic use) BIOL (Biological study); USES (Uses) (lipid vehicles for drug delivery for bladder disorders) 20830-81-3 CAPLUS 5,12-Maphthacenedione, 8-acetyl-10-[(3-amino-2,3,6-trideoxy-α-L-lyxo-hexopyranoxyl)oxyl)-7,8,9,10-tetrahydro-6,8,11-trihydroxyl-methoxy-,(8S,10S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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56420-45-2 CAPLUS

L14 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
2002:675858 Document No. 137:222036 Compositions based on vanilloid
-catechin synergies for prevention and treatment of cancer. Morre,
Dorothy M.; Morre, James D. (Purdue Research Foundation, USA). PCT Int. 2002;675858 Document No. 137:22036 Compositions based on vanilloid -catechin synergies for prevention and treatment of cancer. Morre, Dorothy M.; Morre, James D. (Purdue Research Foundation, USA). PCT Int. Appl. Wo 2002067966 A1 20020906, 51 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EE, FI, GB, CD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MN, MW, MK, MO, NZ, CM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, EW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, TI, LU, MC, MM, KR, NI, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-US5295 20020222. PRIORITY: US 2001-2705579 20010222.

AB The invention described herein encompasses methods and comps. of preventing or treating cancer comprising the administration of a combination of catechins and vanilloids. Compns. of catechins include but not limited to, epigallocatechin (ECC), epicatechin gallate (ECG), epicatechin (ECC), epicatechin gallate (ECG), epigallocatechin (ECC). In a preferred embodiment the catechins have been treated with tannase. Compns. of vanilloids include, but are not limited to vanillylamine, the head group of capsaicin. The unique compns. of the invention contain various combinations of the catechins and vanilloids, in combination with each other or other therapeutic agents and are used to treat primary and metastatic cancers in humans. The invention also encompasses various modes of administration of the therapeutic compds.; including formulations which may be used as a dietary or nutritional supplement or as a therapeutic compound The effect of combinations of tea catechins (including tannase-treated Tegreen with and without gallic acid and EGCg) and the

(including

unding tannase-treated Tegreen with and without gallic acid and EGCg) and the vanilloid vanillylamine, alone and in combination, was demonstrated on (i) cancer cell growth and (ii) NADH oxidase (tNOX) activity. The ratios of tea catechins and vanillyamine was varied to determine

optimum ratios for the inhibition of cancer cell growth and the inhibition

oltion
of thOX activity. A synergy between tannase-treated Tegreen with gallic
acid and vanillylamine in inhibiting the cell surface NADH oxidase was

observed 20830-81-3, Daunorubicin 23214-92-8, Doxorubicin 25316-40-9, Adriamycin 25316-40-9D, Adriamycin,

conjugates

PAC (Pharmacological activity); THU (Therapeutic use); BIOL

RM: PAC (Pharmacological activity); THO (Inerapeutic use); BIOL (Biological study); USES (Uses) (compns. based on vanilloid-catechin synergies for prevention and treatment of cancer) 20830-81-3 CAPLUS

2003U-01-3 CAPUS

8.acetyl-10-[(3-amino-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-1-methoxy-,(88,108)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

HC1

CAPLUS

23310-10-3 CAPDUS
5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-\alpha-L-lyxo-hexopyranosyl)\[ \alpha \] 0x9]-7,8,9,10-tetrahydro-\( \alpha \],8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, hydrochloride (1:1), (8S,10S)- (CA INDEX CN NAME.)

Absolute stereochemistry.

● HCl

L14 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

23214-92-8 CAPLUS  $5,12-Naphthacenedione,\ 10-[\ (3-amino-2,3,6-trideoxy-\alpha-L-1yxo-hexopyranoxyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, (8S,10S)- (CA INDEX NAME)$ 

25316-40-9 CAPLUS

20316-40-9 CAPDDS 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, hydrochloride (1:1), (88,108)- (CA INDEX NAME :

Absolute stereochemistry

L14 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
1997;578793 Document No. 127;242907 Original Reference No.
127;47239a,47242a
Is the drug-responsive NADH oxidase of the cancer cell plasma membrane a
molecular target for adriamycin7. Morre, D. James; Kim, Chinpal; Paulik,
Mark; Morre, Dorothy M.; Faulk, W. Page (Department of Medicinal
Chemistry

Chemistry Morter, Dorothy M., faut, W. Fage Department of Medicinal Chemistry and Molecular Pharmacology, Purdue University, West Lafayette, IN, 47907, USA). Journal of Bioenergetics and Biomembranes, 29(3), 269-280

(English) 1997. CODEN: JBBID4. ISSN: 0145-479X. Publisher: Plenum.
AB Enhanced growth inhibition and antitumor responses to adriamycin have been

observed repeatedly from several labs. using impermeant forms of observed repeated. ---adriamycin
where entry into the cell was greatly reduced or prevented. Our

where entry into the cell was greatly reduced or prevented. Our laboratory has described an NADH oxidase activity at the external surface of plasma membrane vesicles from tumor cells where inhibition by an antitumor sulfonylurea, N-(4-methylphenylsulfonyl)-N'-(4-chlorophenyl)urea (LY181984), and by the vanilloid, capsaicin (8-methyl-N-vanillyl-6-noneamide) correlated with inhibition of growth. Here we report that the oxidation of NADH by isolated plasma membrane vesicles was inhibited, as well, by adrianycin. An external site of inhibition was indicated from studies where impermeant adriamycin conjugates were used. The ECSO for inhibition of the oxidase of rat hepatoma plasma membranes by adrianycin was several orders of magnitude less than that for rat liver. Adriamycin cross-linked to diferric transferrin and other impermeant supports also was effective in inhibition

of NADH oxidation by isolated plasma membrane vesicles and in inhibition

of Numer orthogon by isolated plasma membrane vesicles and in inhibition of growth of cultured cells. The findings suggest the NADH oxidase of the plasma membrane as a growth-related adriamycin target at the surface of cancer cells responsive to adriamycin. Whereas DNA intercalation remains clearly one of the principal bases for the cytotoxic action of free adriamycin, this second site, possibly related to a more specific antitumor action, may be helpful in understanding the enhanced efficacy reported previously for immobilized adriamycin forms compared to free adriamycin.

TI 25316-40-9, Adriamycin
RL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified): TNU (Therapeuric use), PTO (Violatical activity or study).

study, unclassified); THU (Therapeutic use); BIOL (Biological study); HSES

(NADH oxidase of the cancer cell plasma membrane a mol. target for

(NADH oxidase of the cancer cell plasma membrane a mol. target for adriamycin) 25316-40-9 CAPLUS 5,12-Naphthacenedione,  $10-[(3-amino-2,3,6-trideoxy-\alpha-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(2-hydroxyacetyl)-1-methoxy-, hydrochloride (1:1), (8S,10S)- (CA INDEX$ 

L14 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

• HCl

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